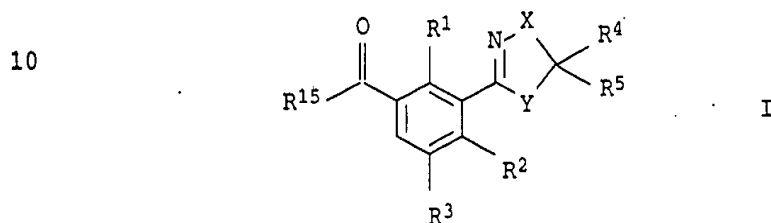


3-Heterocyclyl-substituted benzoyl derivatives

5 The present invention relates to 3-heterocyclyl-substituted benzoyl derivatives of the formula I



where the variables have the following meanings:

- 20 R^1, R^2 are hydrogen, nitro, halogen, cyano, C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, C_1 - C_6 -alkoxy, C_1 - C_6 -haloalkoxy, C_1 - C_6 -alkylthio, C_1 - C_6 -haloalkylthio, C_1 - C_6 -alkylsulfinyl, C_1 - C_6 -haloalkylsulfinyl, C_1 - C_6 -alkylsulfonyl or C_1 - C_6 -haloalkylsulfonyl;
- 25 R^3 is hydrogen, halogen or C_1 - C_6 -alkyl;
- 30 R^4, R^5 are hydrogen, halogen, cyano, nitro, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy- C_1 - C_4 -alkyl, di(C_1 - C_4 -alkoxy)- C_1 - C_4 -alkyl, di(C_1 - C_4 -alkyl)-amino- C_1 - C_4 -alkyl, [2,2-di(C_1 - C_4 -alkyl)-1-hydrazino]- C_1 - C_4 -alkyl, C_1 - C_6 -alkyliminoxy- C_1 - C_4 -alkyl, C_1 - C_4 -alkoxycarbonyl- C_1 - C_4 -alkyl, C_1 - C_4 -alkylthio- C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -cyanoalkyl, C_3 - C_8 -cycloalkyl, C_1 - C_4 -alkoxy, C_1 - C_4 -alkoxy- C_2 - C_4 -alkoxy, C_1 - C_4 -haloalkoxy, hydroxyl, C_1 - C_4 -alkylcarbonyloxy, C_1 - C_4 -alkylthio, C_1 - C_4 -haloalkylthio, di(C_1 - C_4 -alkyl)amino, COR⁶, phenyl or benzyl, it being possible for the two last-mentioned substituents to be
- 40 fully or partially halogenated and/or to have attached to them one to three of the following groups: nitro, cyano, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -alkoxy or C_1 - C_4 -haloalkoxy;

45 or

002221-50034/250

2

R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

5

or

R⁴ and R⁵ together with the corresponding carbon form a carbonyl or thiocarbonyl group;

10

R⁶ is hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-alkoxy-C₂-C₄-alkoxy, C₁-C₄-haloalkoxy, C₃-C₆-alkenyloxy, C₃-C₆-alkynyloxy or NR⁷R⁸;

15

R⁷ is hydrogen or C₁-C₄-alkyl;

R⁸ is C₁-C₄-alkyl;

20

X is O, S, NR⁹, CO or CR¹⁰R¹¹;

Y is O, S, NR¹², CO or CR¹³R¹⁴;

25 R⁹, R¹²

are hydrogen or C₁-C₄-alkyl;

R¹⁰, R¹¹, R¹³, R¹⁴ are hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxycarbonyl, C₁-C₄-haloalkoxycarbonyl or CONR⁷R⁸;

30

or

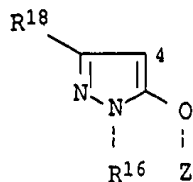
R⁴ and R⁹ or R⁴ and R¹⁰ or R⁵ and R¹² or R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

35

R¹⁵ is a pyrazole of the formula II which is linked in the 4-position

45

0048006-12200



II

where

R^{16} is C_1 - C_6 -alkyl;

Z is H or SO_2R^{17} ;

R^{17} is C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, phenyl or phenyl which is partially or fully halogenated and/or has attached to it one to three of the following groups:
nitro, cyano, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl,
 C_1 - C_4 -alkoxy or C_1 - C_4 -haloalkoxy;

R^{18} is hydrogen or C_1 - C_6 -alkyl;

where X and Y are not simultaneously oxygen or sulfur;

with the exception of 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1-ethyl-5-hydroxy-1H-pyrazole,
4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole,
4-[2-chloro-3-(5-cyano-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole,
4-[2-chloro-3-(4,5-dihydrothiazol-2-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole and
4-[2-chloro-3-(thiazoline-4,5-dion-2-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole;

or the agriculturally useful salts thereof.

The invention furthermore relates to processes and intermediates for the preparation of compounds of the formula I, to compositions comprising them, and to the use of these derivatives or compositions comprising them for the control of harmful plants.

Pyrazol-4-yl-benzoyl derivatives have been disclosed in the literature, for example in WO 96/26206.

However, the herbicidal properties of the compounds which have been known to date and their compatibility properties regarding crop plants are only moderately satisfactory.

It is an object of the present invention to provide novel, in particular herbicidally active, compounds which have improved properties.

We have found that this object is achieved by the 3-heterocyclyl-substituted benzoyl derivatives of the formula I and by their herbicidal activity.

We have furthermore found herbicidal compositions which comprise the compounds I and which have a very good herbicidal activity. Moreover, we have found processes for the preparation of these compositions and methods of controlling undesirable vegetation using the compounds I.

Depending on the substitution pattern, the compounds of the formula I can contain one or more chiral centers, in which case they exist as enantiomer or diastereomer mixtures. The present invention relates to the pure enantiomers or diastereomers and to the mixtures thereof.

The compounds of the formula I may also exist in the form of their agriculturally useful salts, the type of salt generally being of no importance. In general, suitable salts are the salts of those cations or the acid addition salts of those acids whose cations, or anions, respectively, do not adversely affect the herbicidal activity of the compounds I.

Suitable cations are, in particular, ions of the alkali metals, preferably lithium, sodium and potassium, of the alkaline earth metals, preferably calcium and magnesium, and of the transition metals, preferably manganese, copper, zinc and iron, and also ammonium, it being possible in this case, if desired, for one to four hydrogen atoms to be replaced by C₁-C₄-alkyl, hydroxy-C₁-C₄-alkyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, hydroxy-C₁-C₄-alkoxy-C₁-C₄-alkyl, phenyl or benzyl, preferably ammonium, dimethylammonium, diisopropylammonium, tetramethylammonium, tetrabutylammonium, 2-(2-hydroxyeth-1-oxy)eth-1-ylammonium,

di(2-hydroxyethyl)ammonium, trimethylbenzylammonium, in addition phosphonium ions, sulfonium ions, preferably tri(C₁-C₄-alkyl)sulfonium and sulfoxonium ions, preferably tri(C₁-C₄-alkyl)sulfoxonium.

5

Anions of useful acid addition salts are mainly chloride, bromide, fluoride, hydrogen sulfate, sulfate, dihydrogen phosphate, hydrogen phosphate, nitrate, hydrogen carbonate, carbonate, hexafluorosilicate, hexafluorophosphate, benzoate, and the anions of C₁-C₄-alkanoic acids, preferably formate, acetate, propionate and butyrate.

The organic moieties mentioned for the substituents R¹-R¹⁸ or as radicals on phenyl rings are collective terms for individual enumerations of the individual group members. All hydrocarbon chains, ie. all alkyl, haloalkyl, cyanoalkyl, alkoxy, haloalkoxy, alkyliminooxy, alkylcarbonyloxy, alkylthio, haloalkylthio, alkylsulfinyl, haloalkylsulfinyl, alkylsulfonyl, haloalkylsulfonyl, alkoxycarbonyl, haloalkoxycarbonyl, alkenyloxy, alkynyloxy, dialkylamino, dialkylhydrazino, alkoxyalkyl, hydroxyalkoxyalkyl, dialkoxyalkyl, alkylthioalkyl, dialkylaminoalkyl, dialkylhydrazinoalkyl, alkyliminooxyalkyl, alkoxycarbonylalkyl and alkoxyalkoxy moieties, can be straight-chain or branched. Unless otherwise specified, halogenated substituents preferably have attached to them one to five identical or different halogen atoms. The meaning of halogen is in each case fluorine, chlorine, bromine or iodine.

30 Other examples of meanings are:

35 C₁-C₄-alkyl and the alkyl moieties of di-(C₁-C₄-alkoxy)-C₁-C₄-alkyl, [2,2-di(C₁-C₄-alkyl)-1-hydrazino]-C₁-C₄-alkyl, C₁-C₆-alkyliminoxy-C₁-C₄-alkyl, hydroxy-C₁-C₄-alkoxy-C₁-C₄-alkyl and C₁-C₄-alkylcarbonyloxy: for example methyl, ethyl, propyl, 1-methylethyl, butyl, 1-methylpropyl, 2-methylpropyl and 1,1-dimethylethyl;

40 C₁-C₆-alkyl: C₁-C₄-alkyl as mentioned above and, for example,
pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl,
2,2-dimethylpropyl, 1-ethylpropyl, hexyl, 1,1-dimethylpropyl,
1,2-dimethylpropyl, 1-methylpentyl, 2-methylpentyl,
3-methylpentyl, 4-methylpentyl, 1,1-dimethylbutyl,
1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl,
45 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-ethylbutyl,

- C₁-C₄-haloalkyl: a C₁-C₄-alkyl radical as mentioned above
 5 which is partially or fully substituted by fluorine, chlorine, bromine and/or iodine, for example chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chlorofluoromethyl, dichlorofluoromethyl, chlorodifluoromethyl, 2-fluoroethyl, 2-chloroethyl, 2-bromoethyl, 2-iodoethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-chloro-2-fluoroethyl, 2-chloro-2,2-difluoroethyl, 2,2-dichloro-2-fluoroethyl, 2,2,2-trichloroethyl, pentafluoroethyl, 2-fluoropropyl, 3-fluoropropyl, 2,2-difluoropropyl, 2,3-difluoropropyl, 2-chloropropyl, 3-chloropropyl, 2,3-dichloropropyl, 2-bromopropyl, 3-bromopropyl, 3,3,3-trifluoropropyl, 3,3,3-trichloropropyl, 2,2,3,3,3-pentafluoropropyl, heptafluoropropyl, 1-(fluoromethyl)-2-fluoroethyl, 1-(chloromethyl)-2-chloroethyl, 1-(bromomethyl)-2-bromoethyl, 4-fluorobutyl, 4-chlorobutyl, 4-bromobutyl and nonafluorobutyl;
- C₁-C₆-haloalkyl: C₁-C₄-haloalkyl as mentioned above and, for
 25 example, 5-fluoropentyl, 5-chloropentyl, 5-bromopentyl, 5-iodopentyl, undecafluoropentyl, 6-fluorohexyl, 6-chlorohexyl, 6-bromohexyl, 6-iodohexyl and dodecafluorohexyl;
- C₁-C₄-cyanoalkyl: for example cyanomethyl, 1-cyanoeth-1-yl, 2-cyanoeth-1-yl, 1-cyanoprop-1-yl, 2-cyanoprop-1-yl, 3-cyanoprop-1-yl, 1-cyanoprop-2-yl, 2-cyanoprop-2-yl, 1-cyanobut-1-yl, 2-cyanobut-1-yl, 3-cyanobut-1-yl, 4-cyanobut-1-yl, 1-cyanobut-2-yl, 2-cyanobut-2-yl, 1-cyanobut-3-yl, 2-cyanobut-3-yl, 1-cyano-2-methylprop-3-yl, 2-cyano-2-methylprop-3-yl, 3-cyano-2-methylprop-3-yl and 2-cyanomethylprop-2-yl;
- C₁-C₄-alkoxy and the alkoxy moieties of di-(C₁-C₄-alkoxy)-
 40 C₁-C₄-alkyl and hydroxy-C₁-C₄-alkoxy-C₁-C₄-alkyl: for example methoxy, ethoxy, propoxy, 1-methylethoxy, butoxy, 1-methylpropoxy, 2-methylpropoxy and 1,1-dimethylethoxy;
- C₁-C₆-alkoxy: C₁-C₄-alkoxy as mentioned above and, for
 45 example, pentoxy, 1-methylbutoxy, 2-methylbutoxy, 3-methoxybutoxy, 1,1-dimethylpropoxy, 1,2-dimethylpropoxy, 2,2-dimethylpropoxy, 1-ethylpropoxy, hexoxy, 1-methylpentoxy,

- 2-methylpentoxy, 3-methylpentoxy, 4-methylpentoxy,
1,1-dimethylbutoxy, 1,2-dimethylbutoxy, 1,3-dimethylbutoxy,
2,2-dimethylbutoxy, 2,3-dimethylbutoxy, 3,3-dimethylbutoxy,
1-ethylbutoxy, 2-ethylbutoxy, 1,1,2-trimethylpropoxy,
5 1,2,2-trimethylpropoxy, 1-ethyl-1-methylpropoxy and
1-ethyl-2-methylpropoxy;
- C₁-C₄-haloalkoxy: a C₁-C₄-alkoxy radical as mentioned above
which is partially or fully substituted by fluorine,
10 chlorine, bromine and/or iodine, for example fluoromethoxy,
difluoromethoxy, trifluoromethoxy, chlorodifluoromethoxy,
bromodifluoromethoxy, 2-fluoroethoxy, 2-chloroethoxy,
2-bromomethoxy, 2-iodoethoxy, 2,2-difluoroethoxy,
2,2,2-trifluoroethoxy, 2-chloro-2-fluoroethoxy,
15 2-chloro-2,2-difluoroethoxy, 2,2-dichloro-2-fluoroethoxy,
2,2,2-trichloroethoxy, pentafluoroethoxy, 2-fluoropropoxy,
3-fluoropropoxy, 2-chloropropoxy, 3-chloropropoxy,
2-bromopropoxy, 3-bromopropoxy, 2,2-difluoropropoxy,
2,3-difluoropropoxy, 2,3-dichloropropoxy,
20 3,3,3-trifluoropropoxy, 3,3,3-trichloropropoxy,
2,2,3,3,3-pentafluoropropoxy, heptafluoropropoxy,
1-(fluoromethyl)-2-fluoroethoxy,
1-(chloromethyl)-2-chloroethoxy,
1-(bromomethyl)-2-bromoethoxy, 4-fluorobutoxy,
25 4-chlorobutoxy, 4-bromobutoxy and nonafluorobutoxy;
- C₁-C₆-haloalkoxy: C₁-C₄-haloalkoxy as mentioned above and, for
example, 5-fluoropentoxy, 5-chloropentoxy, 5-bromopentoxy,
30 5-iodopentoxy, undecafluoropentoxy, 6-fluorohexoxy,
6-chlorohexoxy, 6-bromohexoxy, 6-iodohexoxy and
dodecafluorohexoxy;
- C₁-C₆-alkyliminooxy and the C₁-C₆-alkyliminooxy moieties of
35 C₁-C₆-alkyliminooxy-C₁-C₄-alkyl: for example methyliminooxy,
ethyliminooxy, 1-propyliminooxy, 2-propyliminooxy,
1-butyliminooxy, 2-butyliminooxy, 2-methylprop-1-yliminooxy,
1-pentyliminooxy, 2-pentyliminooxy, 3-pentyliminooxy,
3-methylbut-2-yliminooxy, 2-methylbut-1-yliminooxy,
40 3-methylbut-1-yliminooxy, 1-hexyliminooxy, 2-hexyliminooxy,
3-hexyliminooxy, 2-methylpent-1-yliminooxy,
3-methylpent-1-yliminooxy, 4-methylpent-1-yliminooxy,
2-ethylbut-1-yliminooxy, 3-ethylbut-1-yliminooxy,
2,3-dimethylbut-1-yliminooxy, 3-methylpent-2-yliminooxy,
45 4-methylpent-2-yliminooxy and 3,3-dimethylbut-2-yliminooxy;

00422T-90051460

- 5 - C₁-C₆-alkylthio: C₁-C₄-alkylthio as mentioned above and, for example, pentylthio, 1-methylbutylthio, 2-methylbutylthio, 3-methylbutylthio, 2,2-dimethylpropylthio, 1-ethylpropylthio, hexylthio, 1,1-dimethylpropylthio, 1,2-dimethylpropylthio, 1-methylpentylthio, 2-methylpentylthio, 3-methylpentylthio, 10 4-methylpentylthio, 1,1-dimethylbutylthio, 1,2-dimethylbutylthio, 1,3-dimethylbutylthio, 2,2-dimethylbutylthio, 2,3-dimethylbutylthio, 3,3-dimethylbutylthio, 1-ethylbutylthio, 2-ethylbutylthio, 1,1,2-trimethylpropylthio, 1,2,2-trimethylpropylthio, 15 1-ethyl-1-methylpropylthio and 1-ethyl-2-methylpropylthio;

- C₁-C₄-haloalkylthio: a C₁-C₄-alkylthio radical as mentioned above, which is partially or fully substituted by fluorine, chlorine, bromine and/or iodine, for example fluoromethylthio, difluoromethylthio, trifluoromethylthio, chlorodifluoromethylthio, bromodifluoromethylthio, 2-fluoroethylthio, 2-chloroethylthio, 2-bromoethylthio, 2-iodoethylthio, 2,2-difluoroethylthio, 2,2,2-trifluoroethylthio, 2,2,2-trichloroethylthio, 2-chloro-2-fluoroethylthio, 2-chloro-2,2-difluoroethylthio, 2,2-dichloro-2-fluoroethylthio, pentafluoroethylthio, 2-fluoropropylthio, 3-fluoropropylthio, 2-chloropropylthio, 3-chloropropylthio, 2-bromopropylthio, 3-bromopropylthio, 2,2-difluoropropylthio, 2,3-difluoropropylthio, 2,3-dichloropropylthio, 3,3,3-trifluoropropylthio, 3,3,3-trichloropropylthio, 2,2,3,3,3-pentafluoropropylthio, heptafluoropropylthio, 1-(fluoromethyl)-2-fluoroethylthio, 1-(chloromethyl)-2-chloroethylthio, 1-(bromomethyl)-2-bromoethylthio, 4-fluorobutylthio, 4-chlorobutylthio, 4-bromobutylthio and nonafluorobutylthio;

- 40 - C₁-C₆-haloalkylthio: C₁-C₄-haloalkylthio as mentioned above and, for example, 5-fluoropentylthio, 5-chloropentylthio, 5-bromopentylthio, 5-iodopentylthio, undecafluoropentylthio, 6-fluorohexylthio, 6-chlorohexylthio, 6-bromohexylthio, 6-iodohexylthio and dodecafluorohexylthio;

- 45 - C₁-C₆-alkylsulfinyl (C₁-C₆-alkyl-S(=O)-): for example
methalsulfinyl, ethylsulfinyl, propylsulfinyl,
1-methylethylsulfinyl, butylsulfinyl, 1-methylpropylsulfinyl,
2-methylpropylsulfinyl, 1,1-dimethylethylsulfinyl,

- pentylsulfinyl, 1-methylbutylsulfinyl, 2-methylbutylsulfinyl,
 3-methylbutylsulfinyl, 2,2-dimethylpropylsulfinyl,
 1-ethylpropylsulfinyl, 1,1-dimethylpropylsulfinyl,
 1,2-dimethylpropylsulfinyl, hexylsulfinyl,
 5 1-methylpentylsulfinyl, 2-methylpentylsulfinyl,
 3-methylpentylsulfinyl, 4-methylpentylsulfinyl,
 1,1-dimethylbutylsulfinyl, 1,2-dimethylbutylsulfinyl,
 1,3-dimethylbutylsulfinyl, 2,2-dimethylbutylsulfinyl,
 2,3-dimethylbutylsulfinyl, 3,3-dimethylbutylsulfinyl,
 10 1-ethylbutylsulfinyl, 2-ethylbutylsulfinyl,
 1,1,2-trimethylpropylsulfinyl, 1,2,2-trimethylpropylsulfinyl,
 1-ethyl-1-methylpropylsulfinyl and
 1-ethyl-2-methylpropylsulfinyl;
- 15 C₁-C₆-haloalkylsulfinyl: a C₁-C₆-alkylsulfinyl radical as
 mentioned above which is partially or fully substituted by
 fluorine, chlorine, bromine and/or iodine, for example
 fluoromethylsulfinyl, difluoromethylsulfinyl,
 20 trifluoromethylsulfinyl, chlorodifluoromethylsulfinyl,
 bromodifluoromethylsulfinyl, 2-fluoroethylsulfinyl,
 2-chloroethylsulfinyl, 2-bromoethylsulfinyl,
 2-iodoethylsulfinyl, 2,2-difluoroethylsulfinyl,
 2,2,2-trifluoroethylsulfinyl, 2,2,2-trichloroethylsulfinyl,
 2-chloro-2-fluoroethylsulfinyl,
 25 2-chloro-2,2-difluoroethylsulfinyl,
 2,2-dichloro-2-fluoroethylsulfinyl, pentafluoroethylsulfinyl,
 2-fluoropropylsulfinyl, 3-fluoropropylsulfinyl,
 2-chloropropylsulfinyl, 3-chloropropylsulfinyl,
 2-bromopropylsulfinyl, 3-bromopropylsulfinyl,
 30 2,2-difluoropropylsulfinyl, 2,3-difluoropropylsulfinyl,
 2,3-dichloropropylsulfinyl, 3,3,3-trifluoropropylsulfinyl,
 3,3,3-trichloropropylsulfinyl,
 2,2,3,3,3-pentafluoropropylsulfinyl,
 heptafluoropropylsulfinyl,
 35 1-(fluoromethyl)-2-fluoroethylsulfinyl,
 1-(chloromethyl)-2-chloroethylsulfinyl,
 1-(bromomethyl)-2-bromoethylsulfinyl, 4-fluorobutylsulfinyl,
 4-chlorobutylsulfinyl, 4-bromobutylsulfinyl,
 nonafluorobutylsulfinyl, 5-fluoropentylsulfinyl,
 40 5-chloropentylsulfinyl, 5-bromopentylsulfinyl,
 5-iodopentylsulfinyl, undecafluoropentylsulfinyl,
 6-fluorohexylsulfinyl, 6-chlorohexylsulfinyl,
 6-bromohexylsulfinyl, 6-iodohexylsulfinyl and
 dodecafluorohexylsulfinyl;
- 45

002227 9006 422700

C₁-C₆-haloalkylsulfonyl: a C₁-C₆-alkylsulfonyl radical as mentioned above which is partially or fully substituted by fluorine, chlorine, bromine and/or iodine, for example fluoromethylsulfonyl, difluoromethylsulfonyl, trifluoromethylsulfonyl, chlorodifluoromethylsulfonyl, bromodifluoromethylsulfonyl, 2-fluoroethylsulfonyl, 2-chloroethylsulfonyl, 2-bromoethylsulfonyl, 2-iodoethylsulfonyl, 2,2-difluoroethylsulfonyl, 2,2,2-trifluoroethylsulfonyl, 2-chloro-2-fluoroethylsulfonyl, 2-chloro-2,2-difluoroethylsulfonyl, 2,2-dichloro-2-fluoroethylsulfonyl, 2,2,2-trichloroethylsulfonyl, pentafluoroethylsulfonyl, 2-fluoropropylsulfonyl, 3-fluoropropylsulfonyl, 2-chloropropylsulfonyl, 3-chloropropylsulfonyl, 2-bromopropylsulfonyl, 3-bromopropylsulfonyl, 2,2-difluoropropylsulfonyl, 2,3-difluoropropylsulfonyl, 2,3-dichloropropylsulfonyl, 3,3,3-trifluoropropylsulfonyl, 3,3,3-trichloropropylsulfonyl, 2,2,3,3,3-pentafluoropropylsulfonyl, heptafluoropropylsulfonyl, 1-(fluoromethyl)-2-fluoroethylsulfonyl, 1-(chloromethyl)-2-chloroethylsulfonyl, 1-(bromomethyl)-2-bromoethylsulfonyl, 4-fluorobutylsulfonyl, 4-chlorobutylsulfonyl, 4-bromobutylsulfonyl, nonafluorobutylsulfonyl, 5-fluoropentylsulfonyl, 5-chloropentylsulfonyl, 5-bromopentylsulfonyl, 5-iodopentylsulfonyl, 6-fluorohexylsulfonyl, 6-bromohexylsulfonyl, 6-iodohexylsulfonyl and dodecafluorohexylsulfonyl;

- C₁-C₄-alkoxycarbonyl: for example methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, 1-methylethoxycarbonyl, butoxycarbonyl, 1-methylpropoxycarbonyl, 2-methylpropoxycarbonyl and 1,1-dimethoxycarbonyl;
- 5
- C₁-C₄-haloalkoxycarbonyl: a C₁-C₄-alkoxycarbonyl as mentioned above which is partially or fully substituted by fluorine, chlorine, bromine and/or iodine, for example
- 10 fluoromethoxycarbonyl, difluoromethoxycarbonyl, trifluoromethoxycarbonyl, chlorodifluoromethoxycarbonyl, bromodifluoromethoxycarbonyl, 2-fluoroethoxycarbonyl, 2-chloroethoxycarbonyl, 2-bromoethoxycarbonyl, 2-iodoethoxycarbonyl, 2,2-difluoroethoxycarbonyl, 2,2,2-trifluoroethoxycarbonyl,
- 15 2-chloro-2-fluoroethoxycarbonyl, 2-chloro-2,2-difluoroethoxycarbonyl, 2,2-dichloro-2-fluoroethoxycarbonyl, 2,2,2-trichloroethoxycarbonyl, pentafluoroethoxycarbonyl, 2-fluoropropoxycarbonyl, 3-fluoropropoxycarbonyl,
- 20 2-chloropropoxycarbonyl, 3-chloropropoxycarbonyl, 2-bromopropoxycarbonyl, 3-bromopropoxycarbonyl, 2,2-difluoropropoxycarbonyl, 2,3-difluoropropoxycarbonyl, 2,3-dichloropropoxycarbonyl, 3,3,3-trifluoropropoxycarbonyl, 3,3,3-trichloropropoxycarbonyl,
- 25 2,2,3,3,3-pentafluoropropoxycarbonyl, heptafluoropropoxycarbonyl, 1-(fluoromethyl)-2-fluoroethoxycarbonyl, 1-(chloromethyl)-2-chloroethoxycarbonyl, 1-(bromomethyl)-2-bromoethoxycarbonyl,
- 30 4-fluorobutoxycarbonyl, 4-chlorobutoxycarbonyl, 4-bromobutoxycarbonyl and 4-iodobutoxycarbonyl;
- C₃-C₆-alkenyloxy: for example prop-1-en-1-yloxy, prop-2-en-1-yloxy, 1-methylethenyloxy, buten-1-yloxy,
- 35 buten-2-yloxy, buten-3-yloxy, 1-methylprop-1-en-1-yloxy, 2-methylprop-1-en-1-yloxy, 1-methylprop-2-en-1-yloxy, 2-methylprop-2-en-1-yloxy, penten-1-yloxy, penten-2-yloxy, penten-3-yloxy, penten-4-yloxy, 1-methylbut-1-en-1-yloxy,
- 40 2-methylbut-1-en-1-yloxy, 3-methylbut-1-en-1-yloxy, 1-methylbut-2-en-1-yloxy, 2-methylbut-2-en-1-yloxy, 3-methylbut-2-en-1-yloxy, 1-methylbut-3-en-1-yloxy, 2-methylbut-3-en-1-yloxy, 3-methylbut-3-en-1-yloxy, 1,1-dimethylprop-2-en-1-yloxy, 1,2-dimethylprop-1-en-1-yloxy,
- 45 1,2-dimethylprop-2-en-1-yloxy, 1-ethylprop-1-en-2-yloxy, 1-ethylprop-2-en-1-yloxy, hex-1-en-1-yloxy, hex-2-en-1-yloxy, hex-3-en-1-yloxy, hex-4-en-1-yloxy, hex-5-en-1-yloxy, 1-methylpent-1-en-1-yloxy, 2-methylpent-1-en-1-yloxy,

0074-8006-122700

3-methylpent-1-en-1-yloxy, 4-methylpent-1-en-1-yloxy,
1-methylpent-2-en-1-yloxy, 2-methylpent-2-en-1-yloxy,
3-methylpent-2-en-1-yloxy, 4-methylpent-2-en-1-yloxy,
1-methylpent-3-en-1-yloxy, 2-methylpent-3-en-1-yloxy,
5 3-methylpent-3-en-1-yloxy, 4-methylpent-3-en-1-yloxy,
1-methylpent-4-en-1-yloxy, 2-methylpent-4-en-1-yloxy,
3-methylpent-4-en-1-yloxy, 4-methylpent-4-en-1-yloxy,
1,1-dimethylbut-2-en-1-yloxy, 1,1-dimethylbut-3-en-1-yloxy,
1,2-dimethylbut-1-en-1-yloxy, 1,2-dimethylbut-2-en-1-yloxy,
10 1,2-dimethylbut-3-en-1-yloxy, 1,3-dimethylbut-1-en-1-yloxy,
1,3-dimethylbut-2-en-1-yloxy, 1,3-dimethylbut-3-en-1-yloxy,
2,2-dimethylbut-3-en-1-yloxy, 2,3-dimethylbut-1-en-1-yloxy,
2,3-dimethylbut-2-en-1-yloxy, 2,3-dimethylbut-3-en-1-yloxy,
3,3-dimethylbut-1-en-1-yloxy, 3,3-dimethylbut-2-en-1-yloxy,
15 1-ethylbut-1-en-1-yloxy, 1-ethylbut-2-en-1-yloxy,
1-ethylbut-3-en-1-yloxy, 2-ethylbut-1-en-1-yloxy,
2-ethylbut-2-en-1-yloxy, 2-ethylbut-3-en-1-yloxy,
1,1,2-trimethylprop-2-en-1-yloxy,
1-ethyl-1-methylprop-2-en-1-yloxy,
20 1-ethyl-2-methylprop-1-en-1-yloxy and
1-ethyl-2-methylprop-2-en-1-yloxy;

- C₃-C₆-alkynyloxy: for example prop-1-yn-1-yloxy,
prop-2-yn-1-yloxy, but-1-yn-1-yloxy, but-1-yn-3-yloxy,
25 but-1-yn-4-yloxy, but-2-yn-1-yloxy, pent-1-yn-1-yloxy,
pent-1-yn-3-yloxy, pent-1-yn-4-yloxy, pent-1-yn-5-yloxy,
pent-2-yn-1-yloxy, pent-2-yn-4-yloxy, pent-2-yn-5-yloxy,
3-methylbut-1-yn-3-yloxy, 3-methylbut-1-yn-4-yloxy,
hex-1-yn-1-yloxy, hex-1-yn-3-yloxy, hex-1-yn-4-yloxy,
30 hex-1-yn-5-yloxy, hex-1-yn-6-yloxy, hex-2-yn-1-yloxy,
hex-2-yn-4-yloxy, hex-2-yn-5-yloxy, hex-2-yn-6-yloxy,
hex-3-yn-1-yloxy, hex-3-yn-2-yloxy,
3-methylpent-1-yn-1-yloxy, 3-methylpent-1-yn-3-yloxy,
3-methylpent-1-yn-4-yloxy, 3-methylpent-1-yn-5-yloxy,
35 4-methylpent-1-yn-1-yloxy, 4-methylpent-2-yn-4-yloxy and
4-methylpent-2-yn-5-yloxy;

- di(C₁-C₄-alkyl)amino: for example N,N-dimethylamino,
N,N-diethylamino, N,N-dipropylamino,
40 N,N-di(1-methylethyl)amino, N,N-dibutylamino,
N,N-di(1-methylpropyl)amino, N,N-di(2-methylpropyl)amino,
N,N-di(1,1-dimethylethyl)amino, N-ethyl-N-methylamino,
N-methyl-N-propylamino, N-methyl-N-(1-methylethyl)amino,
N-butyl-N-methylamino, N-methyl-N-(1-methylpropyl)amino,
45 N-methyl-N-(2-methylpropyl)amino,
N-(1,1-dimethylethyl)-N-methylamino, N-ethyl-N-propylamino,
N-ethyl-N-(1-methylethyl)amino, N-butyl-N-ethylamino,

- [2,2-di(C₁-C₄-alkyl)-1-hydrazino], and the dialkylhydrazino
 20 moieties of [2,2-di(C₁-C₄-alkyl)-1-hydrazino]-C₁-C₄-alkyl: for
 example 2,2-dimethylhydrazino-1, 2,2-diethylhydrazino-1,
 2,2-dipropylhydrazino-1, 2,2-di(1-methylethyl)-1-hydrazino,
 2,2-dibutylhydrazino-1, 2,2-di(1-methylpropyl)-1-hydrazino,
 2,2-di(2-methylpropyl)-1-hydrazino,
 25 2,2-di(1,1-dimethylethyl)-1-hydrazino,
 2-ethyl-2-methyl-1-hydrazino, 2-methyl-2-propyl-1-hydrazino,
 2-methyl-2-(1-methylethyl)-1-hydrazino,
 2-butyl-2-methyl-1-hydrazino,
 2-methyl-2-(1-methylpropyl)-1-hydrazino,
 30 2-methyl-2-(2-methylpropyl)-1-hydrazino,
 2-(1,1-dimethylethyl)-2-methyl-1-hydrazino,
 2-ethyl-2-propyl-1-hydrazino,
 2-ethyl-2-(1-methylethyl)-1-hydrazino,
 2-butyl-2-ethyl-1-hydrazino,
 35 2-ethyl-2-(1-methylpropyl)-1-hydrazino,
 2-ethyl-2-(2-methylpropyl)-1-hydrazino,
 2-ethyl-2-(1,1-dimethylethyl)-1-hydrazino,
 2-(1-methylethyl)-2-propyl-1-hydrazino,
 2-butyl-2-propyl-1-hydrazino,
 40 2-(1-methylpropyl)-2-propyl-1-hydrazino,
 2-(2-methylpropyl)-2-propyl-1-hydrazino,
 2-(1,1-dimethylethyl)-2-propyl-1-hydrazino,
 2-butyl-2-(1-methylethyl)-1-hydrazino,
 2-(1-methylethyl)-2-(1-methylpropyl)-1-hydrazino,
 45 2-(1-methylethyl)-2-(2-methylpropyl)-1-hydrazino,
 2-(1,1-dimethylethyl)-2-(1-methylethyl)-1-hydrazino,
 2-butyl-2-(1-methylpropyl)-1-hydrazino,

- 2-butyl-2-(2-methylpropyl)-1-hydrazino,
 2-butyl-2-(1,1-dimethylethyl)-1-hydrazino,
 2-(1-methylpropyl)-2-(2-methylpropyl)-1-hydrazino,
 2-(1,1-dimethylethyl)-2-(1-methylpropyl)-1-hydrazino and
 5 2-(1,1-dimethylethyl)-2-(2-methylpropyl)-1-hydrazino;
- di(C₁-C₄-alkyl)amino-C₁-C₄-alkyl: C₁-C₄-alkyl which is substituted by di(C₁-C₄-alkyl)amino as mentioned above, for
 example N,N-dimethylaminomethyl, N,N-diethylaminomethyl,
 10 N,N-dipropylaminomethyl, N,N-di(1-methylethyl)aminomethyl,
 N,N-dibutylaminomethyl, N,N-di(1-methylpropyl)aminomethyl,
 N,N-di(2-methylpropyl)aminomethyl,
 N,N-di(1,1-dimethylethyl)aminomethyl,
 N-ethyl-N-methylaminomethyl, N-methyl-N-propylaminomethyl,
 15 N-methyl-N-(1-methylethyl)aminomethyl,
 N-butyl-N-methylaminomethyl,
 N-methyl-N-(1-methylpropyl)aminomethyl,
 N-methyl-N-(2-methylpropyl)aminomethyl,
 N-(1,1-dimethylethyl)-N-methylaminomethyl,
 20 N-ethyl-N-propylaminomethyl,
 N-ethyl-N-(1-methylethyl)aminomethyl,
 N-butyl-N-ethylaminomethyl,
 N-ethyl-N-(1-methylpropyl)aminomethyl,
 N-ethyl-N-(2-methylpropyl)aminomethyl, N-ethyl-N-(1,1-di-
 25 methylethyl)aminomethyl,
 N-(1-methylethyl)-N-propylaminomethyl,
 N-butyl-N-propylaminomethyl,
 N-(1-methylpropyl)-N-propylaminomethyl,
 N-(2-methylpropyl)-N-propylaminomethyl,
 30 N-(1,1-dimethylethyl)-N-propylaminomethyl, N-butyl-N-
 (1-methylethyl)aminomethyl,
 N-(1-methylethyl)-N-(1-methylpropyl)aminomethyl,
 N-(1-methylethyl)-N-(2-methylpropyl)aminomethyl,
 N-(1,1-dimethylethyl)-N-(1-methylethyl)aminomethyl,
 35 N-butyl-N-(1-methylpropyl)aminomethyl,
 N-butyl-N-(2-methylpropyl)aminomethyl, N-butyl-N-
 (1,1-dimethylethyl)aminomethyl,
 N-(1-methylpropyl)-N-(2-methylpropyl)aminomethyl,
 N-(1,1-dimethylethyl)-N-(1-methylpropyl)aminomethyl,
 40 N-(1,1-dimethylethyl)-N-(2-methylpropyl)aminomethyl,
 2-(N,N-dimethylamino)ethyl, 2-(N,N-diethylamino)ethyl,
 2-(N,N-dipropylamino)ethyl,
 2-[N,N-di(1-methylethyl)amino]ethyl,
 2-[N,N-dibutylamino]ethyl,
 45 2-[N,N-di(1-methylpropyl)amino]ethyl,
 2-[N,N-di(2-methylpropyl)amino]ethyl, 2-[N,N-di(1,1-
 dimethylethyl)amino]ethyl, 2-[N-ethyl-N-methylamino]ethyl,

0048006-42700

15

- 2- [N-methyl-N-propylamino] ethyl,
 2- [N-methyl-N- (1-methylethyl) amino] ethyl,
 2- [N-butyl-N-methylamino] ethyl,
 2- [N-methyl-N- (1-methylpropyl) amino] ethyl,
 5 2- [N-methyl-N- (2-methylpropyl) amino] ethyl,
 2- [N- (1,1-dimethylethyl) -N-methylamino] ethyl,
 2- [N-ethyl-N-propylamino] ethyl,
 2- [N-ethyl-N- (1-methylethyl) amino] ethyl,
 2- [N-butyl-N-ethylamino] ethyl,
 10 2- [N-ethyl-N- (1-methylpropyl) amino] ethyl,
 2- [N-ethyl-N- (2-methylpropyl) amino] ethyl,
 2- [N-ethyl-N- (1,1-dimethylethylamino] ethyl,
 2- [N- (1-methylethyl) -N-propylamino] ethyl,
 2- [N-butyl-N-propylamino] ethyl,
 15 2- [N- (1-methylpropyl) -N-propylamino] ethyl,
 2- [N- (2-methylpropyl) -N-propylamino] ethyl,
 2- [N- (1,1-dimethylethyl) -N-propylamino] ethyl,
 2- [N-butyl-N- (1-methylethyl) amino] ethyl,
 2- [N- (1-methylethyl) -N- (1-methylpropyl) amino] ethyl,
 20 2- [N- (1-methylethyl) -N- (2-methylpropyl) amino] ethyl,
 2- [N- (1,1-dimethylethyl) -N- (1-methylethyl) amino] ethyl,
 2- [N-butyl-N- (1-methylpropyl) amino] ethyl,
 2- [N-butyl-N- (2-methylpropyl) amino] ethyl,
 2- [N-butyl-N- (1,1-dimethylethyl) amino] ethyl,
 25 2- [N- (1-methylpropyl) -N- (2-methylpropyl) amino] ethyl,
 2- [N- (1,1-dimethylethyl) -N- (1-methylpropyl) amino] ethyl,
 2- [N- (1,1-dimethylethyl) -N- (2-methylpropyl) amino] ethyl,
 3- (N,N-dimethylamino) propyl, 3- (N,N-diethylamino) propyl,
 4- (N,N-dimethylamino) butyl und 4- (N,N-diethylamino) butyl;
 30
- C₁-C₄-alkoxy-C₁-C₄-alkyl: C₁-C₄-alkyl which is substituted by
 C₁-C₄-alkoxy as mentioned above, for example methoxymethyl,
 ethoxymethyl, propoxymethyl, (1-methylethoxy)methyl,
 butoxymethyl, (1-methylpropoxy)methyl,
 35 (2-methylpropoxy)methyl, (1,1-dimethylethoxy)methyl,
 2- (methoxy) ethyl, 2- (ethoxy) ethyl, 2- (propoxy) ethyl,
 2- (1-methylethoxy) ethyl, 2- (butoxy) ethyl,
 2- (1-methylpropoxy) ethyl, 2- (2-methylpropoxy) ethyl,
 2- (1,1-dimethylethoxy) ethyl, 2- (methoxy) -propyl,
 40 2- (ethoxy) propyl, 2- (propoxy) propyl,
 2- (1-methylethoxy) propyl, 2- (butoxy) propyl,
 2- (1-methylpropoxy) propyl, 2- (2-methylpropoxy) propyl,
 2- (1,1-dimethylethoxy) propyl, 3- (methoxy) propyl,
 3- (ethoxy) -propyl, 3- (propoxy) propyl,
 45 3- (1-methylethoxy) propyl, 3- (butoxy) propyl,
 3- (1-methylpropoxy) propyl, 3- (2-methylpropoxy) propyl,
 3- (1,1-dimethylethoxy) propyl, 2- (methoxy) butyl,

00000000-00000000

- 2-(ethoxy)butyl, 2-(propoxy)butyl, 2-(1-methylethoxy)butyl,
 2-(butoxy)butyl, 2-(1-methylpropoxy)butyl,
 2-(2-methylpropoxy)butyl, 2-(1,1-dimethylethoxy)butyl,
 3-(methoxy)butyl, 3-(ethoxy)butyl, 3-(propoxy)butyl,
 5 3-(1-methylethoxy)butyl, 3-(butoxy)butyl,
 3-(1-methylpropoxy)butyl, 3-(2-methylpropoxy)butyl,
 3-(1,1-dimethylethoxy)butyl, 4-(methoxy)butyl,
 4-(ethoxy)butyl, 4-(propoxy)butyl, 4-(1-methylethoxy)butyl,
 4-(butoxy)butyl, 4-(1-methylpropoxy)butyl,
 10 4-(2-methylpropoxy)butyl and 4-(1,1-dimethylethoxy)butyl;
- C₁-C₄-alkylthio-C₁-C₄-alkyl: C₁-C₄-alkyl which is substituted
 by C₁-C₄-alkylthio as mentioned above, for example
 methylthiomethyl, ethylthiomethyl, propylthiomethyl,
 15 (1-methylethylthio)methyl, butylthiomethyl,
 (1-methylpropylthio)methyl, (2-methylpropylthio)methyl,
 (1,1-dimethylethylthio)methyl, 2-methylthioethyl,
 2-ethylthioethyl, 2-(propylthio)ethyl,
 2-(1-methylethylthio)ethyl, 2-(butylthio)ethyl,
 20 2-(1-methylpropylthio)ethyl, 2-(2-methylpropylthio)ethyl,
 2-(1,1-dimethylethylthio)ethyl, 2-(methylthio)propyl,
 3-(methylthio)propyl, 2-(ethylthio)propyl,
 3-(ethylthio)propyl, 3-(propylthio)propyl,
 3-(butylthio)propyl, 4-(methylthio)butyl, 4-(ethylthio)butyl,
 25 4-(propylthio)butyl and 4-(butylthio)butyl;
- C₁-C₄-alkoxycarbonyl-C₁-C₄-alkyl: C₁-C₄-alkyl which is
 substituted by C₁-C₄-alkoxycarbonyl as mentioned above, for
 example methoxycarbonylmethyl, ethoxycarbonylmethyl,
 30 propoxycarbonylmethyl, (1-methylethoxycarbonyl)methyl,
 butoxycarbonylmethyl, (1-methylpropoxycarbonyl)methyl,
 (2-methylpropoxycarbonyl)methyl,
 (1,1-dimethylethoxycarbonyl)methyl, 2-(methoxycarbonyl)ethyl,
 2-(ethoxycarbonyl)ethyl, 2-(propoxycarbonyl)ethyl,
 35 2-(1-methylethoxycarbonyl)ethyl, 2-(butoxycarbonyl)ethyl,
 2-(1-methylpropoxycarbonyl)ethyl,
 2-(2-methylpropoxycarbonyl)ethyl,
 2-(1,1-dimethylethoxycarbonyl)ethyl,
 2-(methoxycarbonyl)propyl, 2-(ethoxycarbonyl)propyl,
 40 2-(propoxycarbonyl)propyl, 2-(1-methylethoxycarbonyl)propyl,
 2-(butoxycarbonyl)propyl, 2-(1-methylpropoxycarbonyl)propyl,
 2-(2-methylpropoxycarbonyl)propyl,
 2-(1,1-dimethylethoxycarbonyl)propyl,
 3-(methoxycarbonyl)propyl, 3-(ethoxycarbonyl)propyl,
 45 3-(propoxycarbonyl)propyl, 3-(1-methylethoxycarbonyl)propyl,
 3-(butoxycarbonyl)propyl, 3-(1-methylpropoxycarbonyl)propyl,
 3-(2-methylpropoxycarbonyl)propyl,

00448006-127000

- 3-(1,1-dimethylethoxycarbonyl)propyl,
 2-(methoxycarbonyl)butyl, 2-(ethoxycarbonyl)butyl,
 2-(propoxycarbonyl)butyl, 2-(1-methylethoxycarbonyl)butyl,
 2-(butoxycarbonyl)butyl, 2-(1-methylpropoxycarbonyl)butyl,
 5 2-(2-methylpropoxycarbonyl)butyl,
 2-(1,1-dimethylethoxycarbonyl)butyl,
 3-(methoxycarbonyl)butyl, 3-(ethoxycarbonyl)butyl,
 3-(propoxycarbonyl)butyl, 3-(1-methylethoxycarbonyl)butyl,
 3-(butoxycarbonyl)butyl, 3-(1-methylpropoxycarbonyl)butyl,
 10 3-(2-methylpropoxycarbonyl)butyl,
 3-(1,1-dimethylethoxycarbonyl)butyl, 4-(methoxycarbonyl)-
 butyl, 4-(ethoxycarbonyl)butyl, 4-(propoxycarbonyl)butyl,
 4-(1-methylethoxycarbonyl)butyl, 4-(butoxycarbonyl)butyl,
 4-(1-methylpropoxy)butoxy, 4-(2-methylpropoxy)butoxy und
 15 4-(1,1-dimethylethoxycarbonyl)butyl;
- C₁-C₄-alkoxy-C₂-C₄-alkoxy: C₂-C₄-alkoxy which is substituted
 by C₁-C₄-alkoxy as mentioned above, for example
 20 2-(methoxy)ethoxy, 2-(ethoxy)ethoxy, 2-(propoxy)ethoxy,
 2-(1-methylethoxy)ethoxy, 2-(butoxy)ethoxy,
 2-(1-methylpropoxy)ethoxy, 2-(2-methylpropoxy)ethoxy,
 2-(1,1-dimethylethoxy)ethoxy, 2-(methoxy)propoxy,
 2-(ethoxy)propoxy, 2-(propoxy)propoxy,
 25 2-(1-methylethoxy)propoxy, 2-(butoxy)propoxy,
 2-(1-methylpropoxy)propoxy, 2-(2-methylpropoxy)propoxy,
 2-(1,1-dimethylethoxy)propoxy, 3-(methoxy)propoxy,
 3-(ethoxy)propoxy, 3-(propoxy)propoxy,
 3-(1-methylethoxy)propoxy, 3-(butoxy)propoxy,
 30 3-(1-methylpropoxy)propoxy, 3-(2-methylpropoxy)propoxy,
 3-(1,1-dimethylethoxy)propoxy, 2-(methoxy)butoxy,
 2-(ethoxy)butoxy, 2-(propoxy)butoxy,
 2-(1-methylethoxy)butoxy, 2-(butoxy)butoxy,
 2-(1-methylpropoxy)butoxy, 2-(2-methylpropoxy)butoxy,
 2-(1,1-dimethylethoxy)butoxy, 3-(methoxy)butoxy, 3-(ethoxy)-
 35 butoxy, 3-(propoxy)butoxy, 3-(1-methylethoxy)butoxy,
 3-(butoxy)butoxy, 3-(1-methylpropoxy)butoxy,
 3-(2-methylpropoxy)butoxy, 3-(1,1-dimethylethoxy)butoxy,
 4-(methoxy)butoxy, 4-(ethoxy)butoxy, 4-(propoxy)butoxy,
 4-(1-methylethoxy)butoxy, 4-(butoxy)butoxy,
 40 4-(1-methylpropoxy)butoxy, 4-(2-methylpropoxy)butoxy and
 4-(1,1-dimethylethoxy)butoxy;
- C₂-C₆-alkanediyl: for example ethane-1,2-diyl,
 propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl and
 45 hexane-1,6-diyl;

DocId: 30081400

- C₃-C₈-cycloalkyl: for example cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl or cyclooctyl;

5 All phenyl rings are preferably unsubstituted or have attached to them one to three halogen atoms and/or a nitro group, a cyano radical and/or one or two methyl, trifluoromethyl, methoxy or trifluoromethoxy substituents.

10 Preference is given to the 3-heterocyclyl-substituted benzoyl derivatives of the formula I where the variables have the following meanings:

- 15 R¹, R² are hydrogen, nitro, halogen, cyano, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkylthio, C₁-C₆-haloalkylthio, C₁-C₆-alkylsulfinyl, C₁-C₆-haloalkylsulfinyl, C₁-C₆-alkylsulfonyl or C₁-C₆-haloalkylsulfonyl;
- 20 R³ is hydrogen, halogen or C₁-C₆-alkyl;
- 25 R⁴, R⁵ are hydrogen, halogen, cyano, nitro, C₁-C₄-alkyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, di(C₁-C₄-alkoxy)-C₁-C₄-alkyl, di(C₁-C₄-alkyl)-amino-C₁-C₄-alkyl, [2,2-di(C₁-C₄-alkyl)-1-hydrazino]-C₁-C₄-alkyl, C₁-C₆-alkyliminoxy-C₁-C₄-alkyl, C₁-C₄-alkoxycarbonyl-C₁-C₄-alkyl, C₁-C₄-alkylthio-C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-cyanoalkyl, C₃-C₈-cycloalkyl, C₁-C₄-alkoxy, C₁-C₄-alkoxy-C₂-C₄-alkoxy,
- 30 C₁-C₄-haloalkoxy, C₁-C₄-alkylthio, C₁-C₄-haloalkylthio, di(C₁-C₄-alkyl)amino, COR⁶, phenyl or benzyl, it being possible for the two last-mentioned substituents to be fully or partially halogenated and/or to have attached to them one to three of the following groups:
- 35 nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

or

- 40 R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

45

or

0044006-13300

19

R⁴ and R⁵ together with the corresponding carbon form a carbonyl or thiocarbonyl group;

5 R⁶ is C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-alkoxy-C₂-C₄-alkoxy, C₁-C₄-haloalkoxy, C₃-C₆-alkenyloxy, C₃-C₆-alkynyloxy or NR⁷R⁸;

R⁷ is hydrogen or C₁-C₄-alkyl;

10 R⁸ is C₁-C₄-alkyl;

X is O, S, NR⁹, CO or CR¹⁰R¹¹;

15 Y is O, S, NR¹², CO or CR¹³R¹⁴;

R⁹, R¹² are hydrogen or C₁-C₄-alkyl;

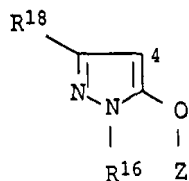
20 R¹⁰, R¹¹, R¹³, R¹⁴ are hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxycarbonyl, C₁-C₄-haloalkoxycarbonyl or CONR⁷R⁸;

or

25 R⁴ and R⁹ or R⁴ and R¹⁰ or R⁵ and R¹² or R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or interrupted by oxygen or by a nitrogen which is unsubstituted or
30 substituted by C₁-C₄-alkyl;

R¹⁵ is a pyrazole of the formula II which is linked in the 4-position

35



II

40

where

45 R¹⁶ is C₁-C₆-alkyl;

Z is H or SO₂R¹⁷;

5 R¹⁷ is C₁-C₄-alkyl, C₁-C₄-haloalkyl, phenyl or phenyl which is partially or fully halogenated and/or has attached to it one to three of the following groups:
nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

10 R¹⁸ is hydrogen or C₁-C₆-alkyl;

where X and Y are not simultaneously oxygen or sulfur;

15 with the exception of 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1-ethyl-5-hydroxy-1H-pyrazole,
4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole,
4-[2-chloro-3-(5-cyano-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole,
20 4-[2-chloro-3-(4,5-dihydrothiazol-2-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole and
4-[2-chloro-3-(thiazoline-4,5-dione-2-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole;

25 or the agriculturally useful salts thereof.

With a view to the use of the compounds of the formula I
30 according to the invention as herbicides, the variables preferably have the following meanings, in each case alone or in combination:

35 R¹, R² are nitro, halogen, cyano, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkylthio, C₁-C₆-haloalkylthio, C₁-C₆-alkylsulfinyl, C₁-C₆-haloalkylsulfinyl, C₁-C₆-alkylsulfonyl or C₁-C₆-haloalkylsulfonyl;
40 especially preferably nitro, halogen such as, for example, chlorine and bromine, C₁-C₆-alkyl such as, for example, methyl and ethyl, C₁-C₆-alkoxy such as, for example, methoxy and ethoxy, C₁-C₆-haloalkyl such as, for example, difluoromethyl and trifluoromethyl, C₁-C₆-alkylthio such as, for example, methylthio and ethylthio,
45 C₁-C₆-alkylsulfinyl such as, for example, methylsulfinyl and ethylsulfinyl, C₁-C₆-alkylsulfonyl such as, for example, methylsulfonyl, ethylsulfonyl and

002430005-122700

R⁴, R⁵ are hydrogen, halogen, cyano, nitro, C₁-C₄-alkyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, di(C₁-C₄-alkoxy)-C₁-C₄-alkyl, di(C₁-C₄-alkyl)amino-C₁-C₄-alkyl, [2,2-di(C₁-C₄-alkyl)hydrazino-1]-C₁-C₄-alkyl, C₁-C₆-alkyliminoxy-C₁-C₄-alkyl, C₁-C₄-alkoxycarbonyl-C₁-C₄-alkyl, C₁-C₄-alkylthio-C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-cyanoalkyl, C₃-C₈-cycloalkyl, C₁-C₄-alkoxy, C₁-C₄-alkoxy-C₂-C₄-alkoxy, C₁-C₄-haloalkoxy, C₁-C₄-alkylthio, C₁-C₄-haloalkylthio, di(C₁-C₄-alkyl)amino, COR⁶, phenyl or benzyl, it being possible for the two last-mentioned substituents to be partially or fully halogenated and/or to have attached to them one to three of the following groups: nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

R⁴ and R⁵ especially preferably form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

45 R⁷ is hydrogen or C₁-C₄-alkyl;

- R^8 is C_1-C_4 -alkyl;
- X is O, S, NR^9 , CO or $CR^{10}R^{11}$;
- Y is O, S, NR^{12} or $CR^{13}R^{14}$;
- R^9, R^{12} are hydrogen or C_1-C_4 -alkyl;
- $R^{10}, R^{11}, R^{13}, R^{14}$ are hydrogen, C_1-C_4 -alkyl, C_1-C_4 -haloalkyl, C_1-C_4 -alkoxycarbonyl, C_1-C_4 -haloalkoxycarbonyl or $CONR^7R^8$;
- or
- R^4 and R^9 or R^4 and R^{10} or R^5 and R^{12} or R^5 and R^{13} together form a C_2-C_6 -alkanediyl chain which can be mono- to tetrasubstituted by C_1-C_4 -alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C_1-C_4 -alkyl;
- R^{16} is C_1-C_6 -alkyl; especially preferably methyl, ethyl, propyl, 2-methylpropyl or butyl;
- Z is H or SO_2R^{17} ;
- R^{17} is C_1-C_4 -alkyl, phenyl or phenyl which is partially or fully halogenated and/or has attached to it one to three of the following groups:
 nitro, cyano, C_1-C_4 -alkyl, C_1-C_4 -haloalkyl, C_1-C_4 -alkoxy or C_1-C_4 -haloalkoxy;
- R^{18} is hydrogen or C_1-C_6 -alkyl; especially preferably hydrogen or methyl.

The following embodiments of the 3-heterocyclyl-substituted benzoyl derivatives of the formula I must be emphasized:

- In a preferred embodiment of the 3-heterocyclyl-substituted benzoyl derivatives of the formula I, Z is SO_2R^{17} .

- Especially preferred are the 3-heterocyclyl-substituted benzoyl derivatives of the formula I, where R¹⁸ is hydrogen.
- Also especially preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I, where R¹⁸ is methyl.
- * Particularly preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I, where R¹⁷ is C₁-C₄-alkyl.
2. In a further preferred embodiment of the 3-heterocyclyl-substituted benzoyl derivatives of the formula I, Z is hydrogen.
- Especially preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where X is oxygen and Y is CR¹³R¹⁴.
- * Particularly preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where
- R⁴ is halogen, nitro, C₁-C₄-alkyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, C₁-C₄-alkoxycarbonyl-C₁-C₄-alkyl, C₁-C₄-alkylthio-C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-cyanoalkyl, C₃-C₈-cycloalkyl, C₁-C₄-alkoxy, C₁-C₄-Alkoxy-C₂-C₄-alkoxy, C₁-C₄-haloalkoxy, C₁-C₄-alkylthio, C₁-C₄-haloalkylthio, di(C₁-C₄-alkyl)amino, COR⁶, phenyl or benzyl, it being possible for the two last-mentioned substituents to be partially or fully halogenated and/or to have attached to them one to three of the following groups: nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;
- R⁵ is hydrogen or C₁-C₄-alkyl;
- or
- R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by

004227-90087450

5

10

15

20

or

25

30

35

40

45

25

- Extraordinarily preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where R^{18} is hydrogen.

5 Especially extraordinarily preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where

10 R^1 is nitro, C_1 - C_6 -alkyl such as, for example, methyl and ethyl, C_1 - C_6 -alkoxy such as, for example, methoxy and ethoxy, C_1 - C_6 -haloalkyl such as, for example, difluoromethyl and trifluoromethyl, C_1 - C_6 -alkylsulfonyl such as, for example, methylsulfonyl, ethylsulfonyl and propylsulfonyl, or

15 C_1 - C_6 -haloalkylsulfonyl such as, for example, trifluoromethylsulfonyl and pentafluoroethylsulfonyl;

20 Also especially extraordinarily preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where

25 R^2 is nitro, halogen such as, for example, chlorine and bromine, C_1 - C_6 -alkyl such as, for example, methyl and ethyl, C_1 - C_6 -haloalkyl such as, for example, difluoromethyl and trifluoromethyl, C_1 - C_6 -alkylthio such as, for example, methylthio and ethylthio, C_1 - C_6 -alkylsulfinyl such as, for example, methylsulfinyl and ethylsulfinyl, C_1 - C_6 -alkylsulfonyl such as, for example, methylsulfonyl, ethylsulfonyl and propylsulfonyl, or C_1 - C_6 -haloalkylsulfonyl such as, for example, trifluoromethylsulfonyl and pentafluoroethylsulfonyl.

30

35

40 Also especially extraordinarily preferred is 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1-methyl-5-hydroxy-1H-pyrazole.

45

0044006 40081460

10

15

- 20

25

30

35

40

45

27

methylthio and ethylthio,
 C₁-C₆-alkylsulfinyl such as, for example,
 methylsulfinyl and ethylsulfinyl,
 C₁-C₆-alkylsulfonyl such as, for example,
 methylsulfonyl, ethylsulfonyl and
 propylsulfonyl, or C₁-C₆-haloalkylsulfonyl
 such as, for example,
 trifluoromethylsulfonyl and
 pentafluoroethylsulfonyl.

Also especially preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where

X is S, NR⁹, CO or CR¹⁰R¹¹;

or

Y is O, S, NR¹² or CO.

* Particularly preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where R¹⁸ is hydrogen.

* Also particularly preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where R¹⁸ is C₁-C₆-alkyl.

• Extraordinarily preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where

R⁴ is halogen, cyano, nitro, C₁-C₄-alkyl,
 C₁-C₄-alkoxy-C₁-C₄-alkyl,
 C₁-C₄-alkoxycarbonyl-C₁-C₄-alkyl,
 C₁-C₄-alkylthio-C₁-C₄-alkyl, C₁-C₄-haloalkyl,
 C₁-C₄-cyanoalkyl, C₃-C₈-cycloalkyl,
 C₁-C₆-alkoxy, C₁-C₄-alkoxy-C₁-C₄-alkoxy,
 C₁-C₄-haloalkoxy, C₁-C₄-alkylthio,
 C₁-C₄-haloalkylthio, di(C₁-C₄-alkyl)amino,
 COR⁶, phenyl or benzyl, it being possible
 for the two last-mentioned substituents to
 be partially or fully halogenated and/or to
 have attached to them one to three of the
 following groups:

28

nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl,
C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

R⁵ is hydrogen or C₁-C₄-alkyl;

or

R⁴ and R⁵ together form a C₂-C₆-alkanediyl
chain which can be mono- to tetrasubstituted
by C₁-C₄-alkyl and/or which can be
interrupted by oxygen or by a nitrogen which
is unsubstituted or substituted by
C₁-C₄-alkyl;

or

R⁴ and R⁹ or R⁴ and R¹⁰ or R⁵ and R¹² or R⁵ and
R¹³ together form a C₂-C₆-alkanediyl chain
which can be mono- to tetrasubstituted by
C₁-C₄-alkyl and/or which can be interrupted
by oxygen or by a nitrogen which is
unsubstituted or substituted by C₁-C₄-alkyl.

* Also particularly preferred are 3-heterocyclyl-
substituted benzoyl derivatives of the formula I
where

X is S, NR⁹ or CO

or

Y is O, NR¹² or CO.

• Extraordinarily preferred are 3-heterocyclyl-
substituted benzoyl derivatives of the formula I
where

R⁴ is halogen, cyano, nitro, C₁-C₄-alkyl,
C₁-C₄-alkoxy-C₁-C₄-alkyl,
C₁-C₄-alkoxycarbonyl-C₁-C₄-alkyl,
C₁-C₄-alkylthio-C₁-C₄-alkyl, C₁-C₄-haloalkyl,
C₁-C₄-cyanoalkyl, C₃-C₈-cycloalkyl,
C₁-C₆-alkoxy, C₁-C₄-alkoxy-C₁-C₄-alkoxy,
C₁-C₄-haloalkoxy, C₁-C₄-alkylthio,

C₁-C₄-haloalkylthio, di(C₁-C₄-alkyl)amino, COR⁶, phenyl or benzyl, it being possible for the two last-mentioned substituents to be partially or fully halogenated and/or to have attached to them one to three of the following groups:
nitro, cyano, C₁-C₄-Alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

5

10

R⁵ is hydrogen or C₁-C₄-alkyl;

or

15

R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

20

or

25

R⁴ and R⁹ or R⁴ and R¹⁰ or R⁵ and R¹² or R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl.

30

35

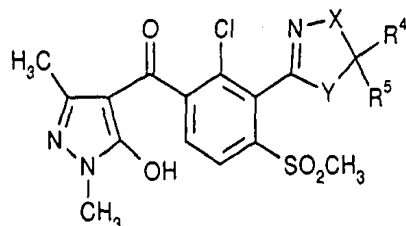
40

45

Particularly extraordinarily preferred are the compounds Ia1 (\cong I where $R^1 = Cl$, $R^2 = SO_2CH_3$, $R^3 = H$, R^{16} , $R^{18} = CH_3$, $Z=H$), in particular the compounds of Table 1.

5

10



Ia1

15 Table 1

| No. | X | R ⁴ | R ⁵ | Y |
|--------|--|-------------------------------|-----------------------------------|----|
| Ia1.1 | CH ₂ | H | CH ₃ | O |
| Ia1.2 | CH ₂ | H | H | O |
| Ia1.3 | C(CH ₃) ₂ | H | H | O |
| Ia1.4 | CH ₂ | H | C ₂ H ₅ | O |
| Ia1.5 | CH ₂ | CH ₃ | CH ₃ | O |
| Ia1.6 | CH(CH ₃) | H | CH ₃ | O |
| Ia1.7 | CH(C ₂ H ₅) | H | CH ₃ | O |
| Ia1.8 | CH[CH(CH ₃) ₂] | H | H | O |
| Ia1.9 | CH ₂ | H | CH(CH ₃) ₂ | O |
| Ia1.10 | CH(C ₂ H ₅) | H | C ₂ H ₅ | O |
| Ia1.11 | -CH-(CH ₂) ₄ - | | H | O |
| Ia1.12 | C=O | CH ₃ | CH ₃ | O |
| Ia1.13 | C=O | H | C ₂ H ₅ | O |
| Ia1.14 | C=O | C ₂ H ₅ | C ₂ H ₅ | O |
| Ia1.15 | C=O | H | H | O |
| Ia1.16 | C=O | H | CH ₃ | O |
| Ia1.17 | CH ₂ | H | CH ₃ | S |
| Ia1.18 | C(CH ₃) ₂ | H | H | S |
| Ia1.19 | CH ₂ | H | C ₂ H ₅ | S |
| Ia1.20 | CH ₂ | CH ₃ | CH ₃ | S |
| Ia1.21 | CH(CH ₃) | H | CH ₃ | S |
| Ia1.22 | CH(C ₂ H ₅) | H | CH ₃ | S |
| Ia1.23 | CH(C ₂ H ₅) | H | C ₂ H ₅ | S |
| Ia1.24 | -CH-(CH ₂) ₄ - | | H | S |
| Ia1.25 | CH[CH(CH ₃) ₂] | H | H | S |
| Ia1.26 | CH ₂ | H | CH(CH ₃) ₂ | S |
| Ia1.27 | CH ₂ | H | CH ₃ | NH |
| Ia1.28 | CH ₂ | H | H | NH |
| Ia1.29 | C(CH ₃) ₂ | H | H | NH |
| Ia1.30 | CH ₂ | H | C ₂ H ₅ | NH |
| Ia1.31 | CH ₂ | CH ₃ | CH ₃ | NH |

007448005-122700

004221-900814260

| No. | X | R ⁴ | R ⁵ | Y | |
|-----|--------|---|-----------------|-----------------------------------|--------------------------------|
| 5 | Ia1.32 | CH(CH ₃) | H | CH ₃ | NH |
| | Ia1.33 | CH(C ₂ H ₅) | H | CH ₃ | NH |
| | Ia1.34 | CH(C ₂ H ₅) | H | C ₂ H ₅ | NH |
| | Ia1.35 | -CH-(CH ₂) ₄ - | H | H | NH |
| | Ia1.36 | CH[CH(CH ₃) ₂] | H | H | NH |
| 10 | Ia1.37 | CH ₂ | H | CH(CH ₃) ₂ | NH |
| | Ia1.38 | CH ₂ | H | CH ₃ | NCH ₃ |
| | Ia1.39 | CH ₂ | H | H | NCH ₃ |
| | Ia1.40 | C(CH ₃) ₂ | H | H | NCH ₃ |
| | Ia1.41 | CH ₂ | H | C ₂ H ₅ | NCH ₃ |
| 15 | Ia1.42 | CH ₂ | CH ₃ | CH ₃ | NCH ₃ |
| | Ia1.43 | CH(CH ₃) | H | CH ₃ | NCH ₃ |
| | Ia1.44 | CH(C ₂ H ₅) | H | CH ₃ | NCH ₃ |
| | Ia1.45 | CH[CH(CH ₃) ₂] | H | H | NCH ₃ |
| | Ia1.46 | CH ₂ | H | CH(CH ₃) ₂ | NCH ₃ |
| 20 | Ia1.47 | CH(C ₂ H ₅) | H | C ₂ H ₅ | NCH ₃ |
| | Ia1.48 | -CH-(CH ₂) ₄ - | H | H | NCH ₃ |
| | Ia1.49 | CH ₂ | H | CH ₃ | NC ₂ H ₅ |
| | Ia1.50 | CH ₂ | H | H | NC ₂ H ₅ |
| | Ia1.51 | C(CH ₃) ₂ | H | H | NC ₂ H ₅ |
| 25 | Ia1.52 | CH ₂ | H | C ₂ H ₅ | NC ₂ H ₅ |
| | Ia1.53 | CH ₂ | CH ₃ | CH ₃ | NC ₂ H ₅ |
| | Ia1.54 | CH(CH ₃) | H | CH ₃ | NC ₂ H ₅ |
| | Ia1.55 | CH(C ₂ H ₅) | H | CH ₃ | NC ₂ H ₅ |
| | Ia1.56 | CH[CH(CH ₃) ₂] | H | H | NC ₂ H ₅ |
| 30 | Ia1.57 | CH ₂ | H | CH(CH ₃) ₂ | NC ₂ H ₅ |
| | Ia1.58 | CH(C ₂ H ₅) | H | C ₂ H ₅ | NC ₂ H ₅ |
| | Ia1.59 | -CH-(CH ₂) ₄ - | H | H | NC ₂ H ₅ |
| | Ia1.60 | CH ₂ | =O | | S |
| | Ia1.61 | CH(CH ₃) | =O | | S |
| 35 | Ia1.62 | CH(C ₂ H ₅) | =O | | S |
| | Ia1.63 | CH[CH(CH ₃) ₂] | =O | | S |
| | Ia1.64 | C(CH ₃) ₂ | =O | | S |
| | Ia1.65 | CCH ₃ (C ₂ H ₅) | =O | | S |
| | Ia1.66 | CCH ₃ [CH(CH ₃) ₂] | =O | | S |
| 40 | Ia1.67 | CH ₂ | =O | | NH |
| | Ia1.68 | CH(CH ₃) | =O | | NH |
| | Ia1.69 | CH(C ₂ H ₅) | =O | | NH |
| | Ia1.70 | CH[CH(CH ₃) ₂] | =O | | NH |
| | Ia1.71 | C(CH ₃) ₂ | =O | | NH |
| 45 | Ia1.72 | CCH ₃ (C ₂ H ₅) | =O | | NH |
| | Ia1.73 | CCH ₃ [CH(CH ₃) ₂] | =O | | NH |
| | Ia1.74 | CH ₂ | =O | | NCH ₃ |
| | Ia1.75 | CH(CH ₃) | =O | | NCH ₃ |
| | Ia1.76 | CH(C ₂ H ₅) | =O | | NCH ₃ |
| | Ia1.77 | CH[CH(CH ₃) ₂] | =O | | NCH ₃ |

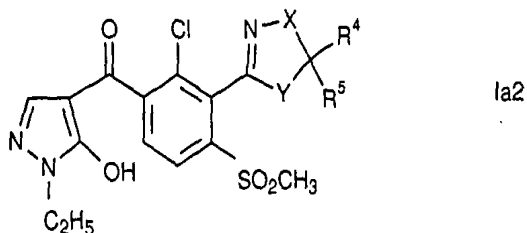
| No. | X | R ⁴ | R ⁵ | Y |
|---------|--------------------------------|----------------|----------------|--------------------------------|
| Ia1.123 | NC ₂ H ₅ | | =O | NH |
| Ia1.124 | NC ₂ H ₅ | | =O | NC ₂ H ₅ |

5

In addition, the following benzoyl derivatives of the formula I are particularly extraordinarily preferred:

- 10 The compounds Ia2.1-Ia2.124, which differ from the corresponding compounds Ia1.1-Ia1.124 by the fact that R¹⁶ is ethyl and R¹⁸ is hydrogen.

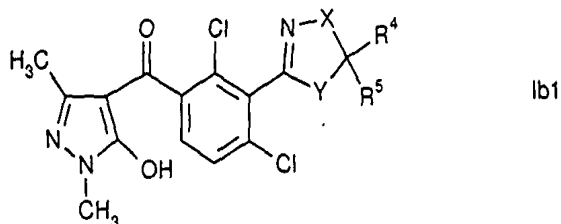
15



20

Also particularly extraordinarily preferred are the compounds Ib1 (\cong I where R¹, R² = Cl, R³ = H, R¹⁶, R¹⁸ = CH₃, Z = H) in particular the compounds of Table 2

25



30

35 Table 2

| No. | X | R ⁴ | R ⁵ | Y |
|--------|--|-----------------|-----------------------------------|---|
| Ib1.1 | CH ₂ | H | CH ₃ | O |
| Ib1.2 | CH ₂ | H | H | O |
| Ib1.3 | C(CH ₃) ₂ | H | H | O |
| Ib1.4 | CH ₂ | H | C ₂ H ₅ | O |
| Ib1.5 | CH ₂ | CH ₃ | CH ₃ | O |
| Ib1.6 | CH(CH ₃) | H | CH ₃ | O |
| Ib1.7 | CH(C ₂ H ₅) | H | CH ₃ | O |
| Ib1.8 | CH[CH(CH ₃) ₂] | H | H | O |
| Ib1.9 | CH ₂ | H | CH(CH ₃) ₂ | O |
| Ib1.10 | CH(C ₂ H ₅) | H | C ₂ H ₅ | O |

40

45

00227-90341-00

| No. | X | R ⁴ | R ⁵ | Y |
|-----------|--|-------------------------------|-----------------------------------|--------------------------------|
| 1b1.11 | $-\text{CH}-(\text{CH}_2)_4-$ | | H | O |
| 1b1.12 | C=O | CH ₃ | CH ₃ | O |
| 5 1b1.13 | C=O | H | C ₂ H ₅ | O |
| 1b1.14 | C=O | C ₂ H ₅ | C ₂ H ₅ | O |
| 1b1.15 | C=O | H | H | O |
| 1b1.16 | C=O | H | CH ₃ | O |
| 1b1.17 | CH ₂ | H | CH ₃ | S |
| 10 1b1.18 | CH ₂ | H | H | S |
| 1b1.19 | C(CH ₃) ₂ | H | H | S |
| 1b1.20 | CH ₂ | H | C ₂ H ₅ | S |
| 1b1.21 | CH ₂ | CH ₃ | CH ₃ | S |
| 1b1.22 | CH(CH ₃) | H | CH ₃ | S |
| 1b1.23 | CH(C ₂ H ₅) | H | CH ₃ | S |
| 15 1b1.24 | CH(C ₂ H ₅) | H | C ₂ H ₅ | S |
| 1b1.25 | $-\text{CH}-(\text{CH}_2)_4-$ | | H | S |
| 1b1.26 | CH[CH(CH ₃) ₂] | H | H | S |
| 1b1.27 | CH ₂ | H | CH(CH ₃) ₂ | S |
| 20 1b1.28 | CH ₂ | H | CH ₃ | NH |
| 1b1.29 | CH ₂ | H | H | NH |
| 1b1.30 | C(CH ₃) ₂ | H | H | NH |
| 1b1.31 | CH ₂ | H | C ₂ H ₅ | NH |
| 1b1.32 | CH ₂ | CH ₃ | CH ₃ | NH |
| 25 1b1.33 | CH(CH ₃) | H | CH ₃ | NH |
| 1b1.34 | CH(C ₂ H ₅) | H | CH ₃ | NH |
| 1b1.35 | CH(C ₂ H ₅) | H | C ₂ H ₅ | NH |
| 1b1.36 | $-\text{CH}-(\text{CH}_2)_4-$ | | H | NH |
| 1b1.37 | CH[CH(CH ₃) ₂] | H | H | NH |
| 1b1.38 | CH ₂ | H | CH(CH ₃) ₂ | NH |
| 30 1b1.39 | CH ₂ | H | CH ₃ | NCH ₃ |
| 1b1.40 | CH ₂ | H | H | NCH ₃ |
| 1b1.41 | C(CH ₃) ₂ | H | H | NCH ₃ |
| 1b1.42 | CH ₂ | H | C ₂ H ₅ | NCH ₃ |
| 1b1.43 | CH ₂ | CH ₃ | CH ₃ | NCH ₃ |
| 35 1b1.44 | CH(CH ₃) | H | CH ₃ | NCH ₃ |
| 1b1.45 | CH(C ₂ H ₅) | H | CH ₃ | NCH ₃ |
| 1b1.46 | CH[CH(CH ₃) ₂] | H | H | NCH ₃ |
| 1b1.47 | CH ₂ | H | CH(CH ₃) ₂ | NCH ₃ |
| 1b1.48 | CH(C ₂ H ₅) | H | C ₂ H ₅ | NCH ₃ |
| 40 1b1.49 | $-\text{CH}-(\text{CH}_2)_4-$ | | H | NCH ₃ |
| 1b1.50 | CH ₂ | H | CH ₃ | NC ₂ H ₅ |
| 1b1.51 | CH ₂ | H | H | NC ₂ H ₅ |
| 1b1.52 | C(CH ₃) ₂ | H | H | NC ₂ H ₅ |
| 1b1.53 | CH ₂ | H | C ₂ H ₅ | NC ₂ H ₅ |
| 45 1b1.54 | CH ₂ | CH ₃ | CH ₃ | NC ₂ H ₅ |
| 1b1.55 | CH(CH ₃) | H | CH ₃ | NC ₂ H ₅ |
| 1b1.56 | CH(C ₂ H ₅) | H | CH ₃ | NC ₂ H ₅ |

36

| No. | X | R ⁴ | R ⁵ | Y |
|------------|------------------------------------|-------------------------------|---------------------------------------|--------------------------------|
| 1b1.103 | O | H | -(CH ₂) ₄ -CH- | |
| 1b1.104 | O | CH ₃ | H | CHCH ₃ |
| 5 1b1.105 | O | H | H | CH ₂ |
| 1b1.106 | S | | =O | O |
| 1b1.107 | CH ₂ | | =S | S |
| 1b1.108 | CH(CH ₃) | | =S | S |
| 1b1.109 | CH(C ₂ H ₅) | | =S | S |
| 10 1b1.110 | C(CH ₃) ₂ | | =S | S |
| 1b1.111 | O | | =O | NH |
| 1b1.112 | O | | =O | NCH ₃ |
| 1b1.113 | O | CH ₃ | H | NH |
| 1b1.114 | O | C ₂ H ₅ | H | NH |
| 15 1b1.115 | O | CH ₃ | CH ₃ | NH |
| 1b1.116 | O | C ₂ H ₅ | C ₂ H ₅ | NH |
| 1b1.117 | O | CH ₃ | H | NCH ₃ |
| 1b1.118 | O | C ₂ H ₅ | H | NCH ₃ |
| 1b1.119 | O | CH ₃ | CH ₃ | NCH ₃ |
| 1b1.120 | O | C ₂ H ₅ | C ₂ H ₅ | NCH ₃ |
| 20 1b1.121 | NH | | =O | NH |
| 1b1.122 | NH | | =O | NCH ₃ |
| 1b1.123 | NCH ₃ | | =O | NH |
| 1b1.124 | NCH ₃ | | =O | NCH ₃ |
| 1b1.125 | NC ₂ H ₅ | | =O | NH |
| 25 1b1.126 | NC ₂ H ₅ | | =O | NC ₂ H ₅ |

In addition, the following 3-heterocyclyl-substituted benzoyl derivatives of the formula I are particularly extraordinarily preferred:

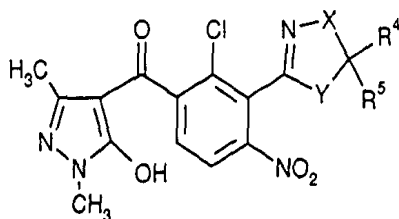
35

40

45

- The compounds Ib2.1-Ib2.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro.

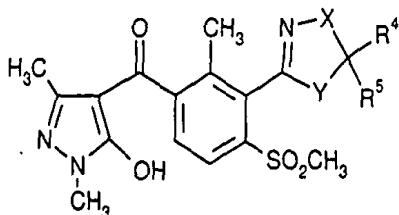
5



b2

- The compounds Ib3.1-Ib3.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl and R² is methylsulfonyl.

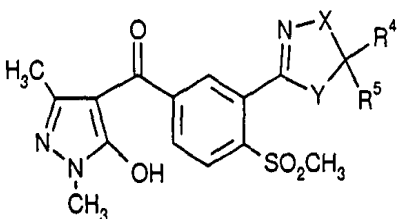
15



1b3

- The compounds Ib4.1-Ib4.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact R¹ is hydrogen and R² is methylsulfonyl.

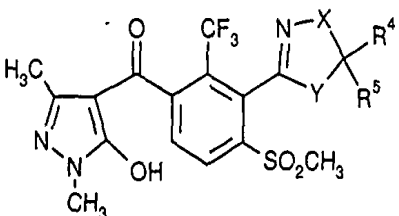
25



lb4

- 35 - The compounds Ib5.1-Ib5.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is trifluoromethyl and R² is methylsulfonyl.

35 -



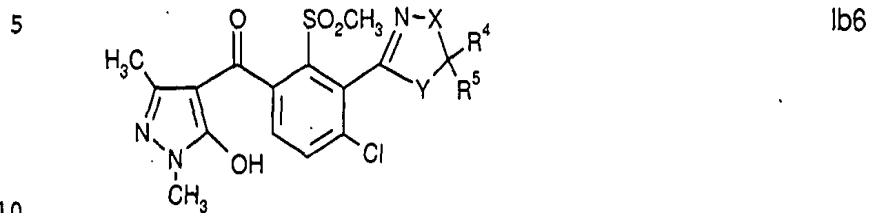
b5

40

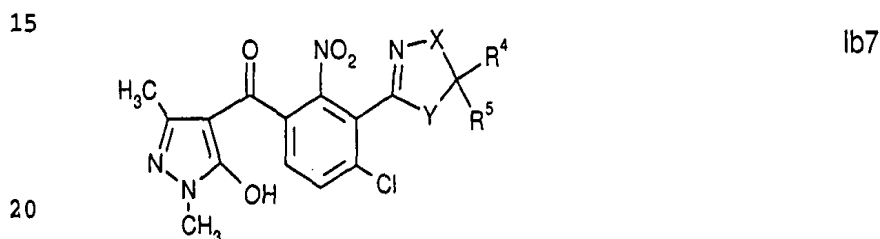
45

38

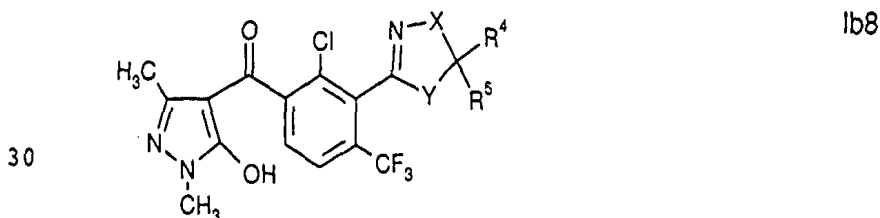
- The compounds Ib6.1-Ib6.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl.



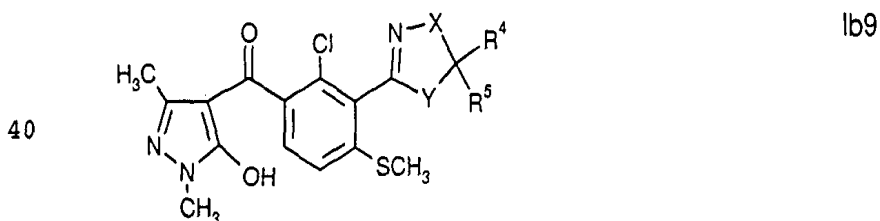
- The compounds Ib7.1-Ib7.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro.



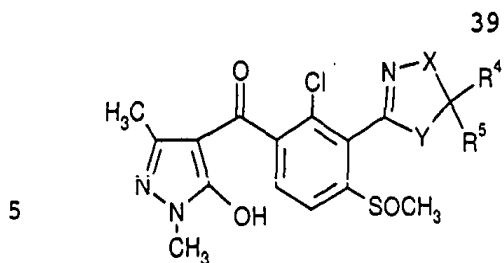
- The compounds Ib8.1-Ib8.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethyl.



- The compounds Ib9.1-Ib9.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylthio.

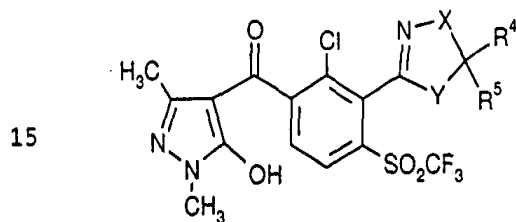


- The compounds Ib10.1-Ib10.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfinyl.



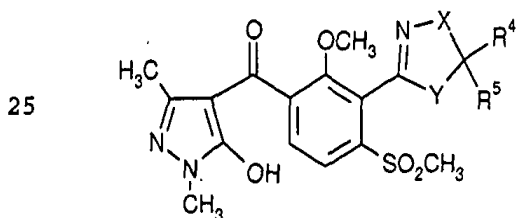
Ib10

- 10 - The compounds Ib11.1-Ib11.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethylsulfonyl.



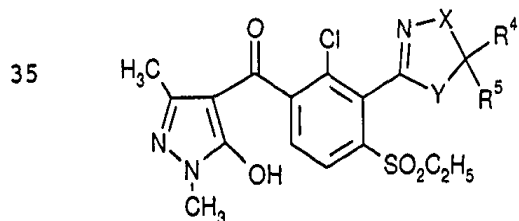
Ib11

- 20 - The compounds Ib12.1-Ib12.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy and R² is methylsulfonyl.



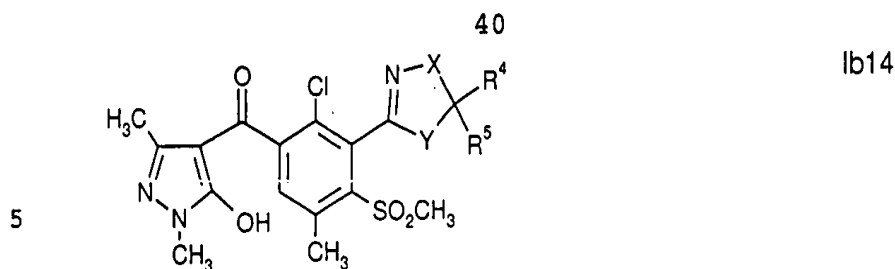
Ib12

- 30 - The compounds Ib13.1-Ib13.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl.

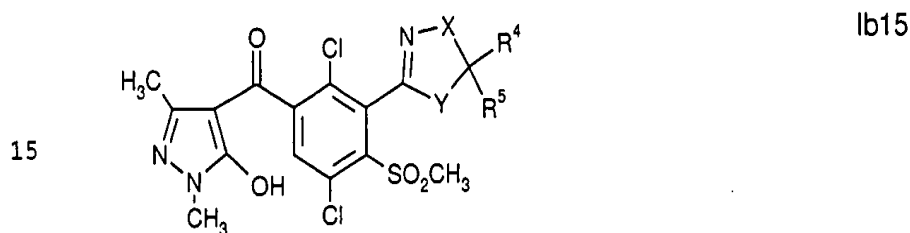


Ib13

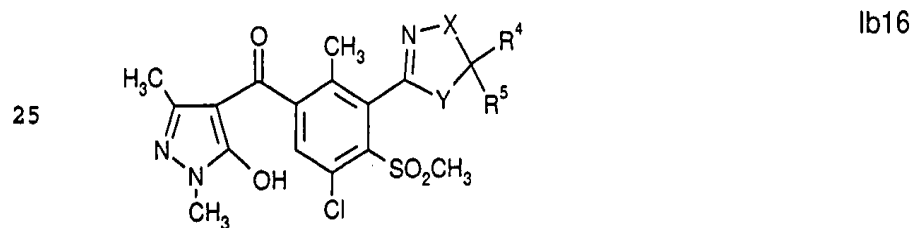
- 40 - The compounds Ib14.1-Ib14.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact R² is methylsulfonyl and R³ is methyl.



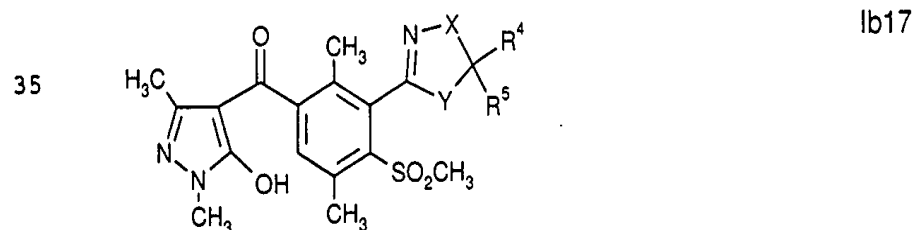
- 10 - The compounds Ib15.1-Ib15.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl and R³ is chlorine.



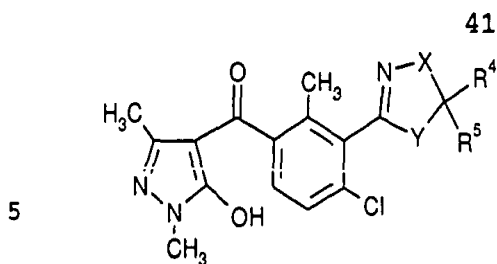
- 20 - The compounds Ib16.1-Ib16.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl and R³ is chlorine.



- 30 - The compounds Ib17.1-Ib17.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl and R³ is methyl.

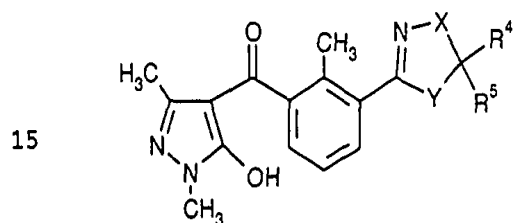


- 40 - The compounds Ib18.1-Ib18.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl.



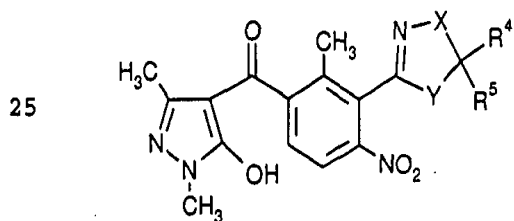
Ib18

- 10 - The compounds Ib19.1-Ib19.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl and R² is hydrogen.



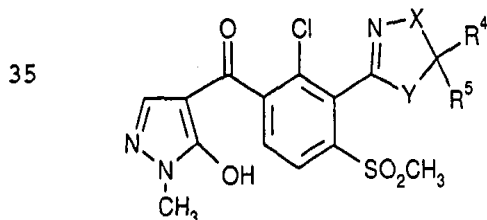
Ib19

- 20 - The compounds Ib20.1-Ib20.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact R¹ is methyl and R² is nitro.



Ib20

- 30 - The compounds Ib21.1-Ib21.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl and R¹⁸ is hydrogen.



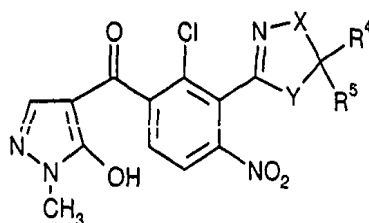
Ib21

- 40 - The compounds Ib22.1-Ib22.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro and R¹⁸ is hydrogen.

42

Ib22

5

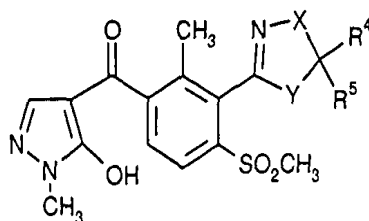


- The compounds Ib23.1-Ib23.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl and R¹⁸ is hydrogen.

10

Ib23

15

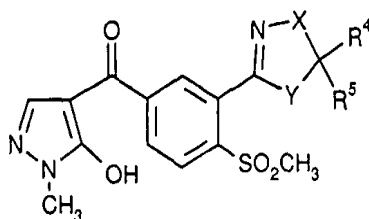


- The compounds Ib24.1-Ib24.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is hydrogen, R² is methylsulfonyl and R¹⁸ is hydrogen.

20

Ib24

25

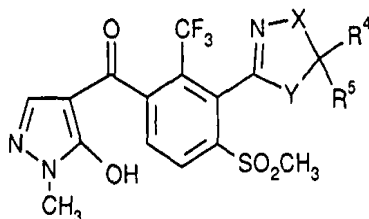


- The compounds Ib25.1-Ib25.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is trifluoromethyl, R² is methylsulfonyl and R¹⁸ is hydrogen.

30

Ib25

35



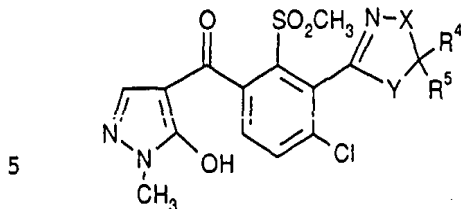
40

- The compounds Ib26.1-Ib26.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl and R¹⁸ is hydrogen.

45

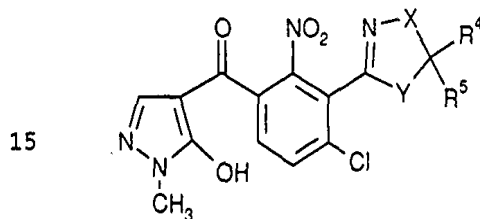
43

Ib26



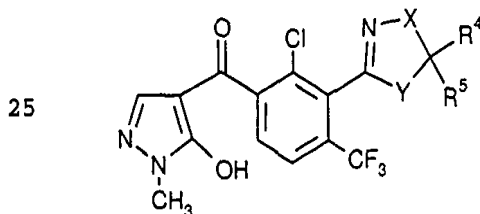
- 10 - The compounds Ib27.1-Ib27.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact R¹ is nitro and R¹⁸ is hydrogen.

Ib27



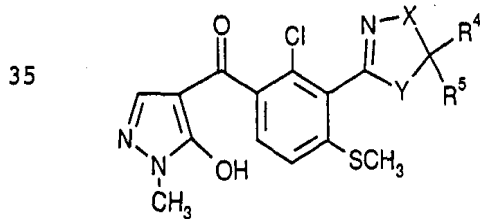
- 20 - The compounds Ib28.1-Ib28.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethyl and R¹⁸ is hydrogen.

Ib28



- 30 - The compounds Ib29.1-Ib29.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylthio and R¹⁸ is hydrogen.

Ib29



- 40 - The compounds Ib30.1-Ib30.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfinyl and R¹⁸ is hydrogen.

45

b30



10



20



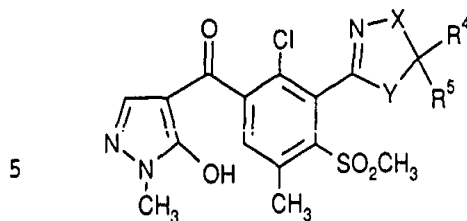
30



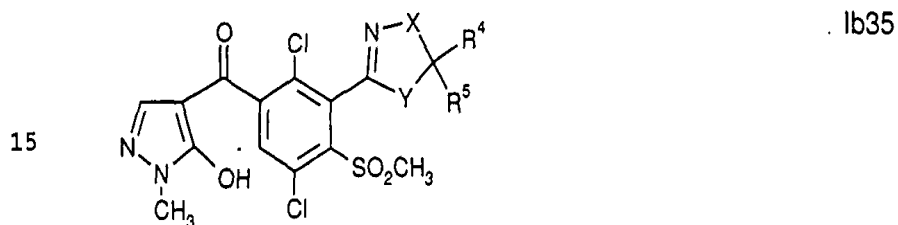
40

45

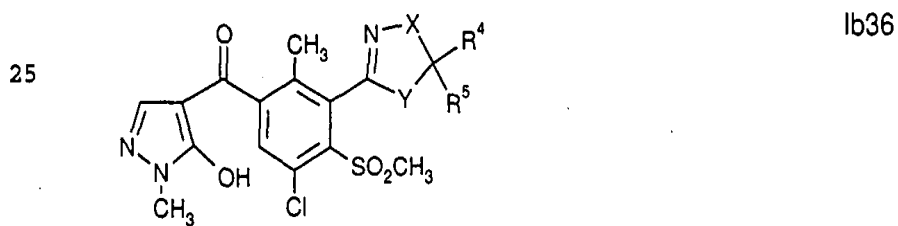
Ib34



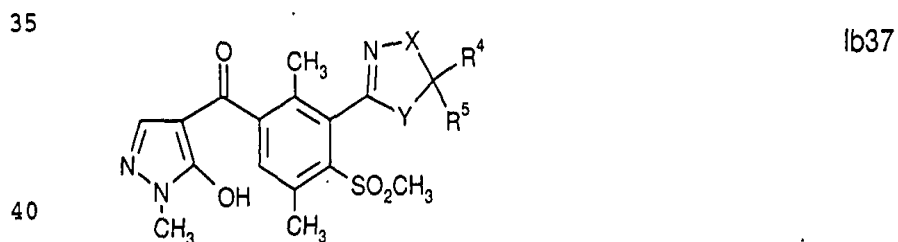
- 10 - The compounds Ib35.1-Ib35.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R³ is chlorine and R¹⁸ is hydrogen.



- 20 - The compounds Ib36.1-Ib36.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R³ is chlorine and R¹⁸ is hydrogen.



- 30 - The compounds Ib37.1-Ib37.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R³ is methyl and R¹⁸ is hydrogen.

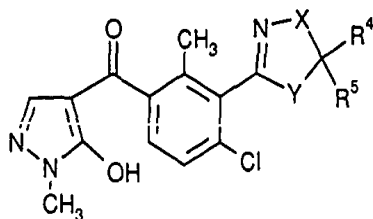


- 45 - The compounds Ib38.1-Ib38.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl and R¹⁸ is hydrogen.

46

Ib38

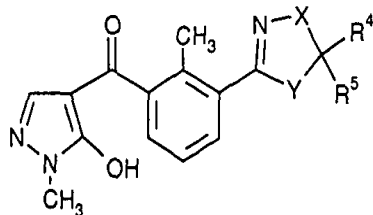
5



10

- The compounds Ib39.1-Ib39.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is hydrogen and R¹⁸ is hydrogen.

15

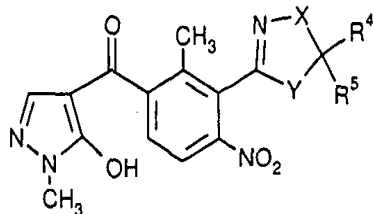


Ib39

20

- The compounds Ib40.1-Ib40.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is nitro and R¹⁸ is hydrogen.

25

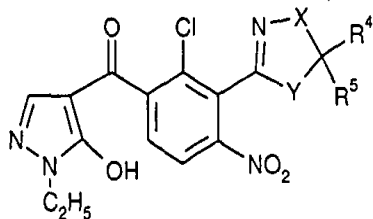


Ib40

30

- The compounds Ib41.1-Ib41.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, R¹⁶ is ethyl and R¹⁸ is hydrogen.

35



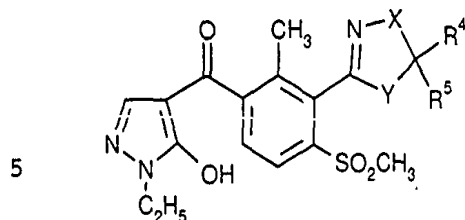
Ib41

40

- The compounds Ib42.1-Ib42.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

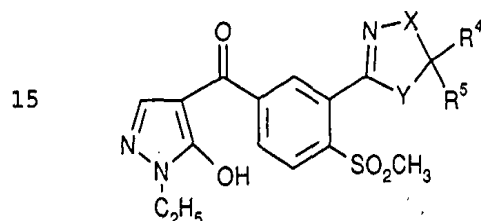
45

47



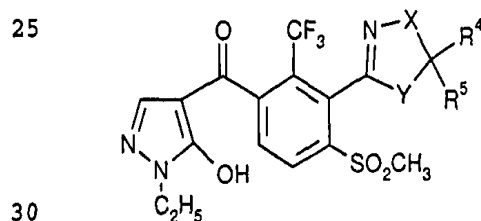
Ib42

- 10 - The compounds Ib43.1-Ib43.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is hydrogen, R² is methylsulfonyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.



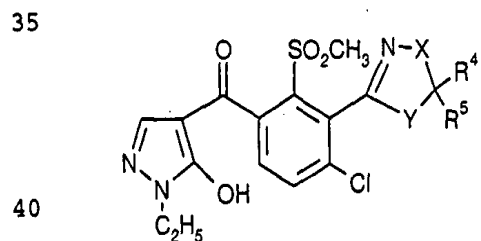
Ib43

- 20 - The compounds Ib44.1-Ib44.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is trifluoromethyl, R² is methylsulfonyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.



Ib44

- 30 - The compounds Ib45.1-Ib45.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

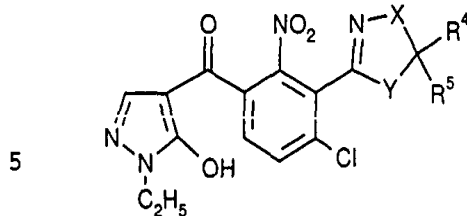


Ib45

- 40 - The compounds Ib46.1-Ib46.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R¹⁶ is ethyl and R¹⁸ is hydrogen.
- 45

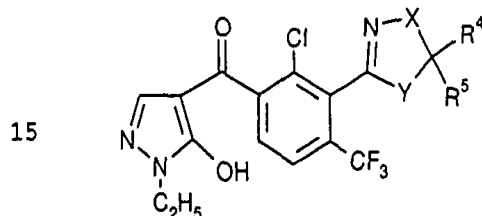
48

Ib46



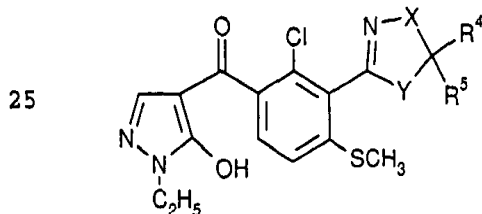
- 10 - The compounds Ib47.1-Ib47.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

Ib47



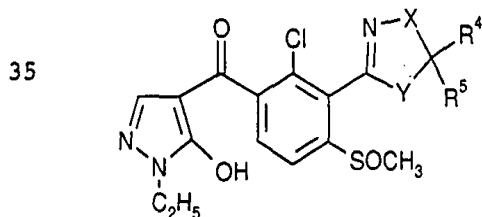
- 20 - The compounds Ib48.1-Ib48.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylthio, R¹⁶ is ethyl and R¹⁸ is hydrogen.

Ib48



- 30 - The compounds Ib49.1-Ib49.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfinyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

Ib49



- 40 - The compounds Ib50.1-Ib50.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethylsulfonyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

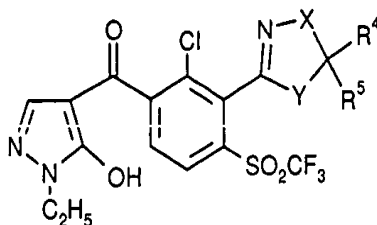
45

0045006-1260

49

Ib50

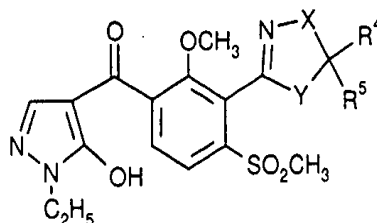
5



- 10 - The compounds Ib51.1-Ib51.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

15

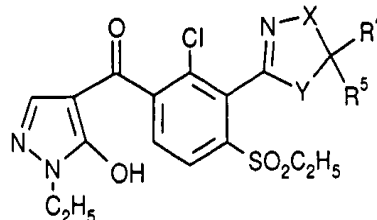
Ib51



- 20 - The compounds Ib52.1-Ib52.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

25

Ib52



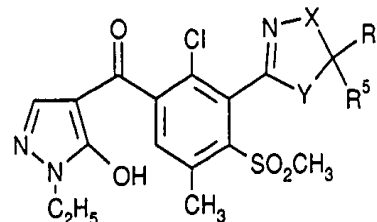
30

- The compounds Ib53.1-Ib53.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R³ is methyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

35

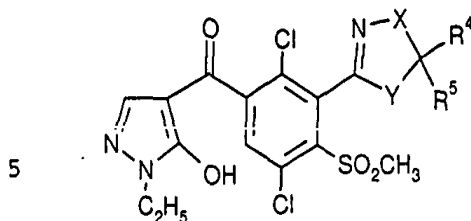
Ib53

40



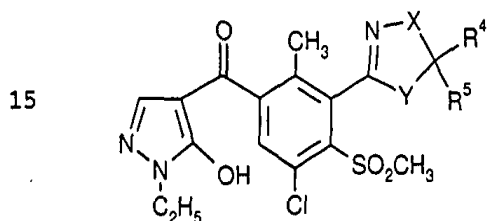
- 45 - The compounds Ib54.1-Ib54.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R³ is chlorine, R¹⁶ is ethyl and R¹⁸ is hydrogen.

50



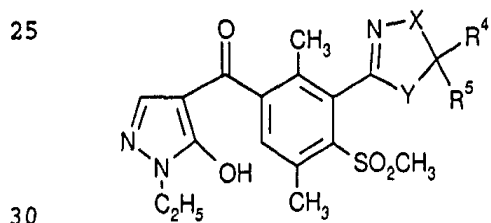
b54

- 10 - The compounds Ib55.1-Ib55.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R³ is chlorine, R¹⁶ is ethyl and R¹⁸ is hydrogen.



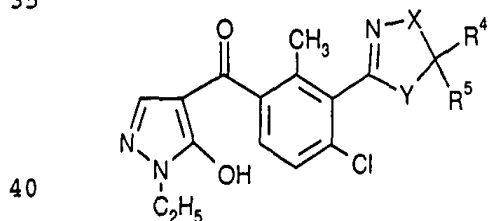
1b55

- 20 - The compounds Ib56.1-Ib56.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R³ is methyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.



b56

- The compounds Ib57.1-Ib57.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

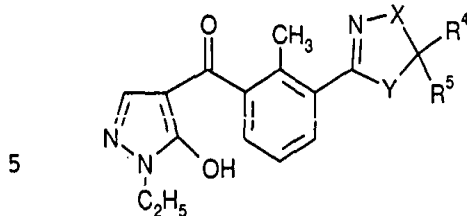


b5
b7C
b7D

- The compounds Ib58.1-Ib58.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is hydrogen, R¹⁶ is ethyl and R¹⁸ is hydrogen.

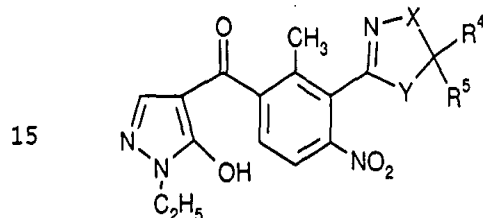
51

Ib58



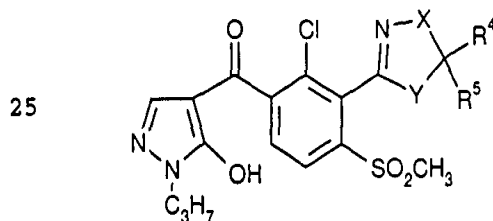
- 10 - The compounds Ib59.1-Ib59.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is nitro, R¹⁶ is ethyl and R¹⁸ is hydrogen.

Ib59



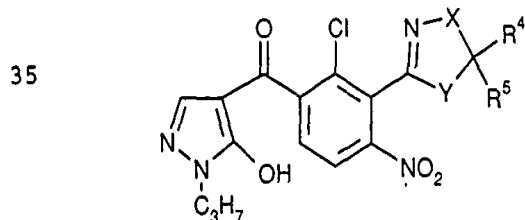
- 20 - The compounds Ib60.1-Ib60.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

Ib60



- 30 - The compounds Ib61.1-Ib61.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

Ib61

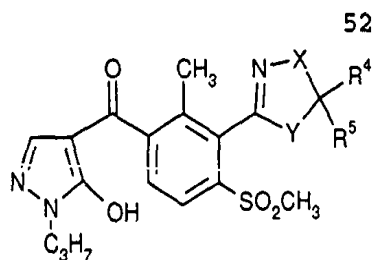


- 40 - The compounds Ib62.1-Ib62.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

45

000000-000000

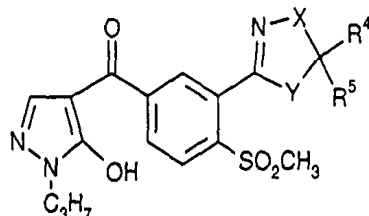
5



Ib62

- The compounds Ib63.1-Ib63.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is hydrogen, R² is methylsulfonyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

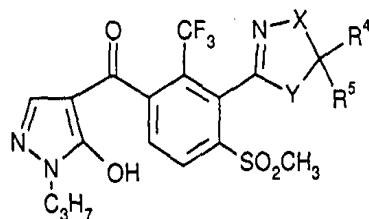
15



Ib63

- The compounds Ib64.1-Ib64.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is trifluoromethyl, R² is methylsulfonyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

25

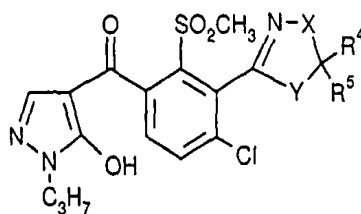


Ib64

30

- The compounds Ib65.1-Ib65.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

35



Ib65

40

- The compounds Ib66.1-Ib66.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

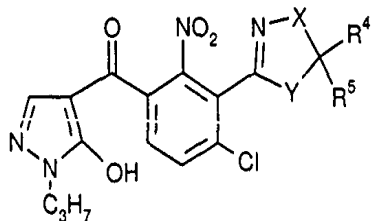
45

004221-90031-650

53

Ib66

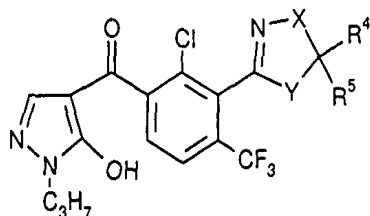
5



- 10 - The compounds Ib67.1-Ib67.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

Ib67

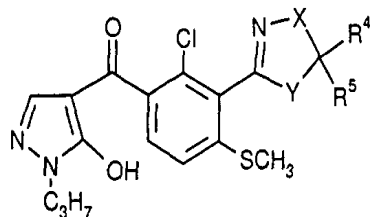
15



- 20 - The compounds Ib68.1-Ib68.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylthio, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

Ib68

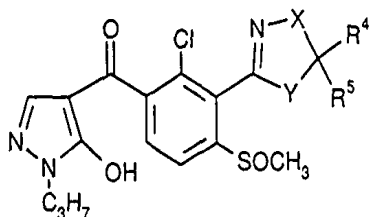
25



- 30 - The compounds Ib69.1-Ib69.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfinyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

Ib69

35



40

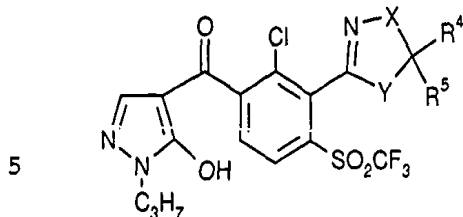
- 45 - The compounds Ib70.1-Ib70.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethylsulfonyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

45

000000-000000-000000

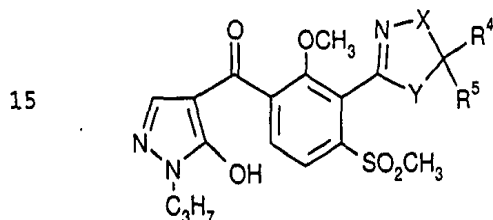
54

Ib70



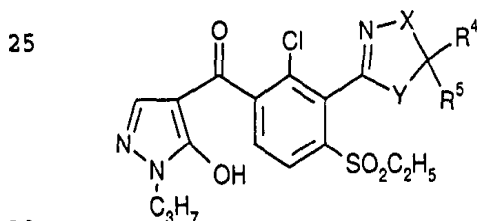
- 10 - The compounds Ib71.1-Ib71.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

Ib71



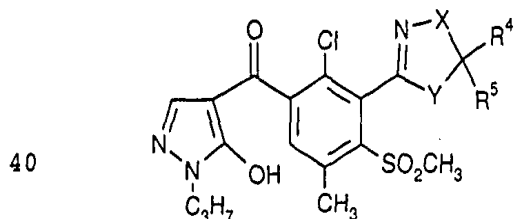
- 20 - The compounds Ib72.1-Ib72.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

Ib72



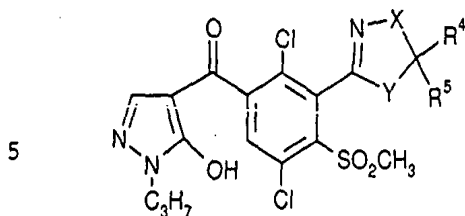
- 30
- 35 - The compounds Ib73.1-Ib73.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R³ is methyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

Ib73



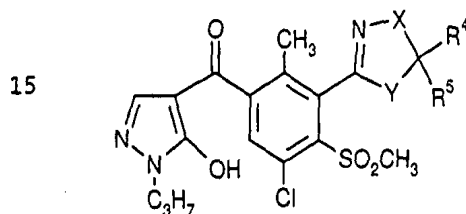
- 45 - The compounds Ib74.1-Ib74.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R³ is chlorine, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

Ib74



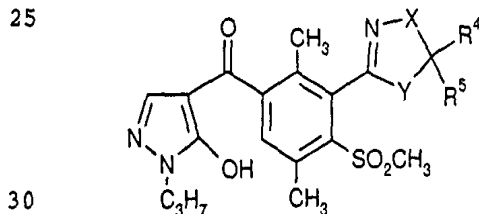
- 10 - The compounds Ib75.1-Ib75.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R³ is chlorine, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

Ib75



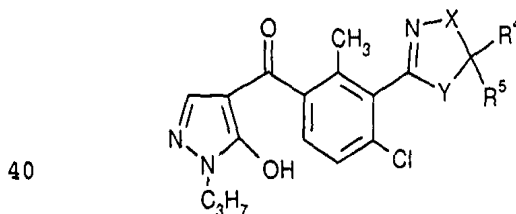
- 20 - The compounds Ib76.1-Ib76.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R³ is methyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

Ib76



- 35 - The compounds Ib77.1-Ib77.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

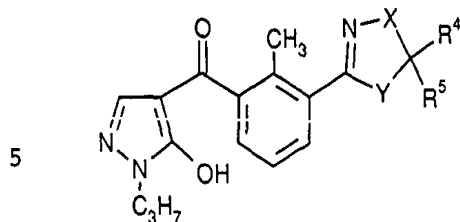
Ib77



- 45 - The compounds Ib78.1-Ib78.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is hydrogen, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

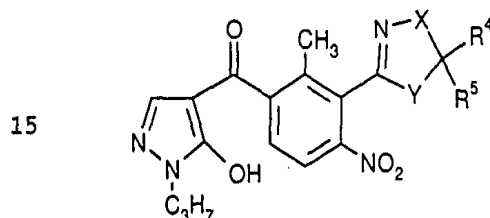
56

Ib78



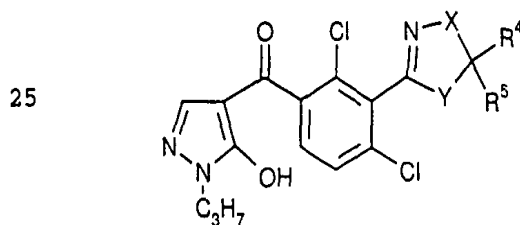
- 10 - The compounds Ib79.1-Ib79.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is nitro, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

Ib79



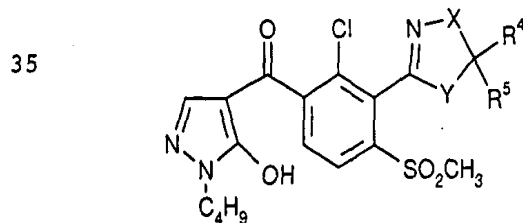
- 20 - The compounds Ib80.1-Ib80.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁶ is n-propyl and R¹⁸ is hydrogen.

Ib80



- 30 - The compounds Ib81.1-Ib81.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

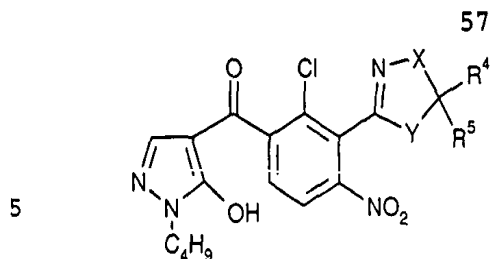
Ib81



- 40 - The compounds Ib82.1-Ib82.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

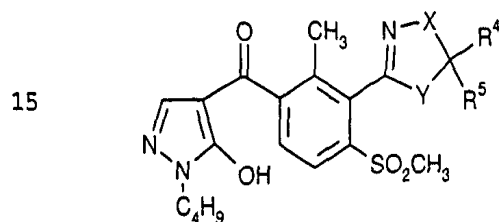
45

004221-90084-60



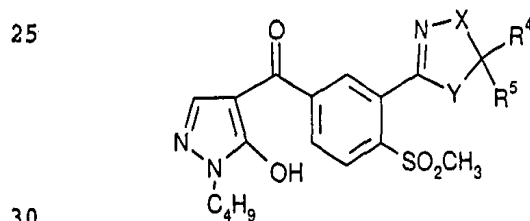
Ib82

- The compounds Ib83.1-Ib83.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.



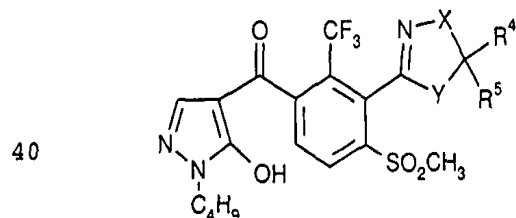
Ib83

- The compounds Ib84.1-Ib84.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is hydrogen, R² is methylsulfonyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.



Ib84

- The compounds Ib85.1-Ib85.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is trifluoromethyl, R² is methylsulfonyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

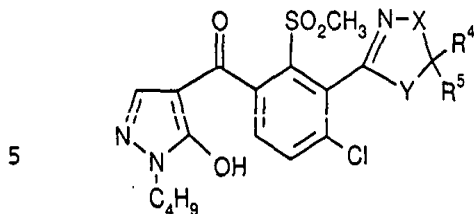


Ib85

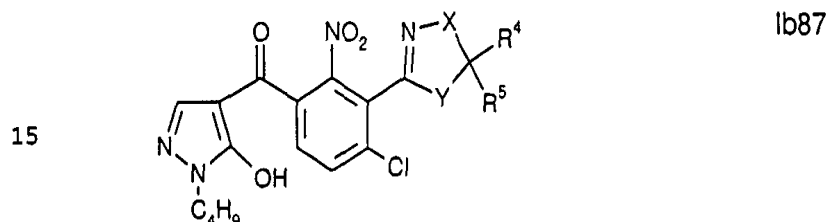
- The compounds Ib86.1-Ib86.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

58

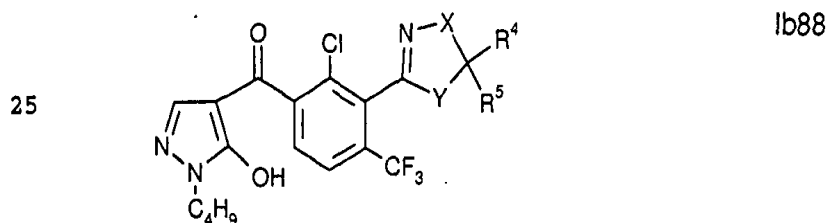
Ib86



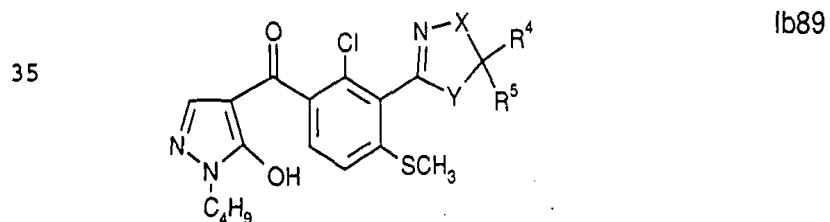
- 10 - The compounds Ib87.1-Ib87.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R¹⁶ is n-butyl and R¹⁸ is hydrogen.



- 20 - The compounds Ib88.1-Ib88.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

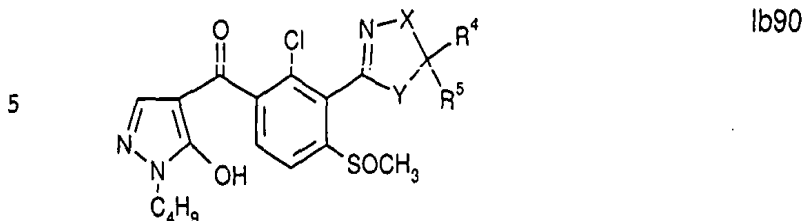


- 30 - The compounds Ib89.1-Ib89.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylthio, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

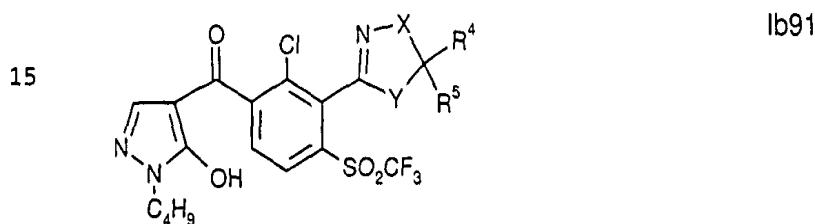


- 40 - The compounds Ib90.1-Ib90.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfinyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

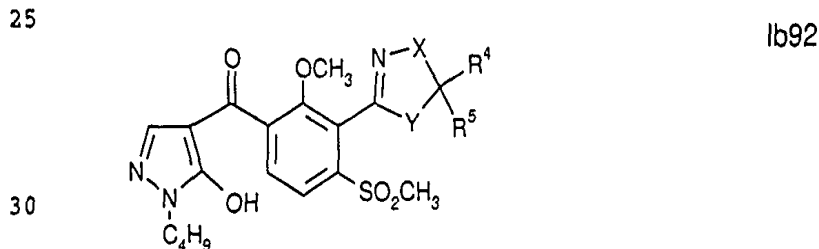
45



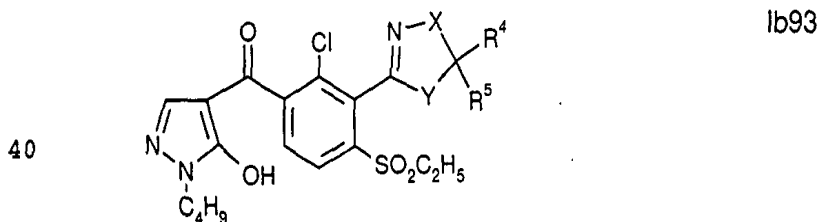
- 10 - The compounds Ib91.1-Ib91.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethylsulfonyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.



- 20 - The compounds Ib92.1-Ib92.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.



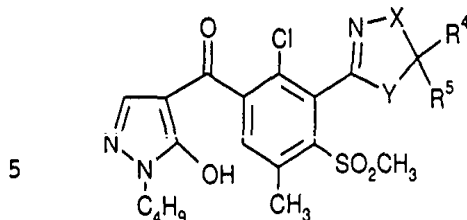
- 35 - The compounds Ib93.1-Ib93.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.



- 45 - The compounds Ib94.1-Ib94.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R³ is methyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

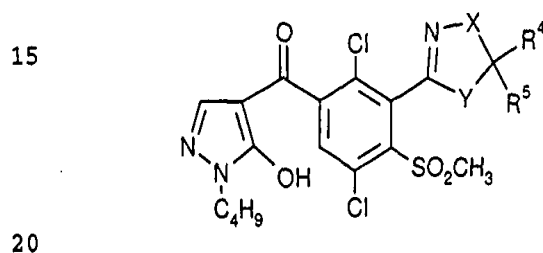
60

Ib94



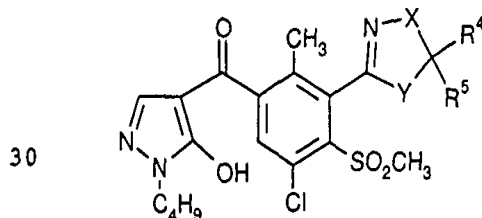
- 10 - The compounds Ib95.1-Ib95.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R³ is chlorine, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

Ib95



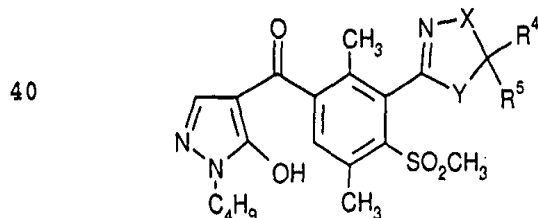
- 25 - The compounds Ib96.1-Ib96.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R³ is chlorine, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

Ib96



- 35 - The compounds Ib97.1-Ib97.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R³ is methyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

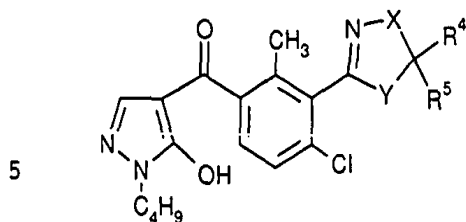
Ib97



- 45 - The compounds Ib98.1-Ib98.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

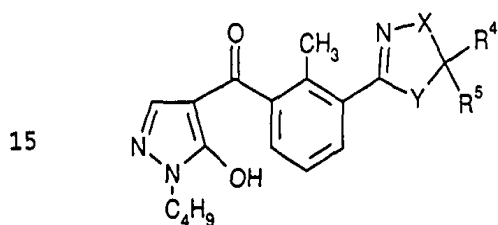
61

Ib98



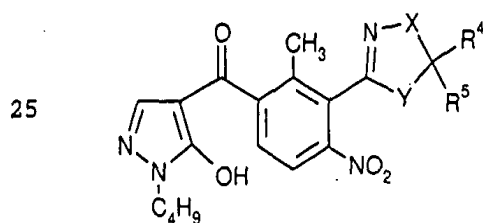
- 10 - The compounds Ib99.1-Ib99.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is hydrogen, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

Ib99



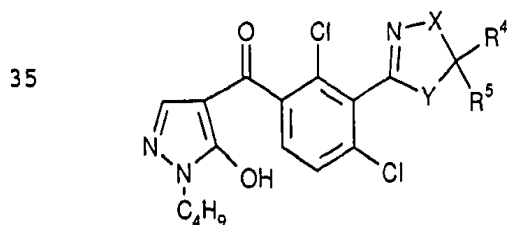
- 20 - The compounds Ib100.1-Ib100.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is nitro, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

Ib100



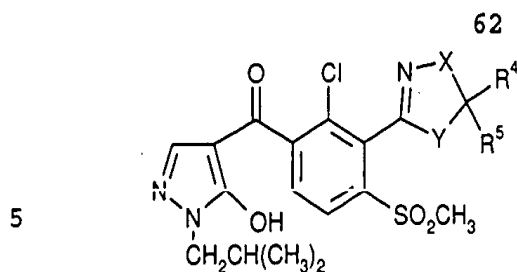
- 30 - The compounds Ib101.1-Ib101.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁶ is n-butyl and R¹⁸ is hydrogen.

Ib101

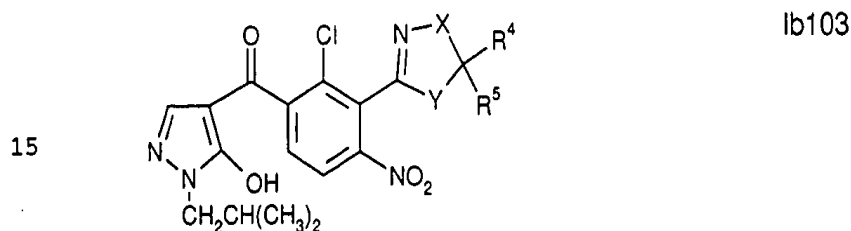


- 40 - The compounds Ib102.1-Ib102.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

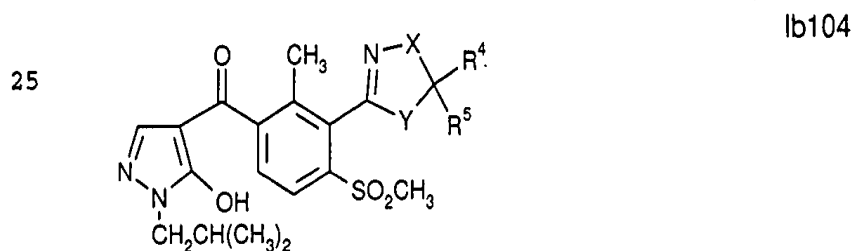
45



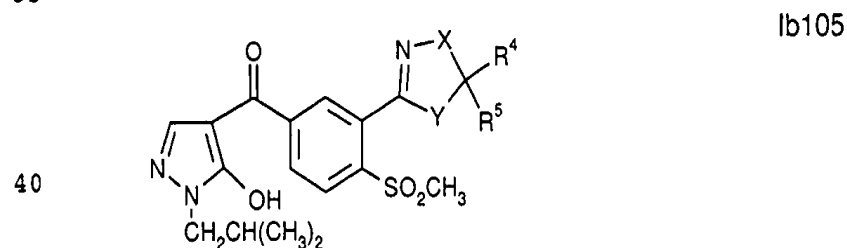
- 10
- The compounds Ib103.1-Ib103.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.



- 20
- The compounds Ib104.1-Ib104.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.



- 30
- The compounds Ib105.1-Ib105.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is hydrogen, R² is methylsulfonyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

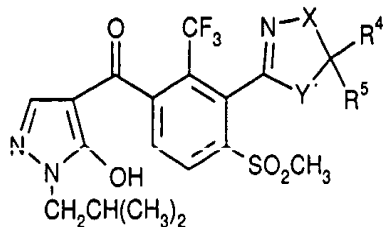


- 40
- The compounds Ib106.1-Ib106.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is trifluoromethyl, R² is methylsulfonyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.
- 45

63

Ib106

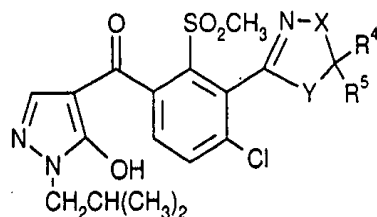
5



- 10 - The compounds Ib107.1-Ib107.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

15

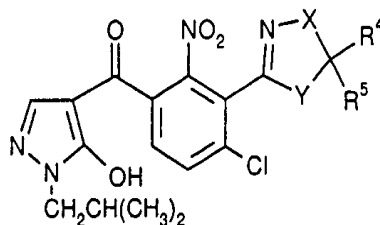
Ib107



- 20 - The compounds Ib108.1-Ib108.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

25

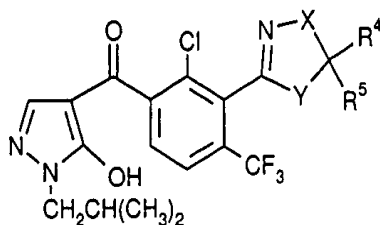
Ib108



- 30 - The compounds Ib109.1-Ib109.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

35

Ib109



40

- The compounds Ib110.1-Ib110.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylthio, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

45

002221-90031260

lb110



- 10

15



25



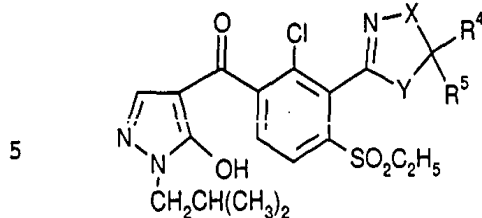
35



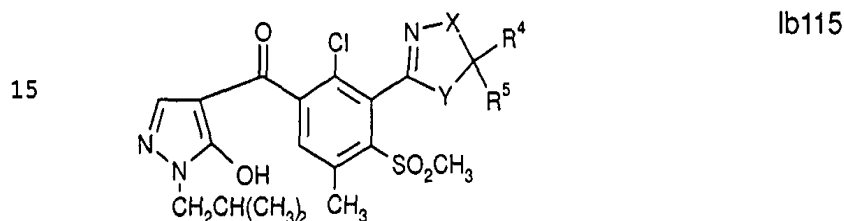
- 45

65

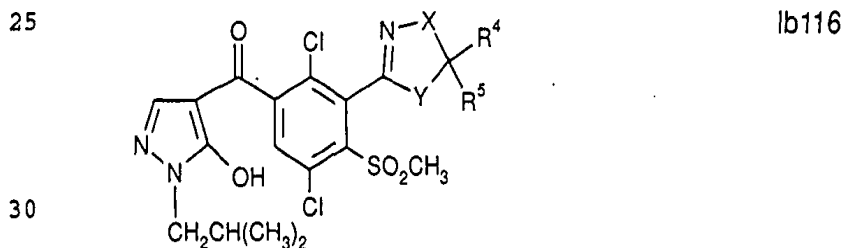
Ib114



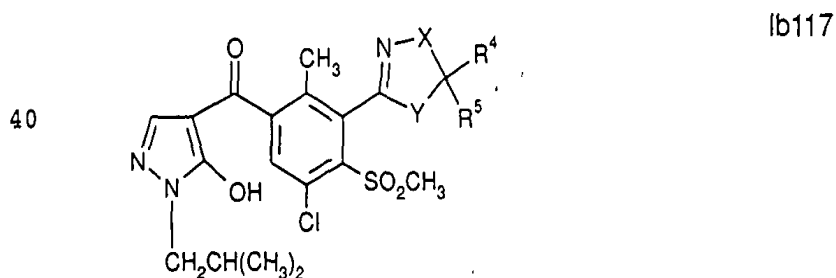
- 10 - The compounds Ib115.1-Ib115.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R³ is methyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.



- 20 - The compounds Ib116.1-Ib116.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R³ is chlorine, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.



- 35 - The compounds Ib117.1-Ib117.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R³ is chlorine, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.



- 10



- 1.5



- 25



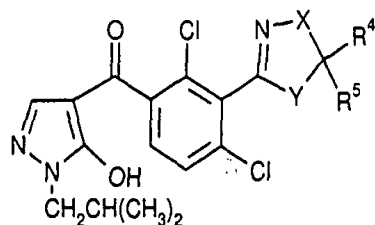
- 40



67

- The compounds Ib122.1-Ib122.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^{16} is iso-butyl and R^{18} is hydrogen.

5

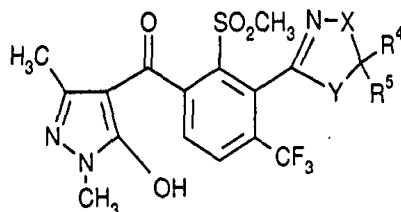


Ib122

10

- The compounds Ib123.1-Ib123.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact R^1 is methylsulfonyl and R^2 is trifluoromethyl.

15

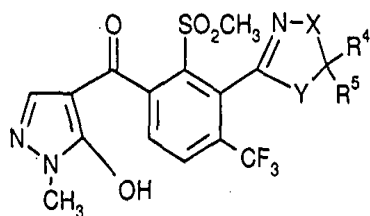


Ib123

20

- The compounds Ib124.1-Ib124.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methylsulfonyl, R^2 is trifluoromethyl, and R^{18} is hydrogen.

25

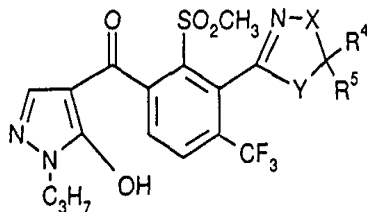


Ib124

30

- The compounds Ib125.1-Ib125.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methylsulfonyl, R^2 is trifluoromethyl, R^{16} is n-propyl and R^{18} is hydrogen.

35



Ib125

40

45

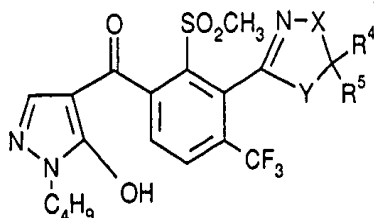
00740006-122700

68

- The compounds Ib126.1-Ib126.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R² is trifluoromethyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

5

10

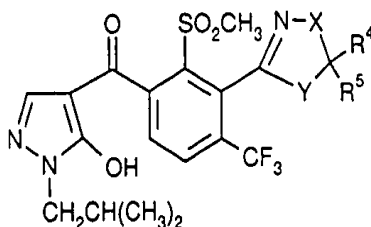


Ib126

15

- The compounds Ib127.1-Ib127.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R² is trifluoromethyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

20



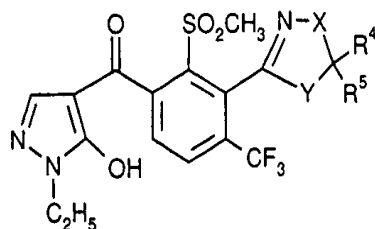
Ib127

25

- The compounds Ib128.1-Ib128.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R² is trifluoromethyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

30

35

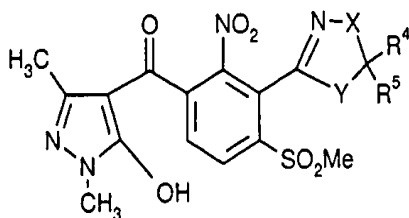


Ib128

40

- The compounds Ib129.1-Ib129.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro and R² is methylsulfonyl.

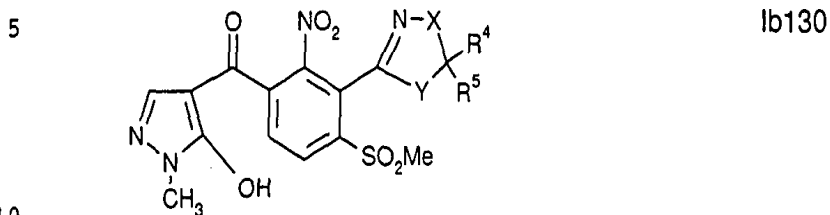
45



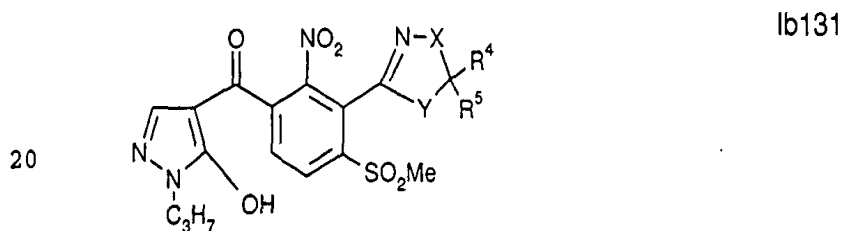
Ib129

69

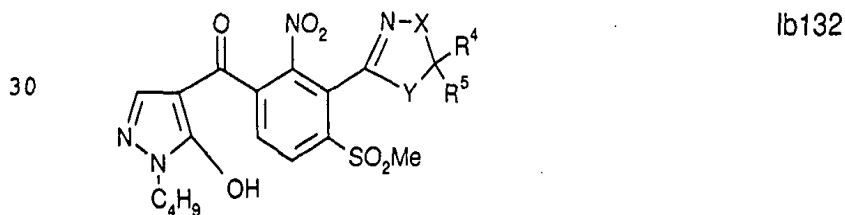
- The compounds Ib130.1-Ib130.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R² is methylsulfonyl and R¹⁸ is hydrogen.



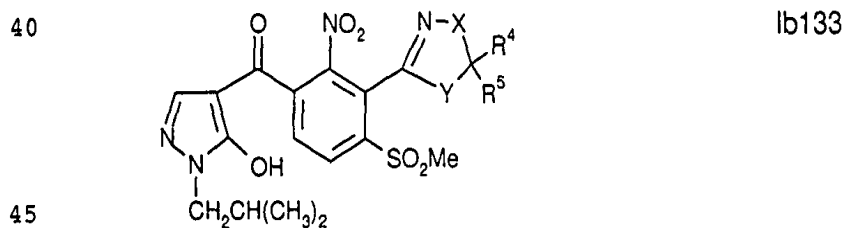
- The compounds Ib131.1-Ib131.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R² is methylsulfonyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.



- The compounds Ib132.1-Ib132.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R² is methylsulfonyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.



- 35 - The compounds Ib133.1-Ib133.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R² is methylsulfonyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.



002227-90334600

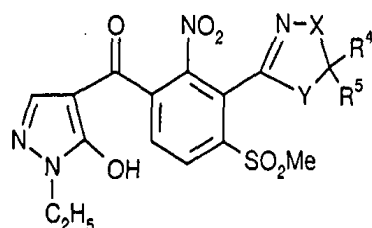
70

- The compounds Ib134.1-Ib134.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R² is methylsulfonyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

5

Ib134

10

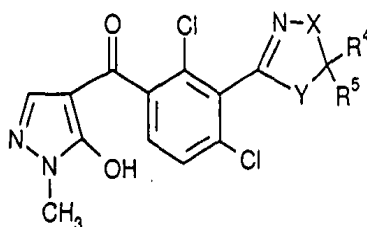


- The compounds Ib135.1-Ib135.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁸ is hydrogen.

15

Ib135

20

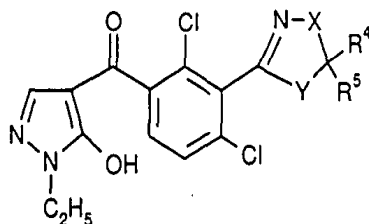


25

- The compounds Ib136.1-Ib136.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁶ is ethyl and R¹⁸ is hydrogen.

30

Ib136

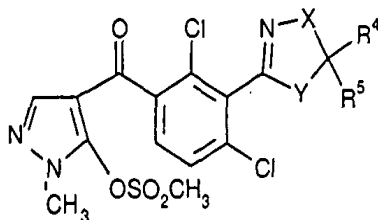


35

- The compounds Ib137.1-Ib137.126 which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is methylsulfonyl and R¹⁸ is hydrogen.

40

Ib137

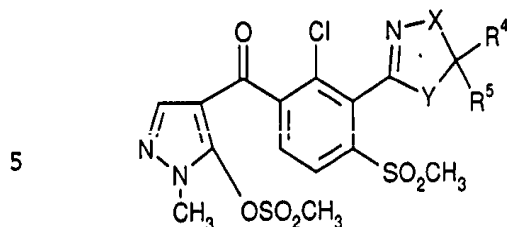


45

- The compounds Ib138.1-Ib138.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, Z is methylsulfonyl and R¹⁸ is hydrogen.

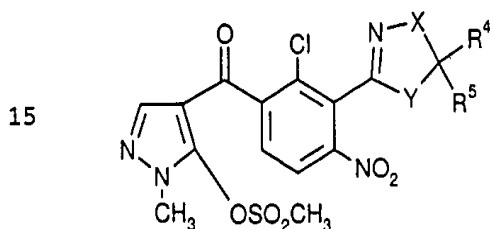
71

Ib138



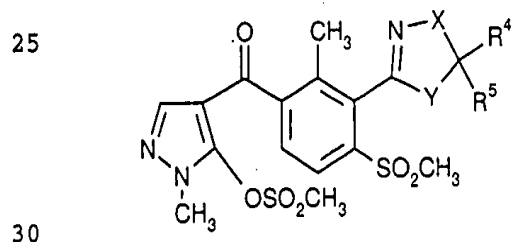
- 10 - The compounds Ib139.1-Ib139.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, Z is methylsulfonyl and R¹⁸ is hydrogen.

Ib139



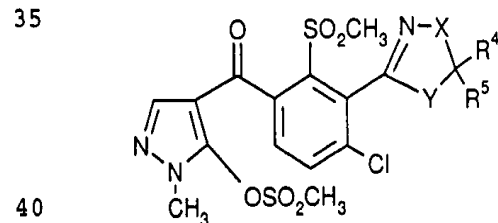
- 20 - The compounds Ib140.1-Ib140.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, Z is methylsulfonyl and R¹⁸ is hydrogen.

Ib140



- 30 - The compounds Ib141.1-Ib141.126 which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, Z is methylsulfonyl and R¹⁸ is hydrogen.

Ib141



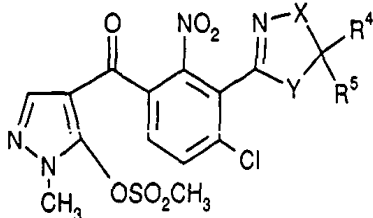
- 45 - The compounds Ib142.1-Ib142.126 which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, Z is methylsulfonyl and R¹⁸ is hydrogen.

007148006-122700

72

Ib142

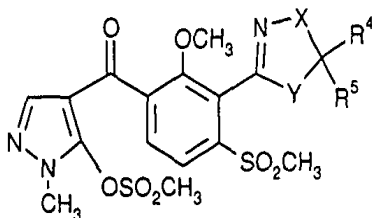
5



- The compounds Ib143.1-Ib143.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact R¹ is methoxy, R² and Z are methylsulfonyl and R¹⁸ is hydrogen.

15

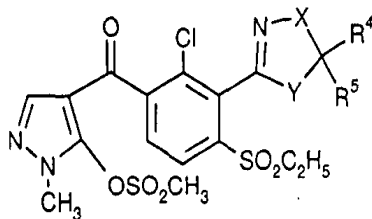
Ib143



- The compounds Ib144.1-Ib144.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, Z is methylsulfonyl and R¹⁸ is hydrogen.

25

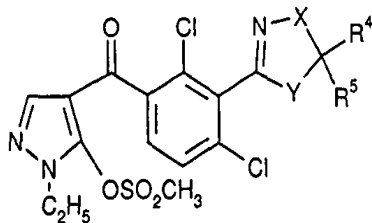
Ib144



- The compounds Ib145.1-Ib145.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁶ is ethyl, Z is methylsulfonyl and R¹⁸ is hydrogen.

35

Ib145



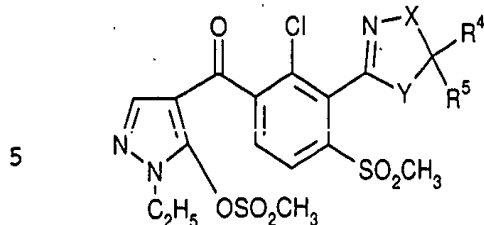
40

- The compounds Ib146.1-Ib146.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is ethyl, Z is methylsulfonyl and R¹⁸ is hydrogen.

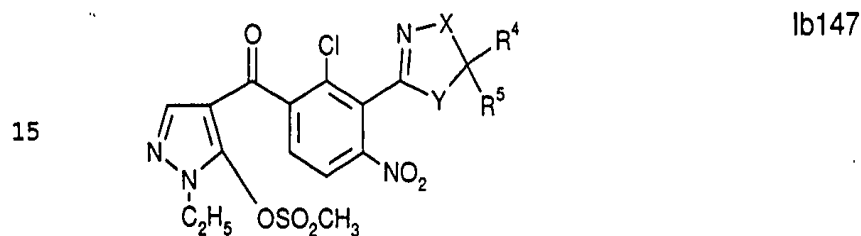
45

73

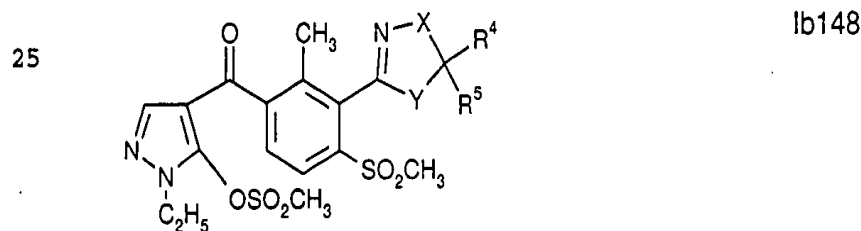
Ib146



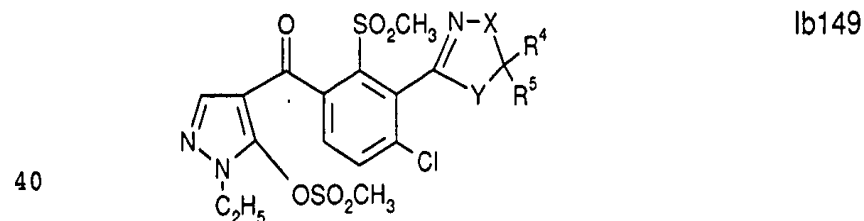
- 10 - The compounds Ib147.1-Ib147.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, R¹⁶ is ethyl, Z is methanesulfonyl and R¹⁸ is hydrogen.



- 20 - The compounds Ib148.1-Ib148.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methanesulfonyl, R¹⁶ is ethyl, Z is methanesulfonyl and R¹⁸ is hydrogen.



- 30 - The compounds Ib149.1-Ib149.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methanesulfonyl, R¹⁶ is ethyl, Z is methanesulfonyl and R¹⁸ is hydrogen.

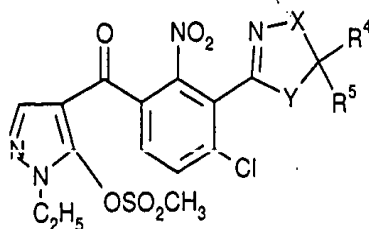


- 40
- 45 - The compounds Ib150.1-Ib150.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R¹⁶ is ethyl, Z is methanesulfonyl and R¹⁸ is hydrogen.

74

Ib150

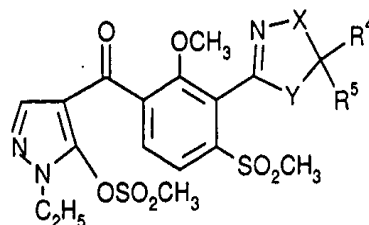
5



- 10 - The compounds Ib151.1-Ib151.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, R¹⁶ is ethyl, Z is methylsulfonyl and R¹⁸ is hydrogen.

15

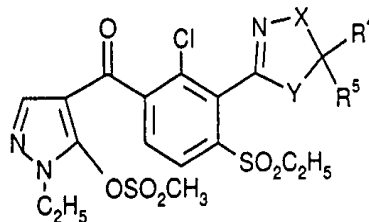
Ib151



- 20 - The compounds Ib152.1-Ib152.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, R¹⁶ is ethyl, Z is methylsulfonyl and R¹⁸ is hydrogen.

25

Ib152

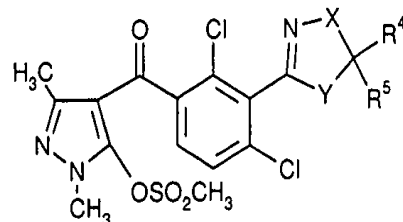


30

- 35 - The compounds Ib153.1-Ib153.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is methylsulfonyl.

35

Ib153



40

- 45 - The compounds Ib154.1-Ib154.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² and Z are methylsulfonyl.

lb154



- 10

15



- 20

25



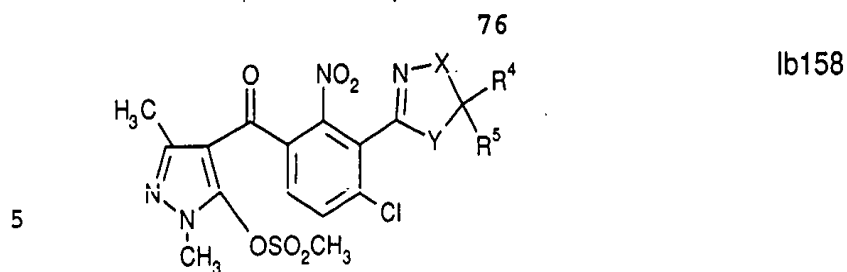
- 30 -

35

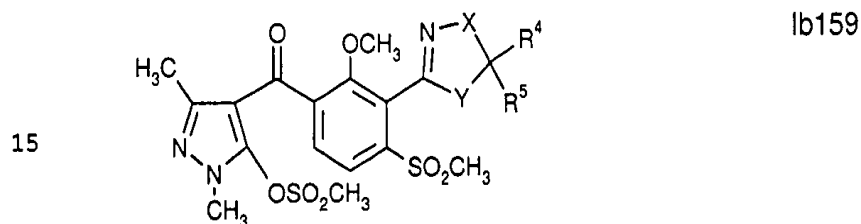


- 40

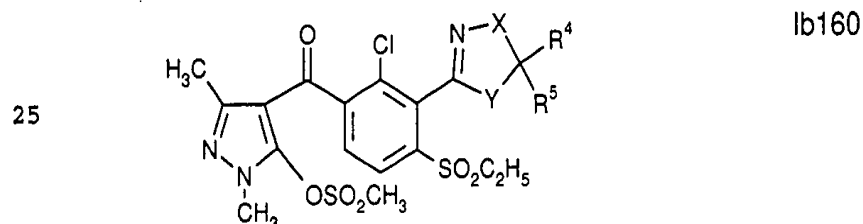
45



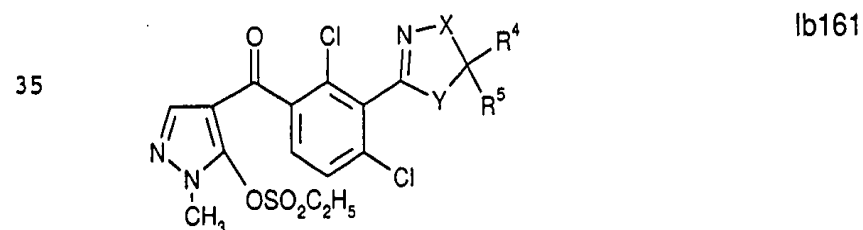
- 10 - The compounds Ib159.1-Ib159.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² and Z are methylsulfonyl.



- 20 - The compounds Ib160.1-Ib160.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl and Z is methylsulfonyl.



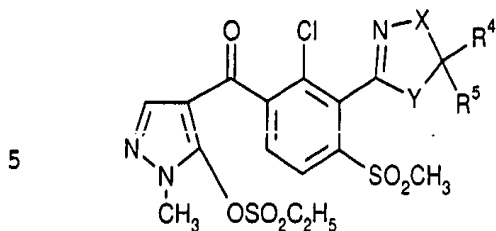
- 30 - The compounds Ib161.1-Ib161.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is ethylsulfonyl and R¹⁸ is hydrogen.



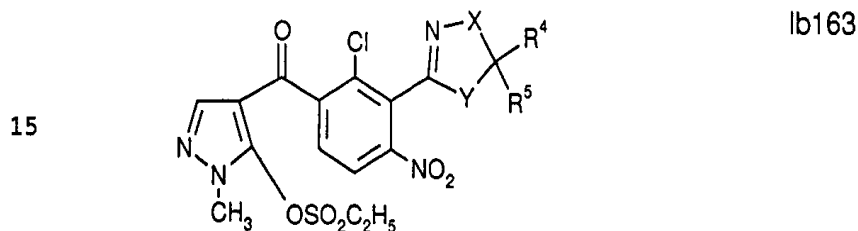
- 40 - The compounds Ib162.1-Ib162.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, Z is ethylsulfonyl and R¹⁸ is hydrogen.

77

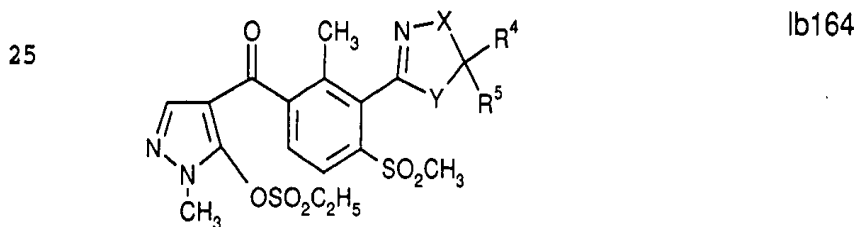
Ib162



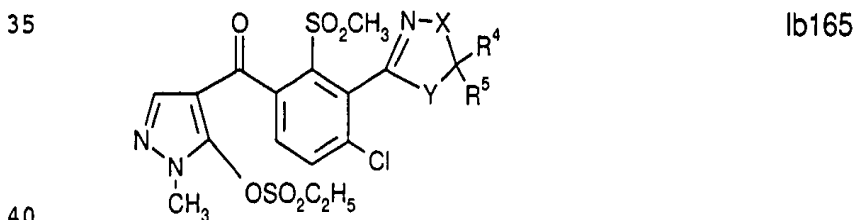
- 10 - The compounds Ib163.1-Ib163.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, Z is ethylsulfonyl and R¹⁸ is hydrogen.



- 20 - The compounds Ib164.1-Ib164.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, Z is ethylsulfonyl and R¹⁸ is hydrogen.



- 30 - The compounds Ib165.1-Ib165.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, Z is ethylsulfonyl and R¹⁸ is hydrogen.



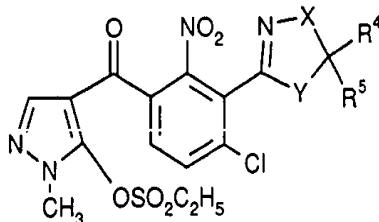
- 40 - The compounds Ib166.1-Ib166.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, Z is ethylsulfonyl and R¹⁸ is hydrogen.
- 45

00222-90084-60

78

Ib166

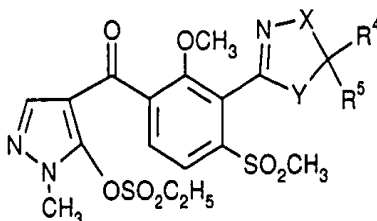
5



- The compounds Ib167.1-Ib167.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, Z is ethylsulfonyl and R¹⁸ is hydrogen.

15

Ib167

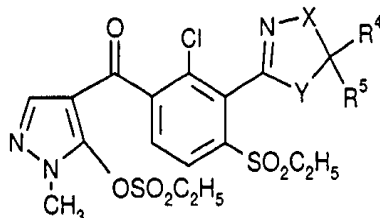


20

- The compounds Ib168.1-Ib168.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² and Z are ethylsulfonyl and R¹⁸ is hydrogen.

25

Ib168

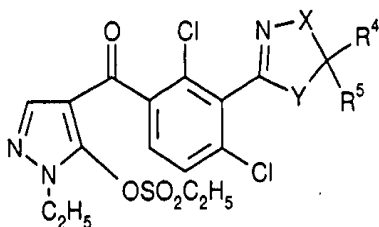


30

- The compounds Ib169.1-Ib169.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁶ is ethyl, Z is ethylsulfonyl and R¹⁸ is hydrogen.

35

Ib169



40

- The compounds Ib170.1-Ib170.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is ethyl, Z is ethylsulfonyl and R¹⁸ is hydrogen.

45

b170



10



20



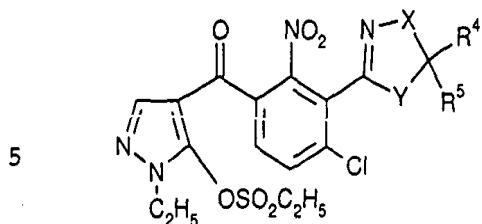
35



45

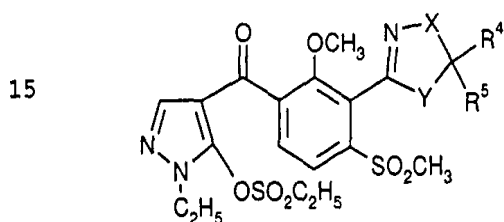
80

Ib174



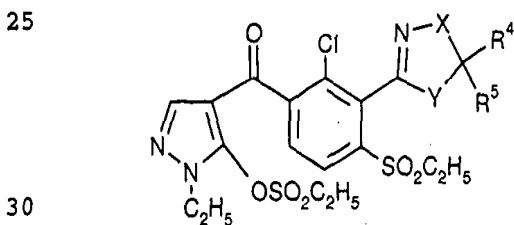
- 10 - The compounds Ib175.1-Ib175.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, R¹⁶ is ethyl, Z is ethylsulfonyl and R¹⁸ is hydrogen.

Ib175



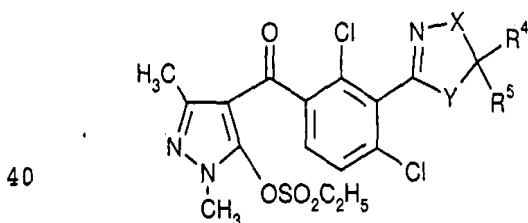
- 20 - The compounds Ib176.1-Ib176.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, R¹⁶ is ethyl, Z is ethylsulfonyl and R¹⁸ is hydrogen.

Ib176



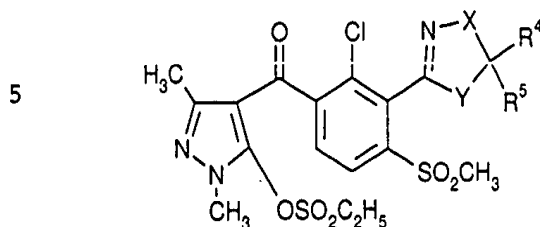
- 35 - The compounds Ib177.1-Ib177.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is ethylsulfonyl.

Ib177



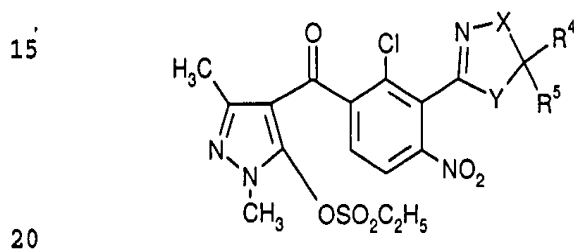
- 45 - The compounds Ib178.1-Ib178.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl and Z is ethylsulfonyl.

Ib178



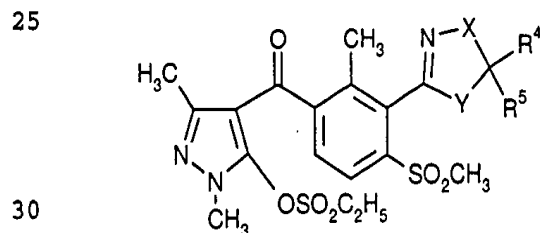
- 10 - The compounds Ib179.1-Ib179.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro and Z is ethylsulfonyl.

Ib179



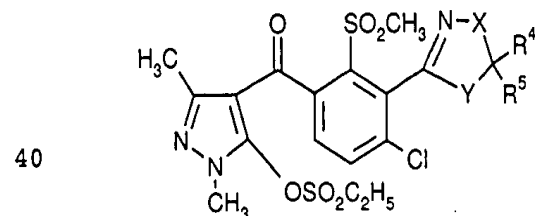
- The compounds Ib180.1-Ib180.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl and Z is ethylsulfonyl.

Ib180



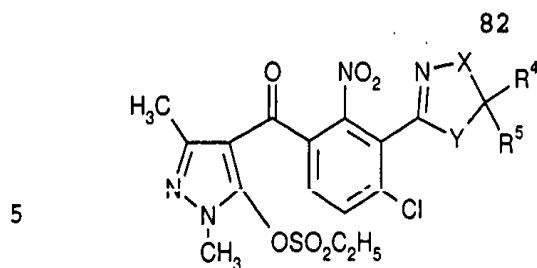
- The compounds Ib181.1-Ib181.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl and Z is ethylsulfonyl.

Ib181



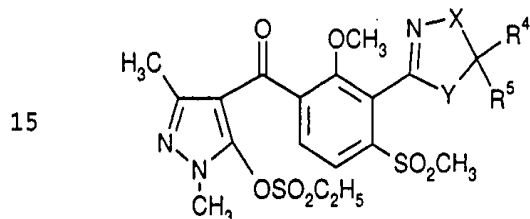
- The compounds Ib182.1-Ib182.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro and Z is ethylsulfonyl.
- 45

000000-000000-000000



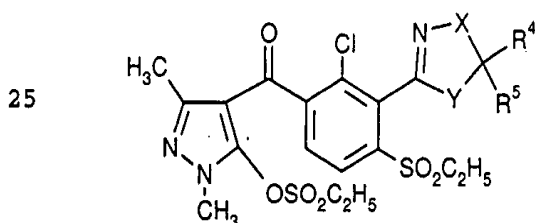
Ib182

- 10 - The compounds Ib183.1-Ib183.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl and Z is ethylsulfonyl.



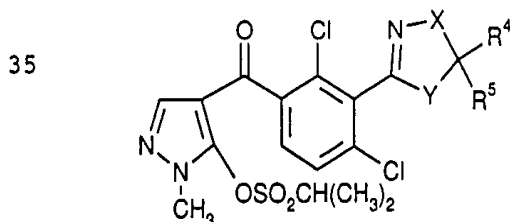
Ib183

- 20 - The compounds Ib184.1-Ib184.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² and Z are ethylsulfonyl.



Ib184

- 30 - The compounds Ib185.1-Ib185.126 which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

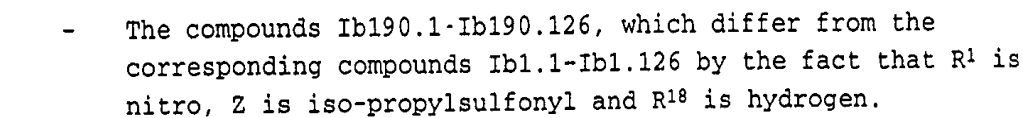
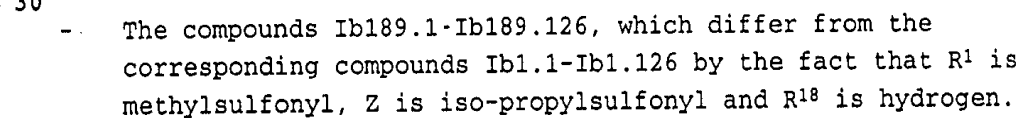
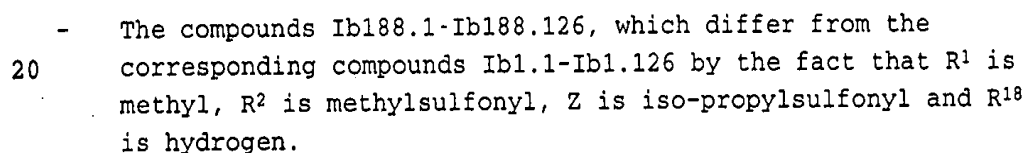


Ib185

- 40 - The compounds Ib186.1-Ib186.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

b186

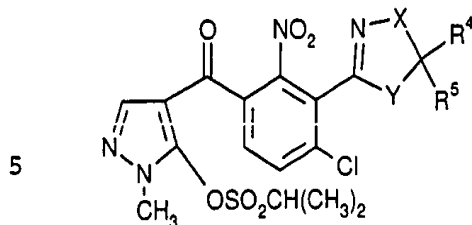
b187



45

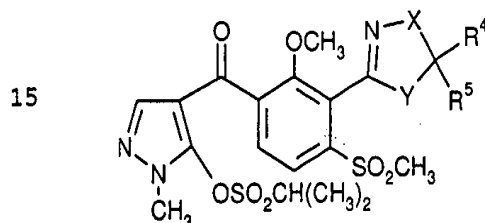
84

Ib190



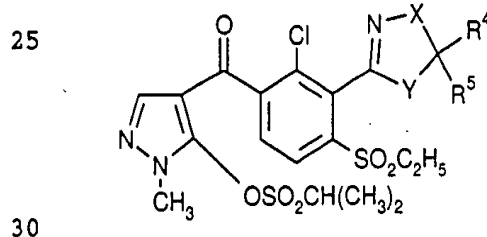
- 10 - The compounds Ib191.1-Ib191.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

Ib191



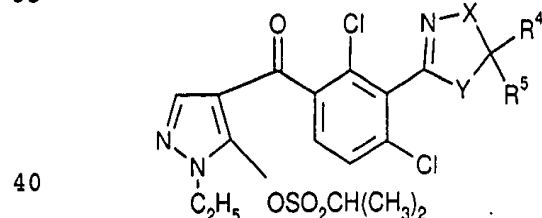
- 20 - The compounds Ib192.1-Ib192.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

Ib192



- The compounds Ib193.1-Ib193.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁶ is ethyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

Ib193

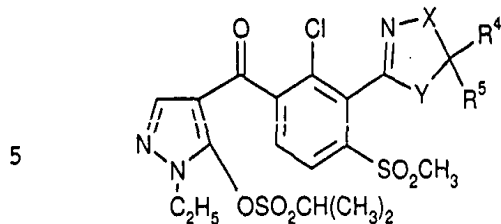


- 45 - The compounds Ib194.1-Ib194.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R³ is methylsulfonyl, R¹⁶ is ethyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

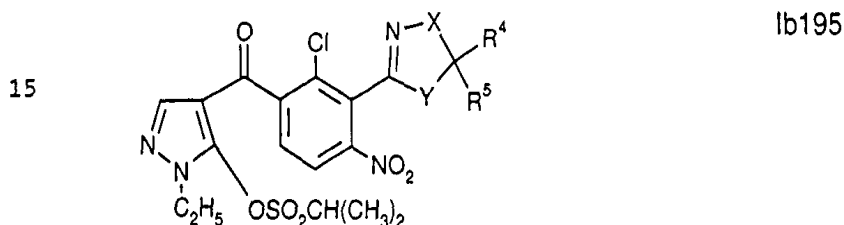
002221-500814200

85

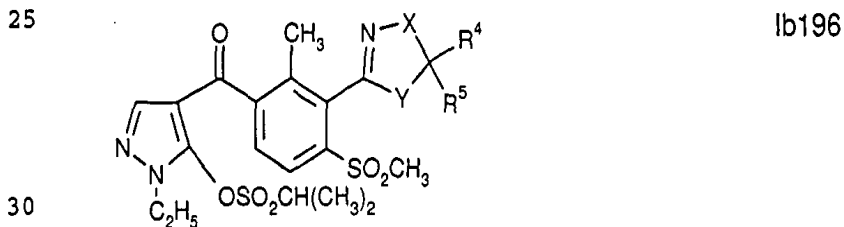
Ib194



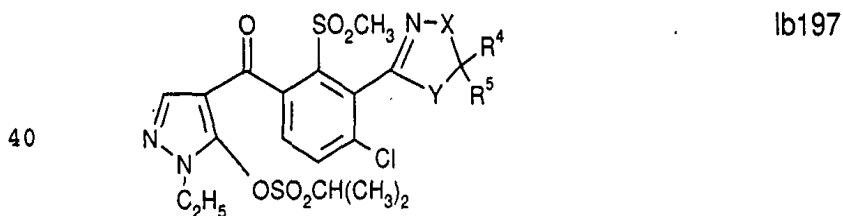
- 10 - The compounds Ib195.1-Ib195.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, R¹⁶ is ethyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.



- 20 - The compounds Ib196.1-Ib196.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹⁶ is ethyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.



- 35 - The compounds Ib197.1-Ib197.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R¹⁶ is ethyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

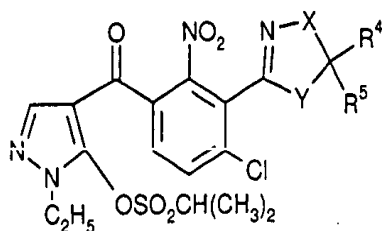


- 45 - The compounds Ib198.1-Ib198.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R¹⁶ is ethyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

86

Ib198

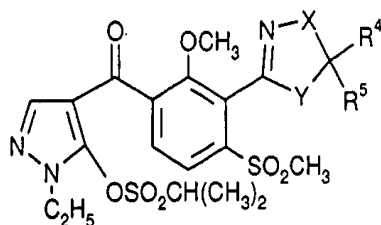
5



- The compounds Ib199.1-Ib199.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, R¹⁶ is ethyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

15

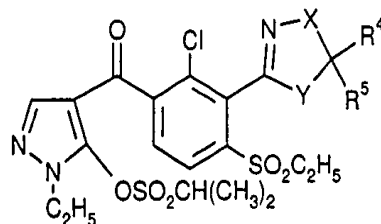
Ib199



- The compounds Ib200.1-Ib200.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, R¹⁶ is ethyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

25

Ib200

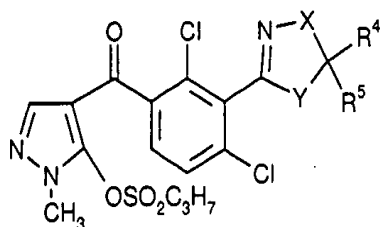


30

- The compounds Ib201.1-Ib201.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is n-propylsulfonyl and R¹⁸ is hydrogen.

35

Ib201



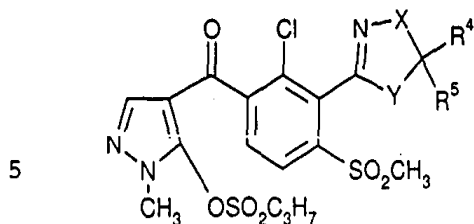
40

- The compounds Ib202.1-Ib202.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

45

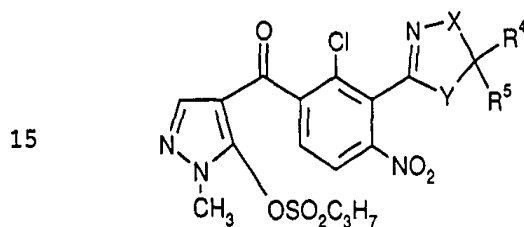
87

Ib202



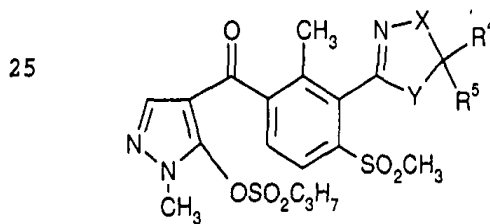
- The compounds Ib203.1-Ib203.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, Z is n-propylsulfonyl and R¹⁸ is hydrogen.
- 10

Ib203



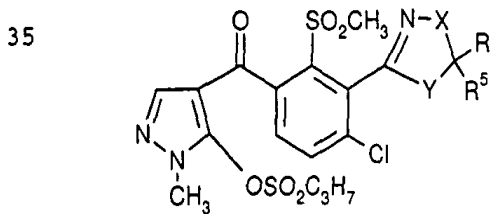
- The compounds Ib204.1-Ib204.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.
- 20

Ib204



- The compounds Ib205.1-Ib205.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.
- 30

Ib205

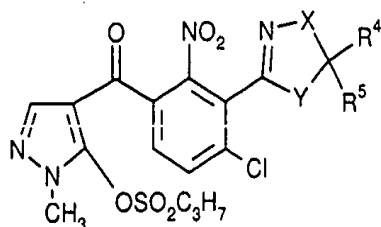


- The compounds Ib206.1-Ib206.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, Z is n-propylsulfonyl and R¹⁸ is hydrogen.
- 45

88

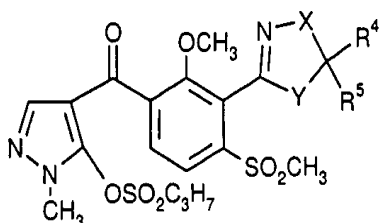
Ib206

5



- 10 - The compounds Ib207.1-Ib207.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

15

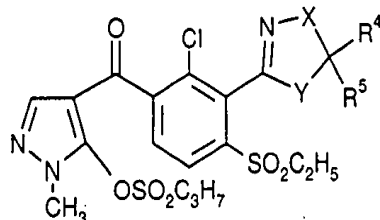


Ib207

20

- The compounds Ib.208.1-Ib208.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

25

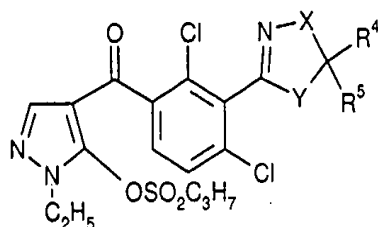


Ib208

30

- The compounds Ib209.1-Ib209.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁶ is ethyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

35



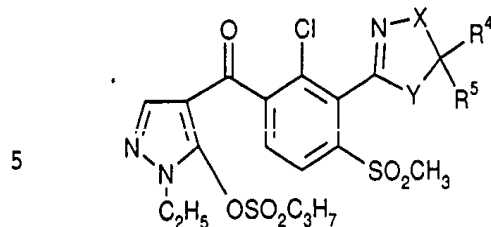
Ib209

40

- 45 - The compounds Ib210.1-Ib210.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is ethyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

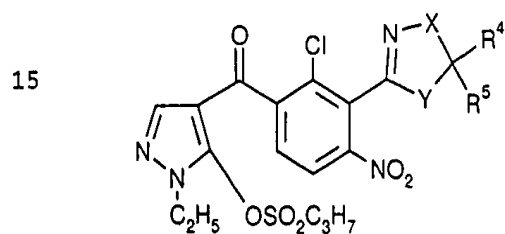
89

Ib210



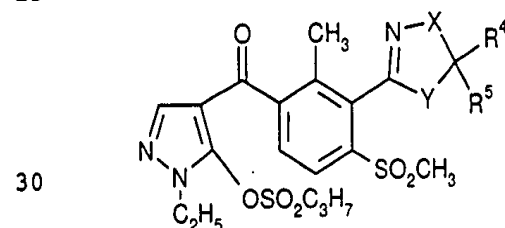
- 10 - The compounds Ib211.1-211.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, R¹⁶ is ethyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

Ib211



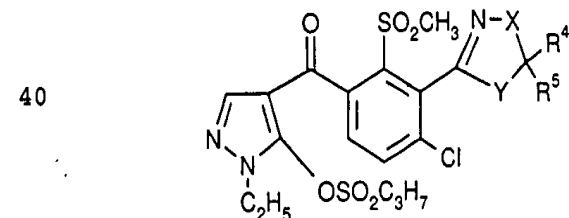
- 20 - The compounds Ib212.1-Ib212.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹⁶ is ethyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

Ib212



- 35 - The compounds Ib213.1-Ib213.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R¹⁶ is ethyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

Ib213

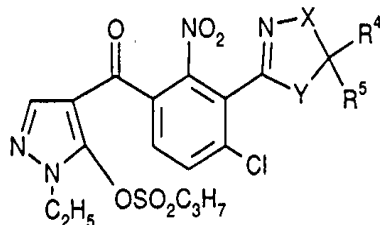


90

- The compounds Ib214.1-Ib214.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R¹⁶ is ethyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

5

10

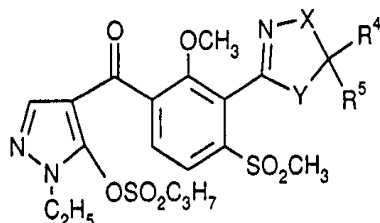


Ib214

15

- The compounds Ib215.1-Ib215.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, R¹⁶ is ethyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

20

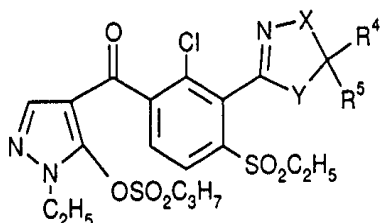


Ib215

25

- The compounds Ib216.1-Ib216.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, R¹⁶ is ethyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

30



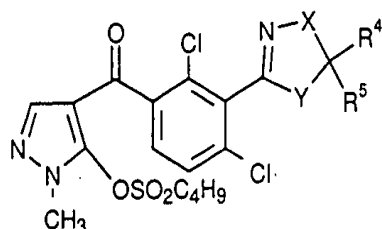
Ib216

35

- The compounds Ib217.1-Ib217.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is n-butylsulfonyl and R¹⁸ is hydrogen.

40

45

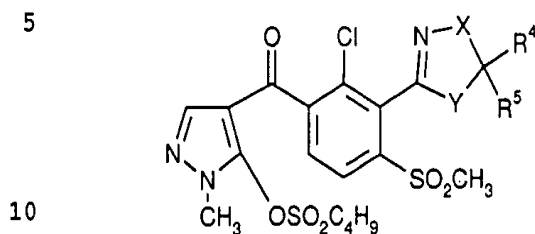


Ib217

91

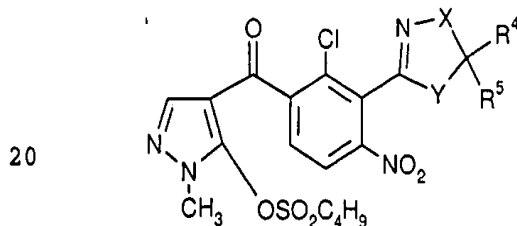
- The compounds Ib218.1-Ib218.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is methylsulfonyl, Z is n-butylsulfonyl and R^{18} is hydrogen.

Ib218



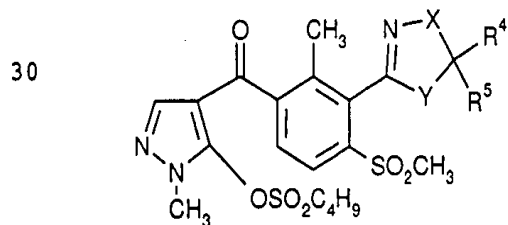
- The compounds Ib219.1-Ib219.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is nitro, Z is n-butylsulfonyl and R^{18} is hydrogen.

Ib 219



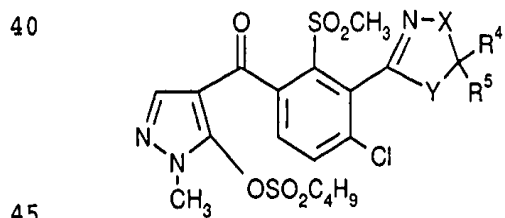
- The compounds Ib220.1-Ib220.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methyl, R^2 is methylsulfonyl, Z is n-butylsulfonyl and R^{18} is hydrogen.

Ib220



- The compounds Ib221.1-Ib221.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methylsulfonyl, Z is n-butylsulfonyl and R^{18} is hydrogen.

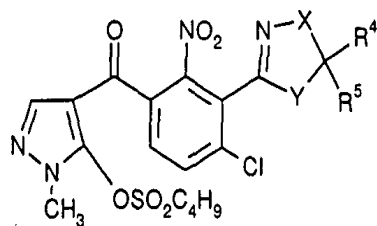
Ib221



92

- The compounds Ib222.1-Ib222.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

5

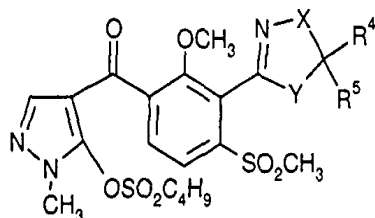


Ib222

10

- The compounds Ib223.1-Ib223.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

15

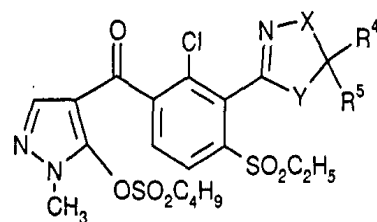


Ib223

20

- The compounds Ib224.1-Ib224.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

25

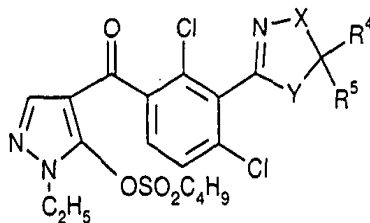


Ib224

30

- 35 - The compounds Ib225.1-Ib225.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁶ is ethyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

40



Ib225

45

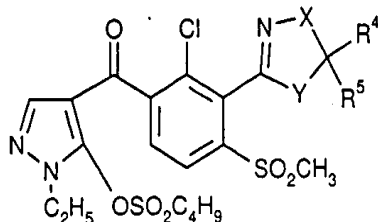
004221-906460

- The compounds Ib226.1-Ib226.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is ethyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

5

Ib226

10

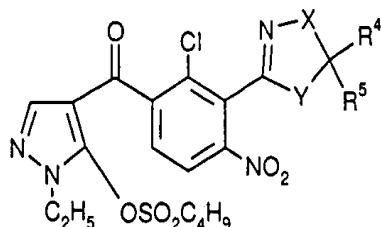


15

- The compounds Ib227.1-Ib227.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, R¹⁶ is ethyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

20

Ib227

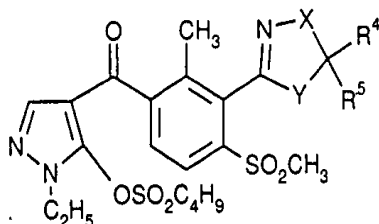


25

- The compounds Ib228.1-Ib228.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹⁶ is ethyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

30

Ib228



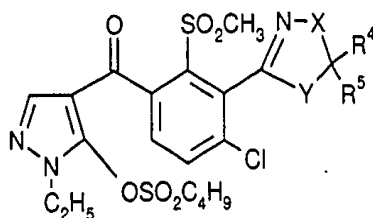
35

- The compounds Ib229.1-Ib229.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R¹⁶ is ethyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

40

Ib229

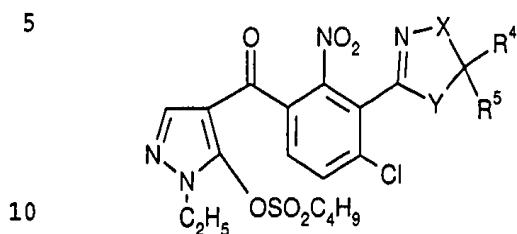
45



94

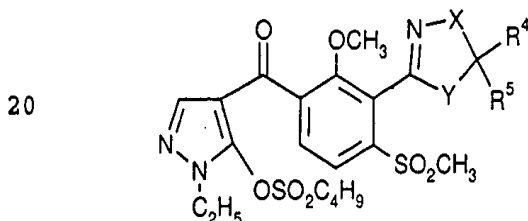
- The compounds Ib230.1-Ib230.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R¹⁶ is ethyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

Ib230



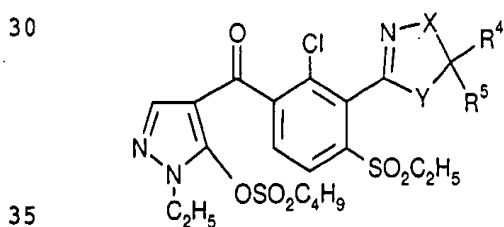
- The compounds Ib231.1-Ib231.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, R¹⁶ is ethyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

Ib231



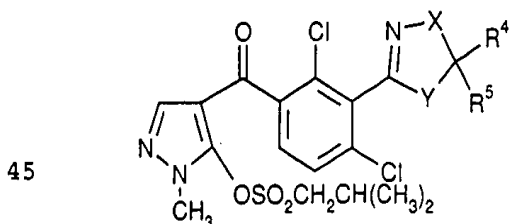
- The compounds Ib232.1-Ib232.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, R¹⁶ is ethyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

Ib232



- The compounds Ib233.1-Ib233.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

Ib233



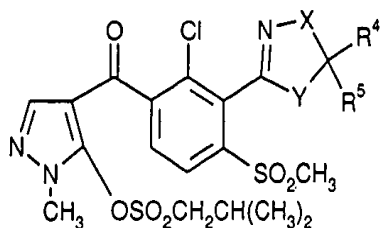
95

- The compounds Ib234.1-Ib234.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

5

Ib234

10

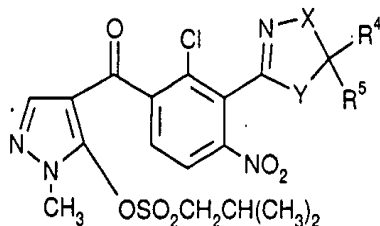


- The compounds Ib235.1-Ib235.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

15

Ib235

20

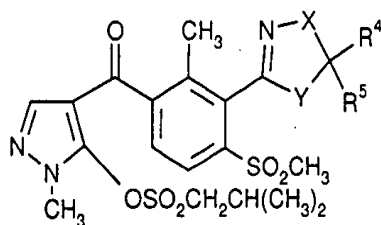


- The compounds Ib236.1-Ib236.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

25

Ib236

30

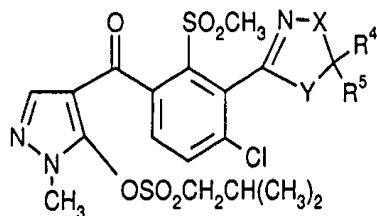


35

- The compounds Ib237.1-Ib237.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

40

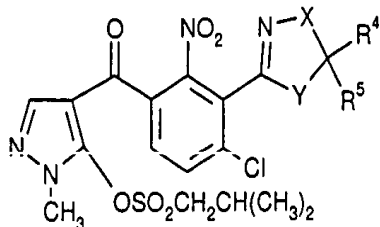
Ib237



45

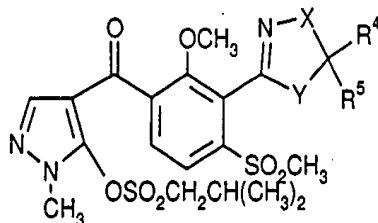
- The compounds Ib238.1-Ib238.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

b238



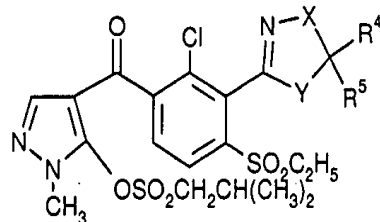
- 15

1b239



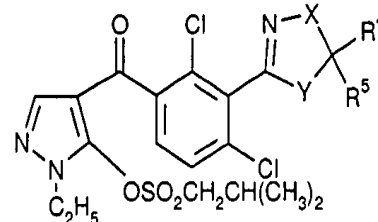
- 25

1b240



- 35

b241

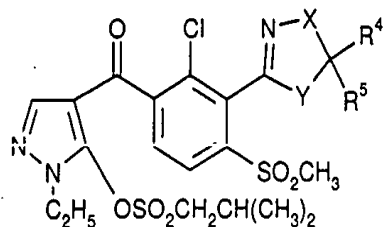


- 45

97

Ib242

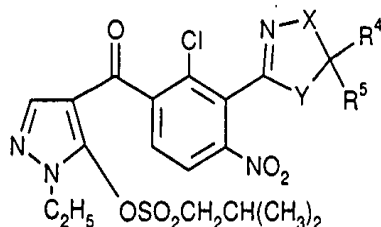
5



- The compounds Ib243.1-Ib243.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, R¹⁶ is ethyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

15

Ib243

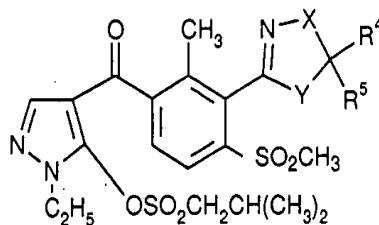


20

- The compounds Ib244.1-Ib244.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹⁶ is ethyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

25

Ib244

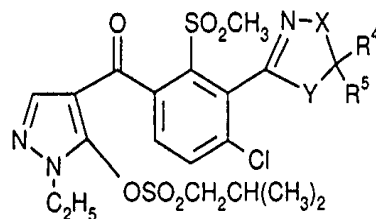


30

- The compounds Ib245.1-Ib245.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R¹⁶ is ethyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

40

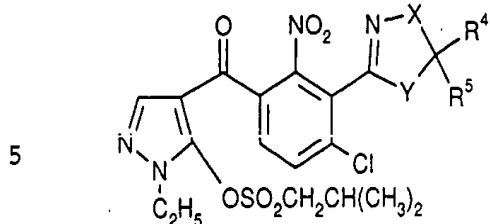
Ib245



- The compounds Ib246.1-Ib246.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R¹⁶ is ethyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

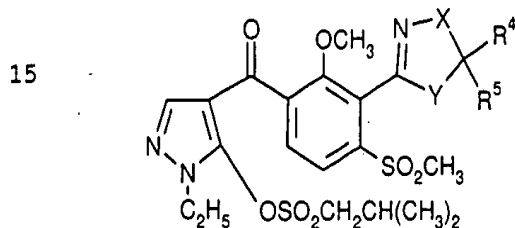
98

Ib246



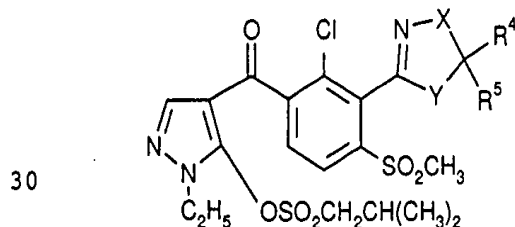
- 10 - The compounds Ib247.1-Ib247.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, R¹⁶ is ethyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

Ib247



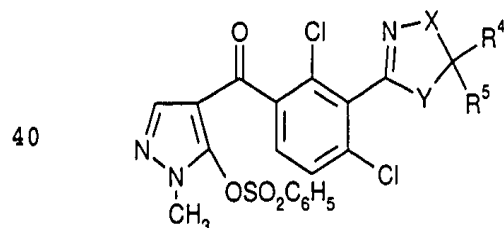
- 20 - The compounds Ib248.1-Ib248.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is ethyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

Ib248



- 30 - The compounds Ib249.1-Ib249.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is phenylsulfonyl and R¹⁸ is hydrogen.

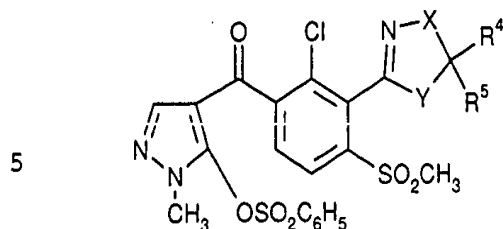
Ib249



- 40 - The compounds Ib250.1-Ib250.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, Z is phenylsulfonyl and R¹⁸ is hydrogen.

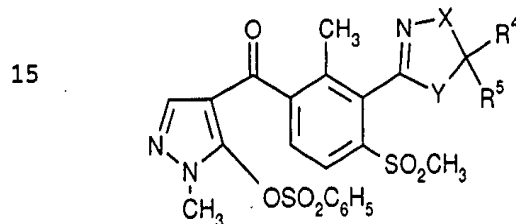
99

Ib250



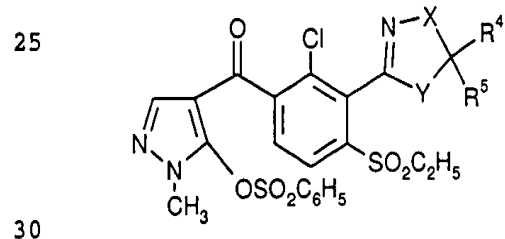
- 10 - The compounds Ib251.1-Ib251.126 which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methanesulfonyl, Z is phenanesulfonyl and R¹⁸ is hydrogen.

Ib251



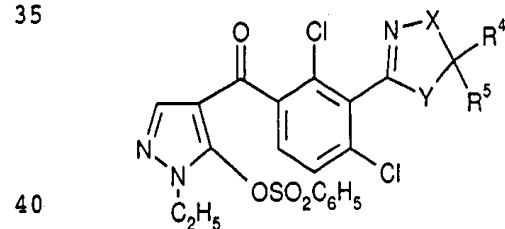
- 20 - The compounds Ib252.1-Ib252.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethanesulfonyl, Z is phenanesulfonyl and R¹⁸ is hydrogen.

Ib252



- 35 - The compounds Ib253.1-Ib253.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁶ is ethyl, Z is phenanesulfonyl and R¹⁸ is hydrogen.

Ib253

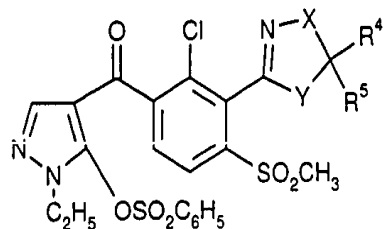


- 45 - The compounds Ib254.1-Ib254.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methanesulfonyl, R¹⁶ is ethyl, Z is phenanesulfonyl and R¹⁸ is hydrogen.

100

Ib254

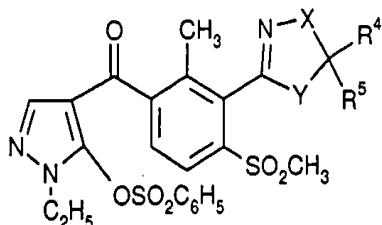
5



- The compounds Ib255.1-Ib255.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹⁶ is ethyl, Z is phenylsulfonyl and R¹⁸ is hydrogen.

15

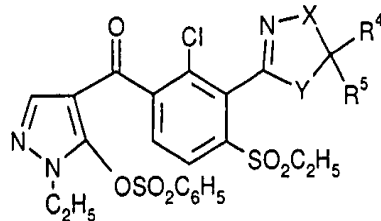
Ib255



- The compounds Ib256.1-Ib256.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, R¹⁶ is ethyl, Z is phenylsulfonyl and R¹⁸ is hydrogen.

25

Ib256

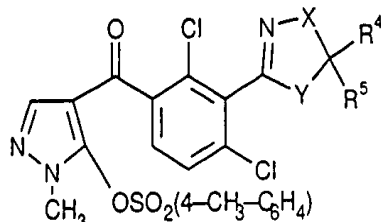


30

- The compounds Ib257.1-Ib257.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is p-toluenesulfonyl and R¹⁸ is hydrogen.

35

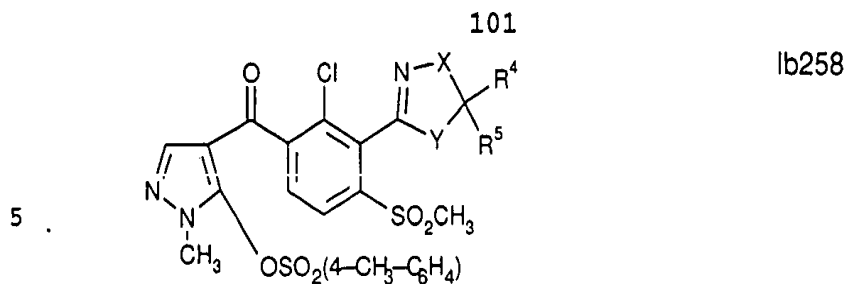
Ib257



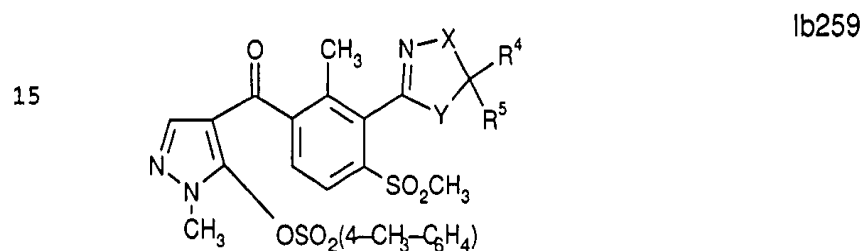
40

- The compounds Ib258.1-Ib258.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, Z is p-toluenesulfonyl and R¹⁸ is hydrogen.

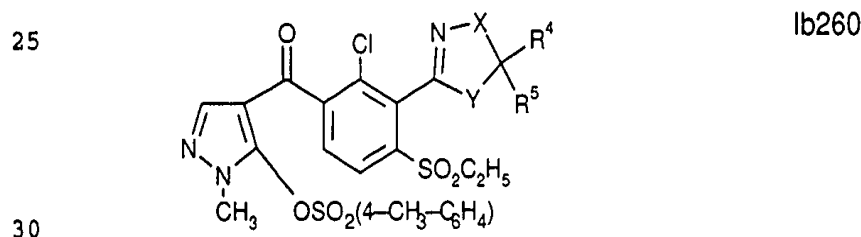
45



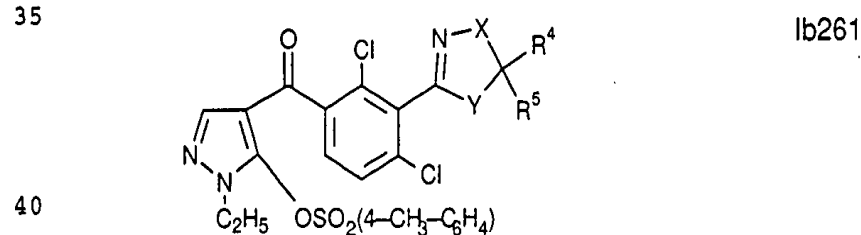
- 10 - The compounds Ib259.1-Ib259.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, Z is p-toluenesulfonyl and R¹⁸ is hydrogen.



- 20 - The compounds Ib260.1-Ib260.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, Z is p-toluenesulfonyl and R¹⁸ is hydrogen.



- The compounds Ib261.1-Ib261.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁶ is ethyl, Z is p-toluenesulfonyl and R¹⁸ is hydrogen.

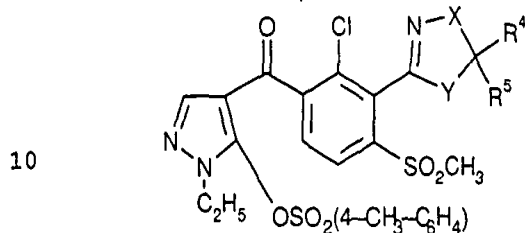


102

- The compounds Ib262.1-Ib262.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is ethyl, Z is p-toluenesulfonyl and R¹⁸ is hydrogen.

5

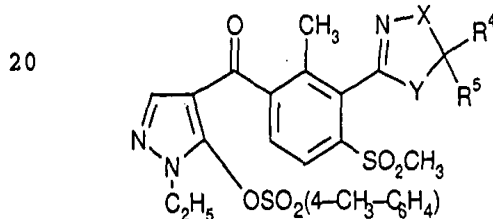
Ib262



- The compounds Ib263.1-Ib263.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹⁶ is ethyl, Z is p-toluenesulfonyl and R¹⁸ is hydrogen.

15

Ib263

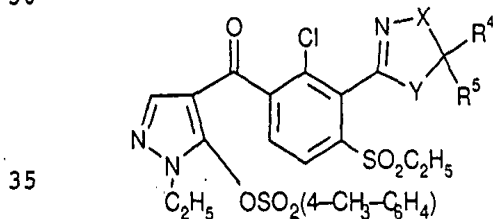


25

- The compounds Ib264-Ib264.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, R¹⁶ is ethyl, Z is p-toluenesulfonyl and R¹⁸ is hydrogen.

30

Ib264



Also particularly preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where:

40

R¹ is halogen, C₁-C₆-alkyl, C₁-C₆-alkylthio or C₁-C₆-alkylsulfonyl;
in particular chlorine, methyl, methylthio or methylsulfonyl;

45

R² is hydrogen, nitro, halogen, C₁-C₆-alkylthio, C₁-C₆-alkylsulfinyl or C₁-C₆-alkylsulfonyl;

5 R^3 is hydrogen;

or

X is 0 or $CR^{10}R^{11}$;

30 or

Z is H or SO_2R^{17} ;

R¹⁷ is C₁-C₄-alkyl;
45 in particular methyl, ethyl, propyl or 2-methylpropyl;

with the exception of 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1-ethyl-5-hydroxy-1H-pyrazole, 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole, 4-[2-chloro-3-(5-cyano-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole and 4-[2-chloro-3-(4,5-dihydrothiazol-2-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole;

10 and the agriculturally useful salts thereof;
in particular alkali metal salts and ammonium salts.

15

20

25

30

35

40

45

The 3-heterocyclyl-substituted benzoyl derivatives of the formula I are obtainable by various routes, for example by the following process:

5

Process A:

Reaction of pyrazoles of the formula II (where Z = H) with an
10 activated benzoic acid III α or a benzoic acid III β , which is
preferably activated in situ to give the acylating product and
subsequently subjecting the latter to a rearrangement reaction.

15

20

25

30

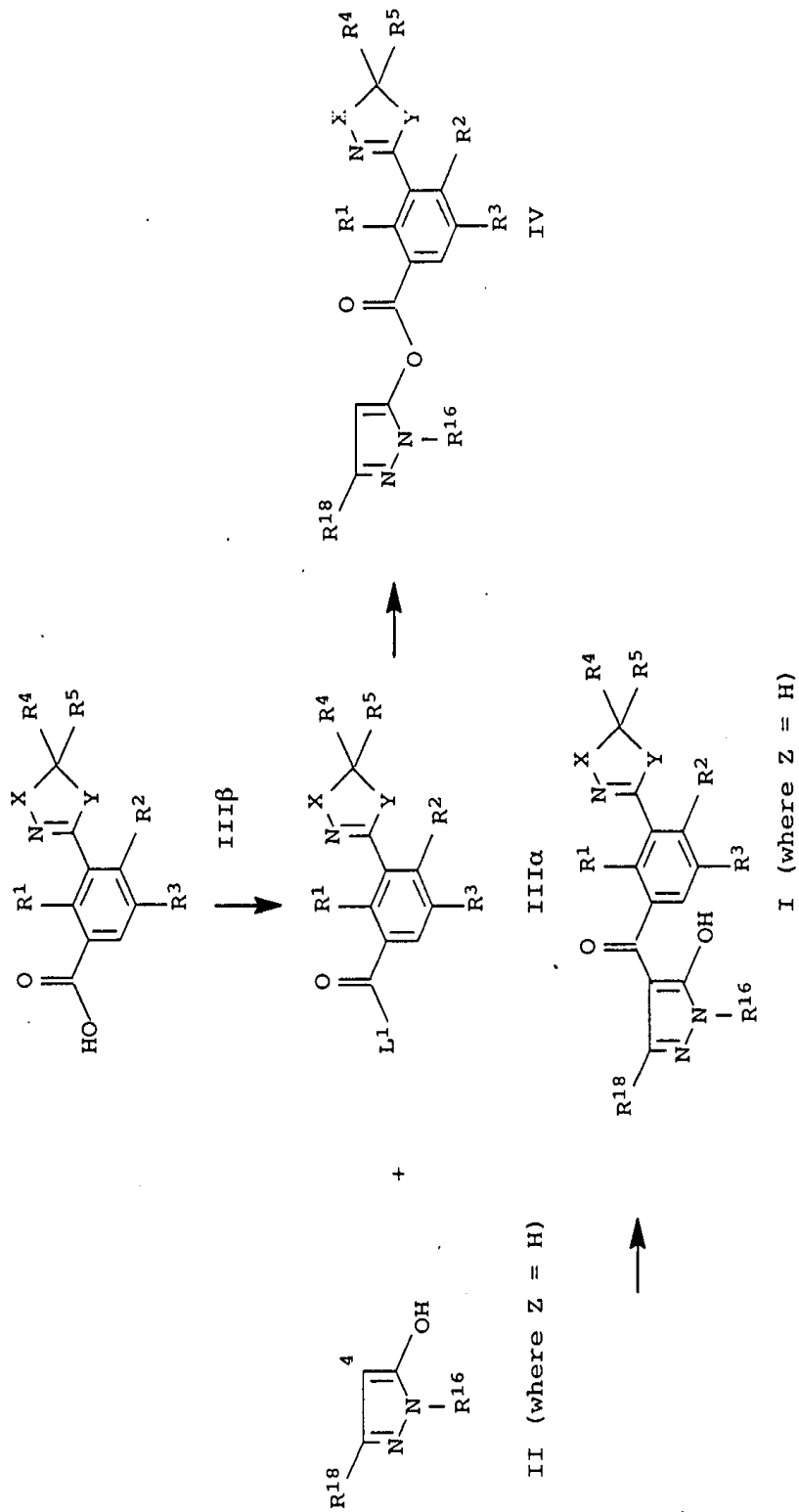
35

40

45

0050/47679

002227 30034680



10

15

20

30

35

40

45

Examples of solvents which can be used are acetonitrile, methylene chloride, 1,2-dichloroethane, dioxane, ethyl acetate, toluene or mixtures of these. Preferred solvents are acetonitrile and dioxane.

5

Suitable bases are tertiary amines such triethylamine, pyridine, or alkali metal carbonates such as sodium carbonate, potassium carbonate, all of which are preferably employed in equimolar amounts or up to a fourfold excess, based on the ester.

10 Triethylamine or alkali metal carbonate are preferably used, but by preference in a ratio of twice the equimolar amount based on the ester.

15 Suitable cyano compounds are inorganic cyanides such as sodium cyanide, potassium cyanide, and organic cyano compounds such as acetone cyanohydrin, trimethylsilyl cyanide. They are employed in an amount of from 1 to 50 mol percent, based on the ester.

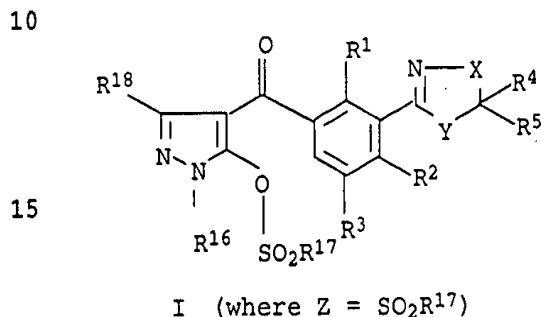
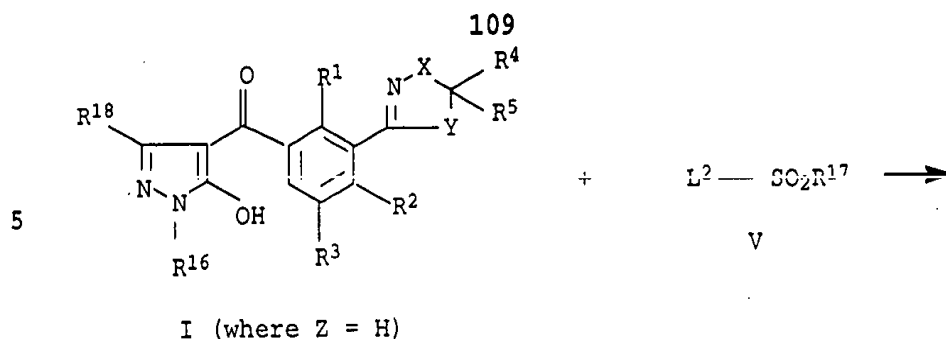
Substances which are preferably employed are acetone cyanohydrin or trimethylsilyl cyanide, for example in an amount of from 5 to 20 15, preferably 10, mol percent, based on the ester.

Work-up can be effected in a manner known per se. For example, the reaction mixture is acidified with dilute mineral acid, such as 5% strength hydrochloric acid or sulfuric acid, and extracted with an organic solvent, eg. methylene chloride or ethyl acetate. The organic extract can be extracted with 5-10% strength alkali metal carbonate solution, eg. sodium carbonate or potassium carbonate solution. The aqueous phase is acidified, and the precipitate which forms is filtered off with suction and/or extracted with methylene chloride or ethyl acetate, dried and concentrated.

(Examples of the synthesis of esters from hydroxypyrazoles and of the rearrangement of the esters are mentioned, for example, in 35 EP-A 282 944 and US 4 643 757).

Process B:

40 Reaction of 3-heterocyclyl-substituted benzoyl derivatives of the formula I (where Z = H) with a compound of the formula V (where Z = SO₂R¹⁷):



20 L² is a nucleophilically displaceable leaving group, such as halogen, eg. bromine, chlorine, hetaryl, eg. imidazolyl, pyridyl, sulfonate, eg. OSO₂R¹⁷.

25 The compounds of the formula V can be employed directly such as, for example, in the case of the sulfonyl halides or sulfonic anhydrides, or they can be prepared in situ, for example activated sulfonic acids (by means of sulfonic acid and dicyclohexylcarbodiimide, carbonyldiimidazole and the like).

30 As a rule, the starting compounds are employed in an equimolar ratio. However, it may also be advantageous to employ an excess of one or the other component.

35 It may be advantageous to carry out the reaction in the presence of a base. The reactants and the auxiliary base are expediently employed in equimolar ratios. An excess of the auxiliary base, for example 1.5 to 3 mol equivalents, based on II, may be advantageous under certain circumstances.

40 Suitable auxiliary bases are tertiary alkylamines such as triethylamine or pyridine, alkali metal carbonates, eg. sodium carbonate or potassium carbonate, and alkali metal hydrides, eg. sodium hydride. Triethylamine and pyridine are preferably used.

45

004600-12200

110

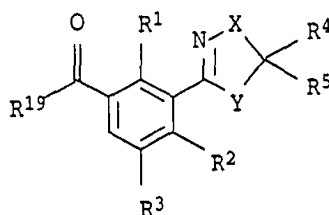
Examples of suitable solvents are chlorinated hydrocarbons such as methylene chloride or 1,2-dichlorethane, aromatic hydrocarbons, eg. toluene, xylene or chlorobenzene, ethers such as diethyl ether, methyl tert-butyl ether, tetrahydrofuran or dioxane, polar aprotic solvents such as acetonitrile, dimethylformamide or dimethyl sulfoxide, or esters such as ethyl acetate, or mixtures of these.

As a rule, the reaction temperature is in the range of from 0°C to the boiling point of the reaction mixture.

Work-up can be effected in a manner known per se to give the product.

Those pyrazoles of the formula II (where Z = H) which are used as starting materials and which are not already known can be prepared by processes known per se (for example EP-A 240 001 and J. Prakt. Chem. 315, 383 (1973)).

Novel 3-heterocyclyl-substituted benzoic acid derivatives of the formula III



III

are those where the variables have the following meanings:

R^1, R^2 are hydrogen, nitro, halogen, cyano, C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, C_1 - C_6 -alkoxy, C_1 - C_6 -haloalkoxy, C_1 - C_6 -alkylthio, C_1 - C_6 -haloalkylthio, C_1 - C_6 -alkylsulfinyl, C_1 - C_6 -haloalkylsulfinyl, C_1 - C_6 -alkylsulfonyl or C_1 - C_6 -haloalkylsulfonyl;

R^3 is hydrogen, halogen or C_1 - C_6 -alkyl;

R^4, R^5 are hydrogen, halogen, cyano, nitro, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy- C_1 - C_4 -alkyl, di(C_1 - C_4 -alkoxy)- C_1 - C_4 -alkyl, di(C_1 - C_4 -alkyl)amino- C_1 - C_4 -alkyl, [2,2-di(C_1 - C_4 -alkyl)hydrazino-1]- C_1 - C_4 -alkyl, C_1 - C_6 -alkyliminoxy- C_1 - C_4 -alkyl,

15

20

25

30

35

40

45

or

112

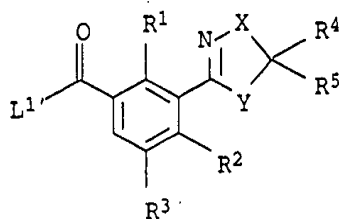
R⁴ and R⁹ or R⁴ and R¹⁰ or R⁵ and R¹² or R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

R¹⁹ is hydroxyl or a radical which can be removed by hydrolysis;

with the exception of methyl 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate, methyl 2-chloro-3-(4,5-dihydrooxazol-2-yl)-4-methylsulfonylbenzoate and methyl 2,4-dichloro-3-(5-methylcarbonyloxy-4,5-dihydroisoxazol-3-yl)-benzoate.

Examples of radicals which can be removed by hydrolysis are alkoxy, phenoxy, alkylthio and phenylthio radicals which are unsubstituted or substituted, halides, hetaryl radicals which are bonded via nitrogen; amino, imino radicals which are unsubstituted or substituted, and the like.

Preferred are 3-heterocyclyl-substituted benzoic acid halides of the formula IIIα', where L^{1'} = halogen (≡ III where R¹⁹ = halogen)



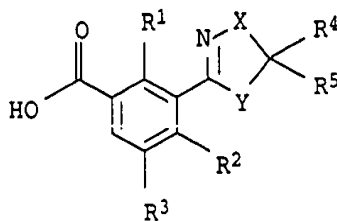
IIIα'

where the variables R¹ to R⁵, X and Y have the meanings given under the formula III and

L^{1'} is halogen, in particular chlorine or bromine.

Equally preferred are 3-heterocyclyl-substituted benzoic acids of the formula IIIβ (≡ III where R¹⁹ = hydroxyl)

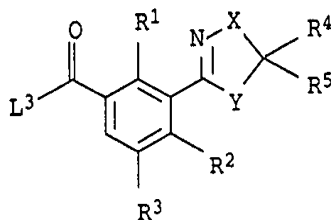
113



IIIIβ

where the variables R¹ to R⁵, X and Y have the meanings given under formula III.

Equally preferred are 3-heterocyclyl-substituted benzoic esters of the formula IIIIγ ($\hat{=}$ III where R¹⁹ = C₁-C₆-alkoxy)



IIIIγ

where the variables R¹ to R⁵, X and Y have the meanings given under formula III and

L³ is C₁-C₆-alkoxy.

The specially preferred embodiments of the 3-heterocyclyl-substituted benzoic acid derivatives of the formula III with regard to the variables R¹ to R⁵, X and Y correspond to those of the 3-heterocyclyl-substituted benzoyl derivatives of the formula I.

Also preferred are 3-heterocyclyl-substituted benzoic acid derivatives of the formula III, where:

R¹ is halogen, C₁-C₆-alkyl, C₁-C₆-alkylthio or C₁-C₆-alkylsulfonyl; in particular chlorine, methyl, methylthio or methylsulfonyl; extraordinarily preferably chlorine;

R² is hydrogen, nitro, halogen, C₁-C₆-alkylthio, C₁-C₆-alkylsulfinyl or C₁-C₆-alkylsulfonyl;

114

in particular hydrogen, nitro, chlorine, methylthio, methylsulfinyl, methylsulfonyl, ethylsulfonyl or propylsulfonyl;

5 extraordinarily preferably hydrogen, chlorine, methylthio, methylsulfonyl, ethylsulfonyl or propylsulfonyl;

R³ is hydrogen;

10 R⁴, R⁵ are hydrogen, halogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, hydroxyl, C₁-C₄-alkylcarbonyloxy, C₁-C₄-alkylthio or COR⁶;

15 in particular hydrogen, fluorine, methyl, ethyl, propyl, trifluoromethyl, chloromethyl, 2-chloroeth-1-yl, methoxy, ethoxy, 2-methylprop-1-oxy, hydroxyl, methylcarbonyloxy, ethylthio, formyl, methylcarbonyl, methoxycarbonyl or ethoxycarbonyl;

20 extraordinarily preferably hydrogen, fluorine, methyl, ethyl, trifluoromethyl, chloromethyl, 2-chloroeth-1-yl, methoxy, ethoxy, 2-methylprop-1-oxy, hydroxyl, methylcarbonyloxy, ethylthio, formyl, methylcarbonyl, methoxycarbonyl or ethoxycarbonyl;

or

25 R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to polysubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

30 in particular 1,4-butanediyl, 2-oxo-1,5-pentanediy1;

or

35 R⁴ and R⁵ together with the corresponding carbon atoms form a carbonyl group

R⁶ is hydrogen, C₁-C₄-alkyl or C₁-C₄-alkoxy; in particular hydrogen, methyl, methoxy or ethoxy;

40 X is O, S, CO, CR¹⁰R¹¹;

Y is O, S, CR¹³R¹⁴;

45

00443006: 433700

R¹⁰, R¹¹, R¹³, R¹⁴ are hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl or C₁-C₄-alkoxycarbonyl;
in particular hydrogen, methyl, chloromethyl or methoxycarbonyl;

5

or

R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to polysubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;
in particular 1,3-propanediyl;

10

R¹⁹ is hydroxyl, halogen or C₁-C₆-alkoxy;
in particular hydroxyl, chlorine, methoxy or ethoxy;

15

with the exception of methyl 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate, methyl 2-chloro-3-(4,5-dihydroisoxazol-2-yl)-4-methylsulfonylbenzoate and methyl 2,4-dichloro-3-(5-methylcarbonyloxy-4,5-dihydroisoxazol-3-yl)benzoate.

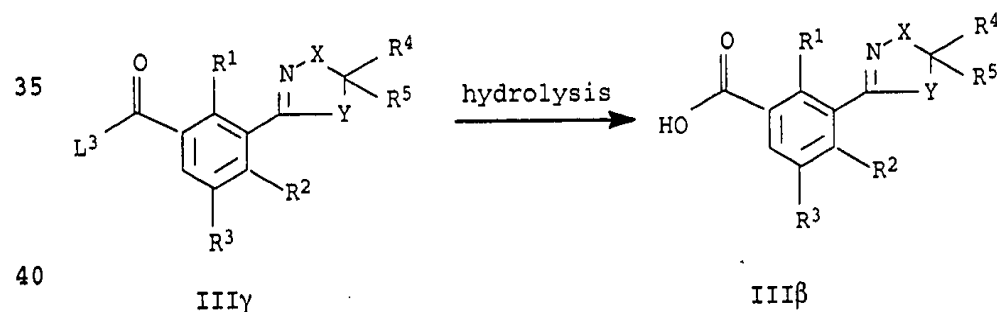
20

The benzoyl halides of the formula III α' (where L¹ = Cl, Br) can be prepared in a manner known per se by reacting the benzoic acids of the formula III β with halogenating reagents such as thionyl chloride, thionyl bromide, phosgene, diphosgene, triphosgene, oxalyl chloride or oxalyl bromide.

25

The benzoic acids of the formula III β can be prepared in a known manner from the corresponding esters of the formula III γ (L³ = C₁-C₆-alkoxy) by means of acid or basic hydrolysis.

30

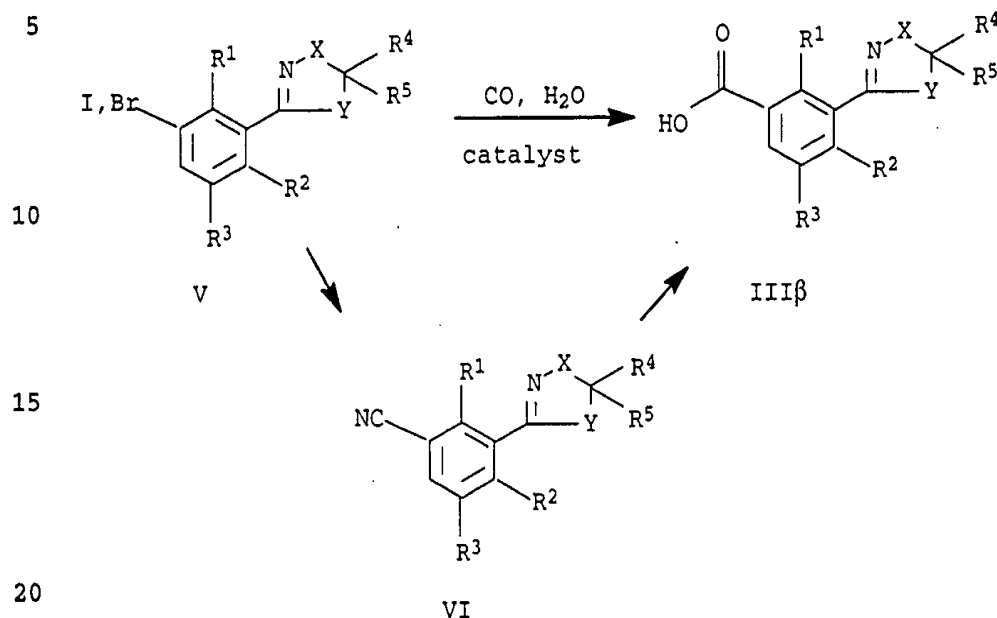


Equally, the benzoic acids of the formula III β can be obtained by reacting corresponding bromine- or iodine-substituted compounds of the formula V, with carbon monoxide and water under elevated

45

116

pressure in the presence of a palladium, nickel, cobalt or rhodium transition metal catalyst and a base.

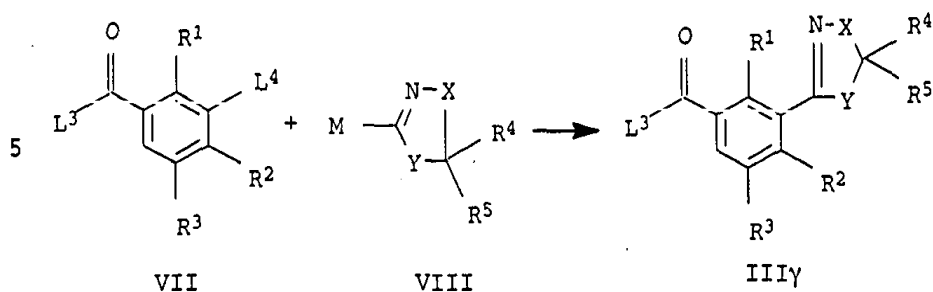


Furthermore, it is possible to convert compounds of the formula V into the corresponding nitriles of the formula VI by a Rosenmund-von Braun reaction (cf., for example, Org. Synth. Vol III (1955), 212) and to convert these nitriles into the compounds of the formula IIIβ by subsequent hydrolysis.

The esters of the formula IIIγ can be obtained by reacting arylhalogen compounds or arylsulfonates of the formula VII, where L⁴ is a leaving group such as bromine, iodine, triflate, fluorosulfonyloxy and the like with heterocyclyl stannates (Stille couplings), heterocyclyl-boron compounds (Suzuki couplings) or heterocyclyl-zinc compounds (Negishi reaction) VIII, where M is Sn(C₁-C₄-alkyl)₃, B(OH)₂, ZnHal (where Hal = chlorine, bromine) and the like, respectively, in a manner known per se (cf., for example, Tetrahedron Lett. 27 (1986), 5269) in the presence of a palladium or nickel transition metal catalyst and in the presence or absence of a base.

45

117

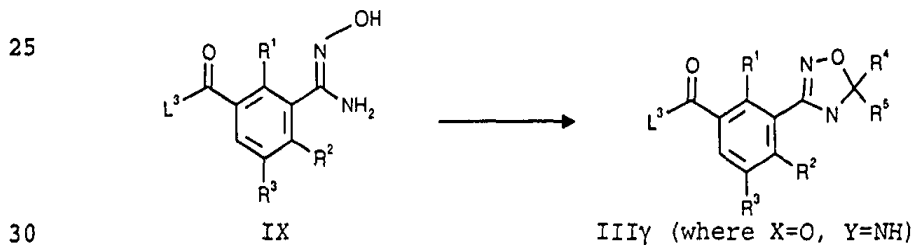


- 10 (where $L^4 = \text{Br, I, OSO}_2\text{CF}_3, \text{OSO}_2\text{F}$) (where $M = \text{Sn}(\text{C}_1\text{-C}_4\text{-Alkyl})_3, \text{B}(\text{OH})_2, \text{ZnHal}$, where Hal is Cl or Br)

15

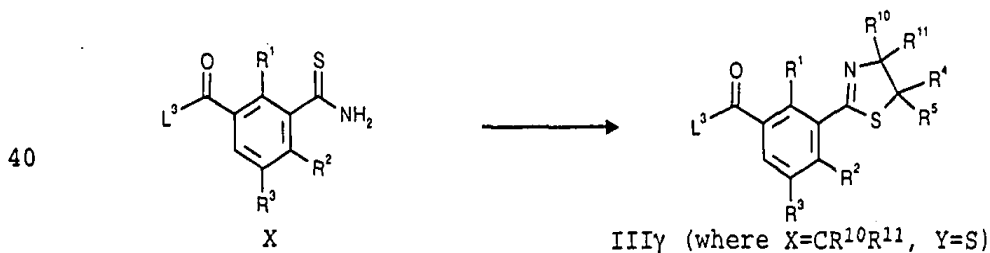
Equally, it is possible to obtain esters of the formula IIIy by synthesizing the heterocycle which is bonded in the 3-position.

- 20 For example, 1,2,4-oxadiazolin-3-yl derivatives (IIIy where $X=\text{O}$, $Y=\text{NH}$) can be prepared from amidoximes of the formula IX by condensation with aldehydes or ketones (cf., for example, Arch. Phar. 326 (1993), 383-389).



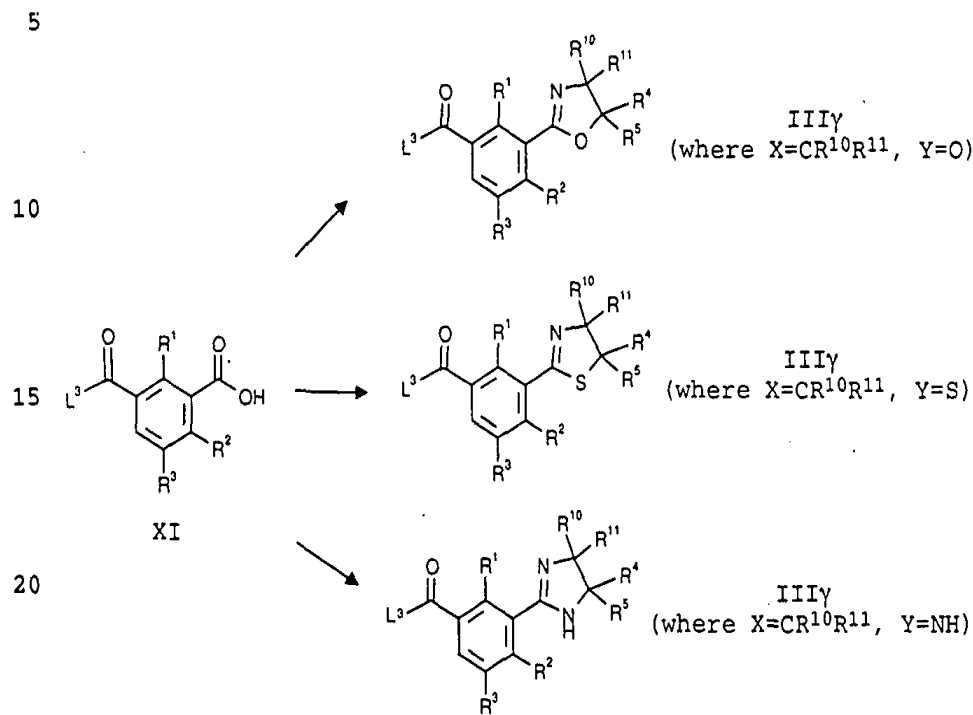
Thioamides of the formula X are suitable precursors for 2-thiazolinyl derivatives I (where $X=\text{CR}^{10}\text{R}^{11}$, $Y=\text{S}$) (cf., for example, Tetrahedron 42 (1986), 1449-1460).

35

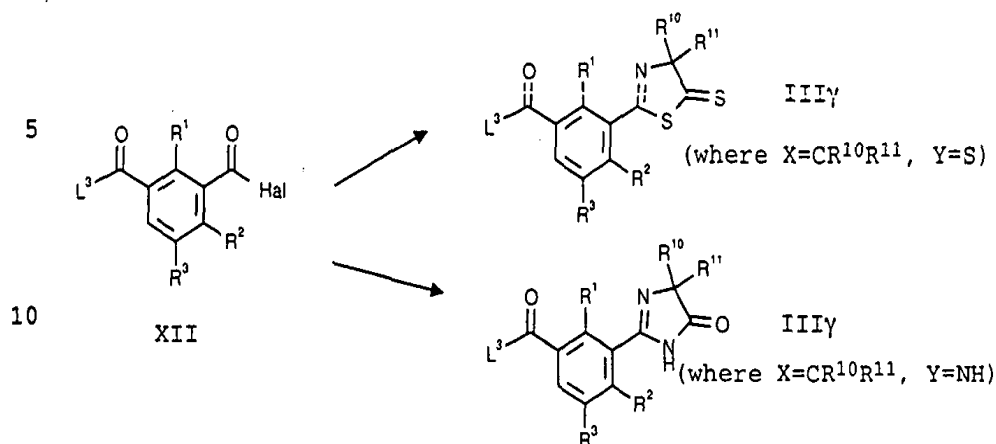


118

2-Oxazoliny1, 2-thiazoliny1 and 2-imidazoliny1 derivatives (III_y where X=CR¹⁰R¹¹, Y=O or Y=S or Y=NH) are accessible from the carboxylic acids of the formula XI (cf., for example, Tetrahedron Let. 22 (1981), 4471-4474).

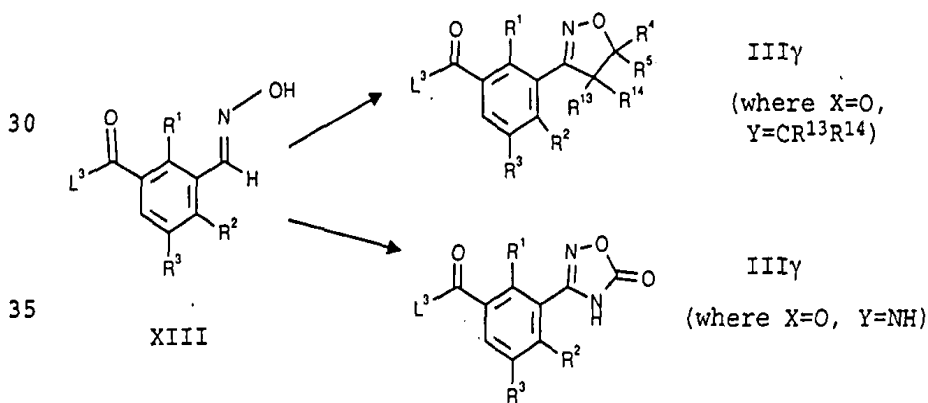


1,3-Thiazol-5(4H)-thion-2-yl (cf., for example, Helv. Chim. Acta 69 (1986), 374-388) and 5-oxo-2-imidazolin-2-yl derivatives (cf., for example, Heterocycles 29 (1989), 1185-1189) (III where X=CR¹⁰R¹¹, Y=S or Y=NH) can be prepared by processes known from the literature from carboxylic acid halides of the formula XII where Hal is halogen, in particular from carboxylic acid chlorides.



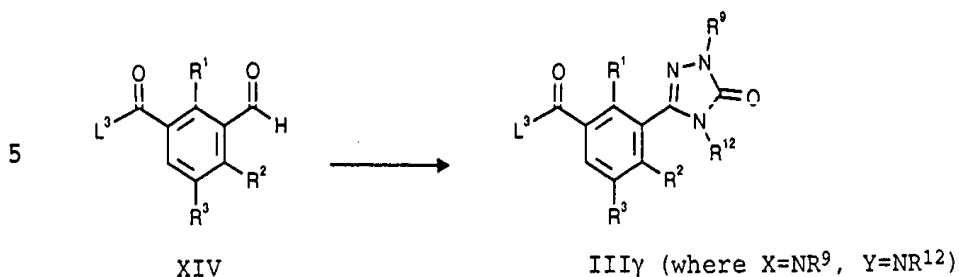
15

The oximes of the formula XIII can be converted into 4,5-dihydroisoxazol-3-yl derivatives (III_Y where X=O, Y=CR¹³R¹⁴) in a manner known per se via the hydroxamic acid chlorides XIV as intermediates. From the latter, nitrile oxides are prepared in situ, and these nitrile oxides react with alkenes to give the desired products (cf., for example, Chem. Ber. 106 (1973), 3258-3274). 1,3-Dipolar cycloaddition reactions of chlorosulfonyl isocyanate with nitrile oxides yield 1,2,4-oxadiazolin-5-on-3-yl derivatives (III_Y where X=O, Y=NH) (cf., for example, Heterocycles 27 (1988), 683-685).

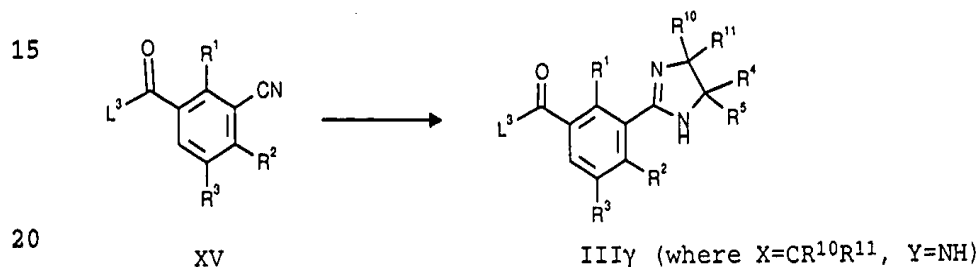


40 The aldehydes of the formula XIV can be converted into 2,4-dihydro-1,2,4-triazol-3-on-5-yl derivatives (III_Y where X=NR⁹, X=NR¹²) via the semicarbazones as intermediates (cf., for example, J. Heterocyclic Chem. 23 (1986), 881-883).

45

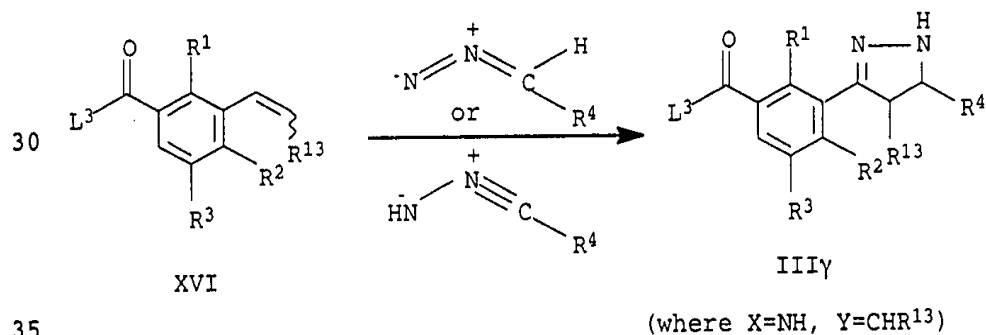


10 2-Imidazolyl derivatives (IIIy where X=CR¹⁰R¹¹, Y=NH) can also be prepared from benzonitriles of the formula XV using known methods (cf., for example, J. Org. Chem. 52 (1987), 1017-1021).



1,3-Dipolar cycloaddition reactions of diazoalkanes or nitriloimines with arylalkenes of the formula XVI can be used for synthesizing 3-pyrazolyl derivatives (IIIy where X=NH, Y=CHR¹³).

25



The bromine- or iodine-substituted compounds of the formula V which are used as starting compounds can be obtained from corresponding anilines by methods similar to those known from the literature, for example by Sandmeyer reaction, and the anilines, in turn, are synthesized by reducing suitable nitro compounds. The bromine-substituted compounds of the formula V can additionally be obtained by direct bromination of suitable starting materials (cf. Monatsh. Chem. 99 (1968), 815-822).

40

45

The nitriles of the formula VI can be obtained as described above. Equally, it is possible to synthesize them from corresponding anilines by means of a Sandmeyer reaction.

- 5 The starting compounds of the formula VII are known (cf., for example, Coll. Czech. Chem. Commun. 40 (1975), 3009-3019) or can be prepared readily by a suitable combination of known syntheses.
- 10 For example, the sulfonates VII ($L^4 = \text{OSO}_2\text{CF}_3, \text{OSO}_2\text{F}$) can be obtained from the corresponding phenols, which, in turn, are known (cf., for example, EP-A 195 247) or can be prepared by known methods (cf., for example, Synthesis 1993, 735-762).
- 15 The halogen compounds VII ($L^4 = \text{Cl}, \text{Br}$ or I) can be obtained, for example, from the corresponding anilines of the formula XIX by a Sandmeyer reaction.

- 20 The amidoximes of the formula IX, the thioamides of the formula X and the carboxylic acids of the formula XI can be synthesized from the nitriles of the formula XV in a manner known per se.

- 25 Furthermore, it is possible to prepare the carboxylic acids of the formula XI from the aldehydes of the formula XIV by known processes (cf., for example, J. March, Advanced Organic Chemistry, 3rd edition (1985), p. 629 et seq., Wiley-Interscience Publication).

- 30 The carboxylic acid halides of the formula XII can be obtained from the corresponding carboxylic acids of the formula XI by methods similar to standard processes.

- 35 The oximes of the formula XIII are advantageously obtained by reacting aldehydes of the formula XIV with hydroxylamine in a manner known per se (cf., for example, J. March, Advanced Organic Chemistry, 3rd ed. (1985), pp. 805-806, Wiley-Interscience Publication).

- 40 Those aldehydes of the formula XIV which are not already known can be prepared by methods similar to known processes. Thus, they can be synthesized from methyl compounds of the formula XVII by means of bromination, for example with N-bromosuccinimide or 1,3-dibromo-5,5-dimethylhydantoin, followed by oxidation (cf. 45 Synth. Commun. 22 (1992), 1967 - 1971).

0050/47679-121

122

The oximes of the formula XIII can also be converted into nitriles of the formula XV by processes which are known per se (cf., for example, J. March, Advanced Organic Chemistry, 3rd ed. (1985), pp. 931-932, Wiley-Interscience Publication).

5

Arylalkenes of the formula XVI can be synthesized starting from the halogen compounds or sulfonates of the formula VII ($L^4 = \text{Br}, \text{Cl}, \text{OSO}_2\text{CF}_3, \text{OSO}_2\text{F}$) by, inter alia, Heck reaction with olefins in the presence of a palladium catalyst (cf., for example, Heck,

10 Palladium Reagents in Organic Synthesis, Academic Press, London 1985; Synthesis 1993, 735 - 762).

15

20

25

30

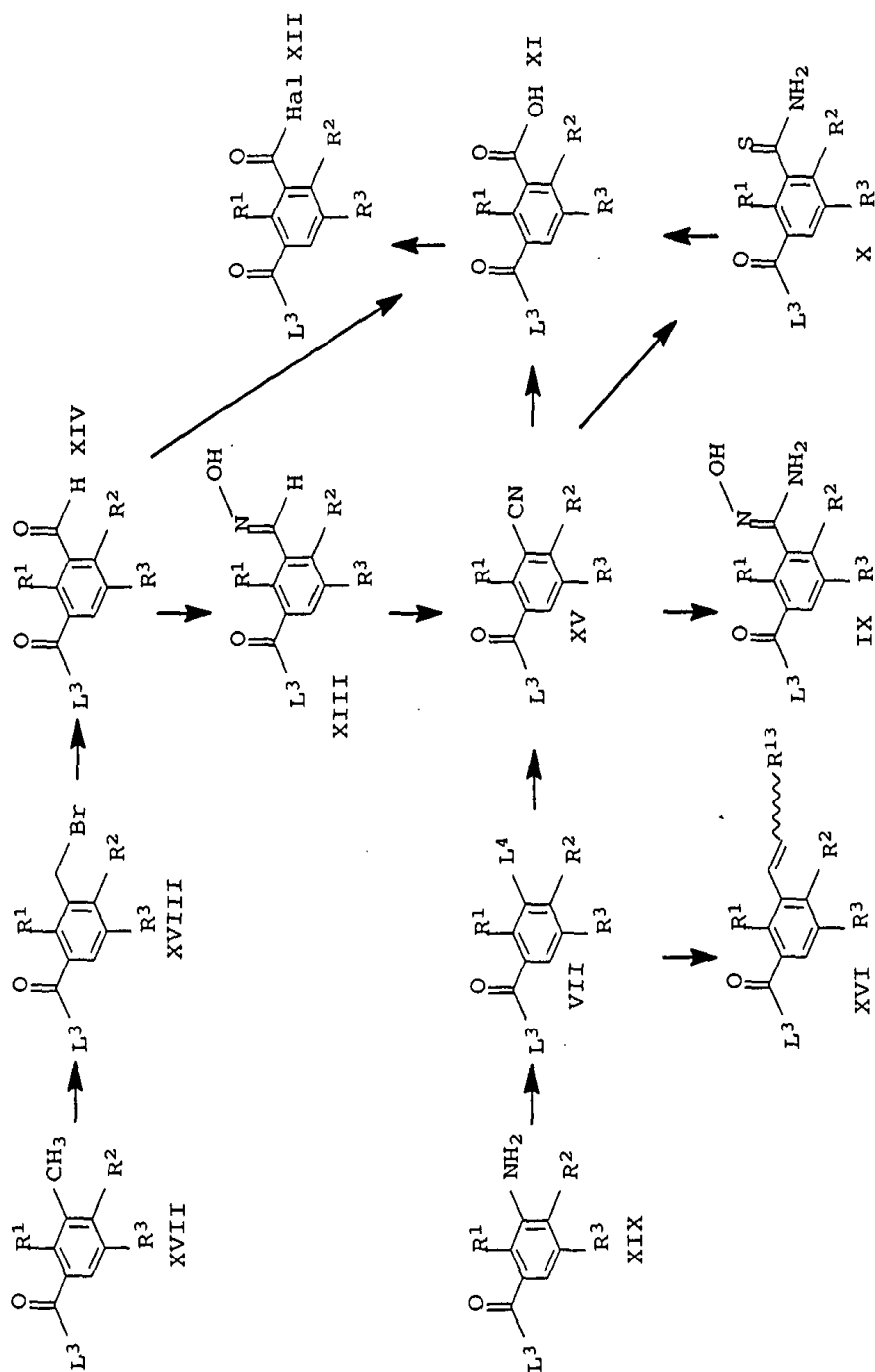
35

40

45

0048006-42200

| Year | 1950 | 1951 | 1952 | 1953 | 1954 | 1955 | 1956 | 1957 | 1958 | 1959 | 1960 | 1961 | 1962 | 1963 | 1964 | 1965 | 1966 | 1967 | 1968 | 1969 | 1970 | 1971 | 1972 | 1973 | 1974 | 1975 | 1976 | 1977 | 1978 | 1979 | 1980 | 1981 | 1982 | 1983 | 1984 | 1985 | 1986 | 1987 | 1988 | 1989 | 1990 | 1991 | 1992 | 1993 | 1994 | 1995 | 1996 | 1997 | 1998 | 1999 | 2000 | 2001 | 2002 | 2003 | 2004 | 2005 | 2006 | 2007 | 2008 | 2009 | 2010 | 2011 | 2012 | 2013 | 2014 | 2015 | 2016 | 2017 | 2018 | 2019 | 2020 | 2021 | 2022 | 2023 | 2024 | 2025 | 2026 | 2027 | 2028 | 2029 | 2030 | 2031 | 2032 | 2033 | 2034 | 2035 | 2036 | 2037 | 2038 | 2039 | 2040 | 2041 | 2042 | 2043 | 2044 | 2045 | 2046 | 2047 | 2048 | 2049 | 2050 | 2051 | 2052 | 2053 | 2054 | 2055 | 2056 | 2057 | 2058 | 2059 | 2060 | 2061 | 2062 | 2063 | 2064 | 2065 | 2066 | 2067 | 2068 | 2069 | 2070 | 2071 | 2072 | 2073 | 2074 | 2075 | 2076 | 2077 | 2078 | 2079 | 2080 | 2081 | 2082 | 2083 | 2084 | 2085 | 2086 | 2087 | 2088 | 2089 | 2090 | 2091 | 2092 | 2093 | 2094 | 2095 | 2096 | 2097 | 2098 | 2099 | 2100 | | | | | | | | | | | | | | | | | | | |
|------------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|-----------|
| Population | 1,000,000 | 1,050,000 | 1,100,000 | 1,150,000 | 1,200,000 | 1,250,000 | 1,300,000 | 1,350,000 | 1,400,000 | 1,450,000 | 1,500,000 | 1,550,000 | 1,600,000 | 1,650,000 | 1,700,000 | 1,750,000 | 1,800,000 | 1,850,000 | 1,900,000 | 1,950,000 | 2,000,000 | 2,050,000 | 2,100,000 | 2,150,000 | 2,200,000 | 2,250,000 | 2,300,000 | 2,350,000 | 2,400,000 | 2,450,000 | 2,500,000 | 2,550,000 | 2,600,000 | 2,650,000 | 2,700,000 | 2,750,000 | 2,800,000 | 2,850,000 | 2,900,000 | 2,950,000 | 3,000,000 | 3,050,000 | 3,100,000 | 3,150,000 | 3,200,000 | 3,250,000 | 3,300,000 | 3,350,000 | 3,400,000 | 3,450,000 | 3,500,000 | 3,550,000 | 3,600,000 | 3,650,000 | 3,700,000 | 3,750,000 | 3,800,000 | 3,850,000 | 3,900,000 | 3,950,000 | 4,000,000 | 4,050,000 | 4,100,000 | 4,150,000 | 4,200,000 | 4,250,000 | 4,300,000 | 4,350,000 | 4,400,000 | 4,450,000 | 4,500,000 | 4,550,000 | 4,600,000 | 4,650,000 | 4,700,000 | 4,750,000 | 4,800,000 | 4,850,000 | 4,900,000 | 4,950,000 | 5,000,000 | 5,050,000 | 5,100,000 | 5,150,000 | 5,200,000 | 5,250,000 | 5,300,000 | 5,350,000 | 5,400,000 | 5,450,000 | 5,500,000 | 5,550,000 | 5,600,000 | 5,650,000 | 5,700,000 | 5,750,000 | 5,800,000 | 5,850,000 | 5,900,000 | 5,950,000 | 6,000,000 | 6,050,000 | 6,100,000 | 6,150,000 | 6,200,000 | 6,250,000 | 6,300,000 | 6,350,000 | 6,400,000 | 6,450,000 | 6,500,000 | 6,550,000 | 6,600,000 | 6,650,000 | 6,700,000 | 6,750,000 | 6,800,000 | 6,850,000 | 6,900,000 | 6,950,000 | 7,000,000 | 7,050,000 | 7,100,000 | 7,150,000 | 7,200,000 | 7,250,000 | 7,300,000 | 7,350,000 | 7,400,000 | 7,450,000 | 7,500,000 | 7,550,000 | 7,600,000 | 7,650,000 | 7,700,000 | 7,750,000 | 7,800,000 | 7,850,000 | 7,900,000 | 7,950,000 | 8,000,000 | 8,050,000 | 8,100,000 | 8,150,000 | 8,200,000 | 8,250,000 | 8,300,000 | 8,350,000 | 8,400,000 | 8,450,000 | 8,500,000 | 8,550,000 | 8,600,000 | 8,650,000 | 8,700,000 | 8,750,000 | 8,800,000 | 8,850,000 | 8,900,000 | 8,950,000 | 9,000,000 | 9,050,000 | 9,100,000 | 9,150,000 | 9,200,000 | 9,250,000 | 9,300,000 | 9,350,000 | 9,400,000 | 9,450,000 |



Preparation examples:

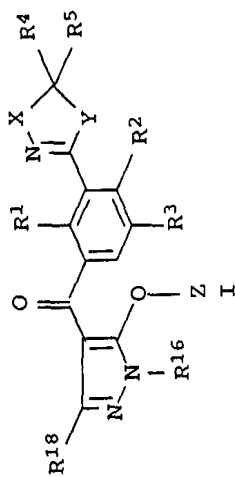
5 4-[2-Chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonyl-benzoyl]-5-hydroxy-1-methyl-1H-pyrazole (compound 3.35)

43.60 g (0.13 mol) of 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl chloride in 375 ml of anhydrous
10 dioxane and 13.56 g (0.134 mol) of triethylamine in 375 ml of anhydrous dioxane are simultaneously added dropwise at room temperature under a protective gas atmosphere to a solution
12.74 g (0.13 mol) of 5-hydroxy-1-methylpyrazole and 300 ml of anhydrous dioxane. After the reaction mixture had been stirred
15 for 2 hours at room temperature, it was filtered through silica gel and the residue was washed with dioxane. The eluate was concentrated in vacuo to approximately 500 ml, and 17.94 g (0.13 mol) of dried, finely powdered potassium carbonate were added. After the mixture had been refluxed for 6 hours, the
20 solvent was distilled off in vacuo and the residue was taken up in approximately 700 ml of water. Insoluble constituents were filtered off, and the pH of the filtrate was brought to 2 - 3 by slow addition of 10% strength hydrochloric acid. The precipitate which formed was filtered off with suction. This gave 46.16 g
25 (92% of theory) of 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-5-hydroxy-1-methyl-1H-pyrazole.
(m.p. > 250°C)

Table 3 shows the above compound and, in addition, other
30 3-heterocyclyl-substituted benzoyl derivatives of the formula I which were prepared, or can be prepared, in a similar manner (if the end products had not precipitated upon acidification with 10% strength hydrochloric acid, they were extracted with ethyl acetate or dichloromethane; the organic phase was subsequently
35 dried and concentrated in vacuo):

40

45



| No. | R ¹ | R ² | R ³ | X | R ⁴ | R ⁵ | Y | R ¹⁶ | Z | R ¹⁸ | Physical data m.p. [°C]; ¹ H NMR [δ in ppm] |
|-----|----------------|----------------|----------------|---|----------------|----------------|-----------------|---------------------------------|---|-----------------|---|
| 3.1 | Cl | Cl | H | O | H | H | CH ₂ | n-C ₄ H ₉ | H | H | 116 - 117 |
| 3.2 | Cl | Cl | H | O | H | H | CH ₂ | i-C ₄ H ₉ | H | H | 148 - 151 |
| 3.3 | Cl | Cl | H | O | H | H | CH ₂ | n-C ₄ H ₉ | C ₂ H ₅ SO ₂ | H | 0.95 (t); 1.32 (m); 1.62 (t); 1.92 (quin); 3.30 (t); 3.78 (quar); 4.17 (t); 4.61 (t); 7.42 (d); 7.48 (m). |

00000000000000000000

| No. | R ¹ | R ² | R ³ | X | R ⁴ | R ⁵ | Y | R ¹⁶ | Z | R ¹⁸ | Physical data m.p. [°C]; ¹ H NMR [δ in ppm] |
|------|----------------|---------------------------------|----------------|---|----------------------------------|----------------|-----------------|---------------------------------|---|-----------------|---|
| 3.4 | Cl | Cl | H | O | H | H | CH ₂ | i-C ₄ H ₉ | i-C ₄ H ₉ SO ₂ | H | 0.96(d); 1.21(d); 2.33(m); 2.48(m); 3.30(t); 3.67(d); 3.97(d); 4.58(t); 7.42(d); 7.50(m). |
| 3.5 | Cl | Cl | H | O | H | H | CH ₂ | n-C ₃ H ₇ | i-C ₄ H ₉ SO ₂ | H | 0.97(t); 1.20(d); 1.96(m); 2.49(m); 3.30(t); 3.68(d); 4.12(t); 4.59(t); 7.42(d); 7.49(d); 7.52(s). |
| 3.6 | Cl | Cl | H | O | H | H | CH ₂ | n-C ₃ H ₇ | C ₂ H ₅ SO ₂ | H | 0.97(t), 1.12(d); 1.63(t); 1.94(m); 3.29(t); 3.76(q); 4.14(t); 4.50(t); 7.42(d), 7.48(d); 7.51(s). |
| 3.7 | Cl | SO ₂ CH ₃ | H | O | COOC ₂ H ₅ | H | CH ₂ | CH ₃ | H | H | 70 - 75 |
| 3.8 | Cl | SO ₂ CH ₃ | H | O | COOC ₂ H ₅ | H | CH ₂ | C ₂ H ₅ | H | H | 65 - 70 |
| 3.9 | Cl | SO ₂ CH ₃ | H | O | CH ₃ | H | CH ₂ | CH ₃ | H | H | 230 - 235 |
| 3.10 | Cl | SO ₂ CH ₃ | H | O | CH ₃ | H | CH ₂ | C ₂ H ₅ | H | H | 210 - 215 |
| 3.11 | Cl | SO ₂ CH ₃ | H | O | CH ₃ | H | CH ₂ | n-C ₃ H ₇ | H | H | 95 - 100 |
| 3.12 | Cl | SO ₂ CH ₃ | H | O | CH ₃ | H | CH ₂ | CH ₃ | C ₂ H ₅ SO ₂ | H | 70 - 75 |

OCCUPATIONAL

| No. | R ¹ | R ² | R ³ | X | R ⁴ | R ⁵ | Y | R ¹⁶ | Z | R ¹⁸ | Physical data: m.p. [°C]; ¹ H NMR [δ in ppm] |
|------|----------------|---------------------------------|----------------|---|-------------------------------|-----------------|-----------------|---------------------------------|---|-----------------|--|
| 3.13 | Cl | SO ₂ CH ₃ | H | O | CH ₃ | H | CH ₂ | C ₂ H ₅ | C ₂ H ₅ SO ₂ | H | 78 - 83 |
| 3.14 | Cl | SO ₂ CH ₃ | H | O | CH ₃ | H | CH ₂ | C ₂ H ₅ | i-C ₄ H ₉ SO ₂ | H | 1.24 (2d); 1.53 (t); 2.52 (m); 3.05 (dd); 3.29 (s); 3.52 (dd); 3.73 (d); 4.24 (q), 5.05 (m); 7.49 (s); 7.66 (d); 8.18 (d). |
| 3.15 | Cl | SO ₂ CH ₃ | H | O | CH ₃ | H | CH ₂ | n-C ₃ H ₇ | C ₂ H ₅ SO ₂ | H | 0.96 (t); 1.53 (d); 1.68 (t); 1.95 (sext); 3.07 (dd); 3.32 (s); 3.58 (dd); 3.86 (quart); 4.15 (t); 5.03 (m); 7.46 (d); 7.64 (d); 8.18 (d). |
| 3.16 | Cl | SO ₂ CH ₃ | H | O | CH ₃ | CH ₃ | CH ₂ | CH ₃ | H | H | 220 - 225 |
| 3.17 | Cl | SO ₂ CH ₃ | H | O | CH ₃ | CH ₃ | CH ₂ | C ₂ H ₅ | H | H | 82 - 86 |
| 3.18 | Cl | SO ₂ CH ₃ | H | O | CH ₃ | CH ₃ | CH ₂ | n-C ₃ H ₇ | H | H | 70 - 75 |
| 3.19 | Cl | SO ₂ CH ₃ | H | O | CH ₃ | CH ₃ | CH ₂ | n-C ₄ H ₉ | H | H | 68 - 73 |
| 3.20 | Cl | SO ₂ CH ₃ | H | O | CH ₃ | CH ₃ | CH ₂ | i-C ₄ H ₉ | H | H | 45 - 50 |
| 3.21 | Cl | SO ₂ CH ₃ | H | O | C ₂ H ₅ | H | CH ₂ | CH ₃ | H | H | 220 - 225 |
| 3.22 | Cl | SO ₂ CH ₃ | H | O | C ₂ H ₅ | H | CH ₂ | C ₂ H ₅ | H | H | 170 - 175 |

00000000000000000000

| No. | R ¹ | R ² | R ³ | X | R ⁴ | R ⁵ | Y | R ¹⁶ | Z | R ¹⁸ | Physical data m.p. [°C]; ¹ H NMR [δ in ppm] |
|------|----------------|---------------------------------|----------------|---|----------------|----------------|-----------------|---------------------------------|---|-----------------|---|
| 3.23 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | n-C ₃ H ₇ | H | H | 65 - 70 |
| 3.24 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | n-C ₄ H ₉ | H | H | 55 - 60 |
| 3.25 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | i-C ₄ H ₉ | H | H | 58 - 63 |
| 3.26 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | n-C ₄ H ₉ | C ₂ H ₅ SO ₂ | H | 78 - 83 |
| 3.27 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | n-C ₄ H ₉ | i-C ₄ H ₉ SO ₂ | H | 0.94 (t); 1.19 (d); 1.22 (t); 1.38 (m); 1.74 (br); 1.91 (m); 2.53 (m); 3.26 (s); 4.45 (t); 3.76 (d); 4.18 (t); 4.62 (t); 7.45 (s); 7.64 (d); 8.16 (d). |
| 3.28 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | i-C ₄ H ₉ | i-C ₄ H ₉ SO ₂ | H | 0.96 (d); 1.21 (d); 2.33 (m); 2.51 (m); 3.28 (s); 3.44 (t); 3.75 (d); 3.99 (d); 4.61 (t); 7.45 (s); 7.66 (d); 8.17 (d). |
| 3.29 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | i-C ₄ H ₉ | C ₂ H ₅ SO ₂ | H | 0.97 (d); 1.66 (t); 2.36 (m); 3.29 (s); 3.43 (t); 3.82 (q); 3.99 (d); 4.60 (t); 7.47 (s); 7.68 (d); 8.18 (d). |

002227: 900814260

| No. | R ¹ | R ² | R ³ | X | R ⁴ | R ⁵ | Y | R ¹⁶ | Z | R ¹⁸ | Physical data m.p. [°C]; ¹ H NMR [δ in ppm] |
|------|----------------|---|----------------|---|-----------------|-----------------|-----------------|---------------------------------|---|-----------------|---|
| 3.30 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | CH ₃ | C ₂ H ₅ SO ₂ | H | 1.68(t); 3.29(s); 3.43(t); 3.78(q); 3.92(s); 3.63(t); 7.46(s); 7.62(d); 8.17(d). |
| 3.31 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | CH ₃ | i-C ₄ H ₉ SO ₂ | H | 1.23(d); 2.53(m); 3.28(s); 3.43(t); 3.70(d); 3.91(s); 4.61(t); 7.48(s); 7.66(d); 8.18(d). |
| 3.32 | Cl | Cl | H | O | H | H | CH ₂ | n-C ₃ H ₇ | H | H | 119 - 121 |
| 3.33 | Cl | Cl | H | O | H | H | CH ₂ | CH ₃ | H | CH ₃ | 115 - 117 |
| 3.34 | Cl | NO ₂ | H | O | H | H | CH ₂ | C ₂ H ₅ | H | H | 217 - 218 |
| 3.35 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | CH ₃ | H | H | > 250 |
| 3.36 | Cl | Cl | H | O | H | H | CH ₂ | C ₂ H ₅ | H | H | 125 - 128 |
| 3.37 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | C ₂ H ₅ | n-C ₃ H ₇ SO ₂ | H | 78 - 83 |
| 3.38 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | C ₂ H ₅ | C ₂ H ₅ SO ₂ | H | 1.52(t); 1.68(t); 3.29(s); 3.43(t); 3.82(q); 4.24(q); 4.63(t); 7.48(s); 7.65(d); 8.07(d). |
| 3.39 | Cl | SO ₂ C ₂ H ₅ | H | O | CH ₃ | CH ₃ | CH ₂ | CH ₃ | H | H | > 200 |
| 3.40 | Cl | SO ₂ C ₂ H ₅ | H | O | CH ₃ | H | CH ₂ | CH ₃ | H | H | 220 - 223 |

OCCET-30341260

| No. | R ¹ | R ² | R ³ | X | R ⁴ | R ⁵ | Y | R ¹⁶ | Z | R ¹⁸ | Physical data m.p. [°C]; ¹ H NMR [δ in ppm] |
|------------|----------------|--|----------------|----------------------------------|-----------------|----------------|-----------------|-------------------------------|------------------------------|-----------------|---|
| 3.41 | Cl | SO ₂ C ₂ H ₅ | H | O | CH ₃ | H | CH ₂ | C ₂ H ₅ | H | H | > 230 |
| 3.42 | Cl | SO ₂ -n-C ₃ H ₇ | H | O | CH ₃ | H | CH ₂ | CH ₃ | H | H | 1.12(t); 1.53(d); 1.76(quin); 3.18(dd); 3.38(t); 3.55(dd); 3.73(s); 5.04(m); 5.55(s,br.); 7.37(s); 7.68(d); 8.13(d). |
| 3.43 | Cl | SO ₂ -n-C ₃ H ₇ | H | O | CH ₃ | H | CH ₂ | C ₂ H ₅ | H | H | 1.07(t); 1.50(m); 1.78(quin); 3.07(dd); 3.39(t); 3.55(dd); 4.12(t); 5.08(m); 7.38(s); 7.69(d); 8.11(d). |
| 3.44 | Cl | SO ₂ CH ₃ | H | CH ₂ | H | H | O | CH ₃ | H | H | |
| 3.45 a) | Cl | SO ₂ CH ₃ | H | C(CH ₃) ₂ | H | H | O | CH ₃ | H | H | 1.33(s); 3.40(s); 4.17(s); 7.43(s); 7.79(d); 8.04(d). |
| 3.46 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | C ₂ H ₅ | Na ⁺ | H | 218 - 220 |
| 3.47 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | C ₂ H ₅ | K ⁺ | H | 193 |
| 3.48 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | C ₂ H ₅ | Li ⁺ | H | > 230 |
| 3.49 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | C ₂ H ₅ | NH ₄ ⁺ | H | 170 - 175 |

OCT-90031200

| No. | R ¹ | R ² | R ³ | X | R ⁴ | R ⁵ | Y | R ¹⁶ | Z | R ¹⁸ | Physical data m.p. [°C]; ¹ H NMR [δ in ppm] |
|------------|----------------|--|----------------|----------------------------------|-----------------|---|-----------------|-------------------------------|------------------------------|-----------------|--|
| 3.50 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | CH ₃ | Na ⁺ | H | > 240 |
| 3.51 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | CH ₃ | K ⁺ | H | 206 - 214 |
| 3.52 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | CH ₃ | Li ⁺ | H | > 240 |
| 3.53 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | CH ₃ | NH ₄ ⁺ | H | |
| 3.54 a) | Cl | SO ₂ CH ₃ | H | C(CH ₃) ₂ | H | H | O | C ₂ H ₅ | H | H | 1.27(t); 1.36(s); 3.41(q); 4.01(q); 4.18(s); 7.47(s); 7.83(d); 8.07(d). |
| 3.55 | Cl | SO ₂ CH ₃ | H | O | H | -(CH ₂) ₃ CH- | | C ₂ H ₅ | H | H | 99-104 |
| 3.56 | Cl | SO ₂ CH ₃ | H | O | H | -(CH ₂) ₃ CH- | | CH ₃ | H | H | 95-100 |
| 3.57 | Cl | SO ₂ CH ₃ | H | O | | -(CH ₂) ₄ - | CH ₂ | CH ₃ | H | H | 230-235 |
| 3.58 | Cl | SO ₂ CH ₃ | H | O | | -(CH ₂) ₄ - | CH ₂ | C ₂ H ₅ | H | H | 190-195 |
| 3.59 | Cl | SO ₂ CH ₃ | H | O | | -(CH ₂) ₂ O(CH ₂) ₂ | CH ₂ | C ₂ H ₅ | H | H | 95-100 |
| 3.60 | Cl | SO ₂ C ₂ H ₅ | H | O | CH ₃ | CH ₃ | CH ₂ | CH ₃ | H | H | < 230 |
| 3.61 | Cl | SO ₂ C ₂ H ₅ | H | O | CH ₃ | CH ₃ | CH ₂ | C ₂ H ₅ | H | H | 198-200 |
| 3.62 | Cl | SO ₂ C ₂ H ₅ | H | O | H | H | CH ₂ | CH ₃ | H | H | 215-218 |
| 3.63 | Cl | SO ₂ C ₂ H ₅ | H | O | H | H | CH ₂ | C ₂ H ₅ | H | H | 213-215 |
| 3.64 | Cl | SO ₂ -n-C ₃ H ₇ | H | O | H | H | CH ₂ | CH ₃ | H | H | 186-190 |

00000000000000000000

| No. | R ¹ | R ² | R ³ | X | R ⁴ | R ⁵ | Y | R ¹⁶ | Z | R ¹⁸ | Physical data m.p. [°C]; 1H-NMR [δ in ppm] |
|------|----------------|--|----------------|----------------------------------|---|-------------------------------|----------------------|-------------------------------|----------------|-----------------|--|
| 3.65 | Cl | SO ₂ -n-C ₃ H ₇ | H | O | H | H | CH ₂ | C ₂ H ₅ | H | H | 84-86 |
| 3.66 | Cl | SO ₂ CH ₃ | H | O | -(CH ₂) ₂ O(CH ₂) ₂ - | | CH ₂ | CH ₃ | H | H | 90-95 |
| 3.67 | Cl | SO ₂ CH ₃ | H | O | C ₂ H ₅ | C ₂ H ₅ | CH ₂ | CH ₃ | H | H | 70-75 |
| 3.68 | Cl | SO ₂ CH ₃ | H | O | C ₂ H ₅ | C ₂ H ₅ | CH ₂ | C ₂ H ₅ | H | H | 50-55 |
| 3.69 | Cl | SO ₂ CH ₃ | H | O | OCH ₃ | H | CH ₂ | CH ₃ | H | H | 3.18-3.99 (1H); 5.78 (1H); 7.50 (1H); 7.81 (1H); 8.09 (1H). |
| 3.70 | Cl | SO ₂ CH ₃ | H | O | CH ₃ | H | CHCH ₂ Cl | CH ₃ | H | H | 1.52 (3H); 3.30-4.12 (8H); 4.36 (1H); 4.93 (1H); 7.49 (1H); 7.81 (1H); 8.09 (1H). |
| 3.71 | Cl | SO ₂ CH ₃ | H | O | CH ₃ | H | CHCH ₂ Cl | C ₂ H ₅ | H | H | 1.27 (3H); 1.55 (3H); 3.28-4.02 (7H); 4.37 (1H); 4.92 (1H); 7.48 (1H); 7.80 (1H); 8.07 (1H). |
| 3.72 | Cl | SO ₂ CH ₃ | H | C(CH ₃) ₂ | H | H | O | CH ₃ | H | H | 132-135 |
| 3.73 | Cl | SO ₂ CH ₃ | H | O | OC ₂ H ₅ | H | CH ₂ | CH ₃ | H | H | 95-100 |
| 3.74 | Cl | SO ₂ CH ₃ | H | O | OC ₂ H ₅ | H | CH ₂ | C ₂ H ₅ | H | H | 1.16 (3H); 1.27 (3H); 3.20-4.00 (9H); 5.89 (1H); 7.50 (1H); 7.82 (1H); 8.07 (1H). |
| 3.75 | Cl | SO ₂ CH ₃ | H | O | C ₂ H ₅ | C ₂ H ₅ | CH ₂ | C ₂ H ₅ | K ⁺ | H | 200-205 |

00000000000000000000

| No. | R ¹ | R ² | R ³ | X | R ⁴ | R ⁵ | Y | R ¹⁶ | Z | R ¹⁸ | Physical data m.p. [°C]; ¹ H-NMR [δ in ppm] |
|------|-----------------|--|----------------|----------------------------------|--------------------|-----------------|-----------------|-------------------------------|---|-----------------|--|
| 3.76 | Cl | SO ₂ C ₂ H ₅ | H | C(CH ₃) ₂ | H | H | O | CH ₃ | H | H | 120-123 |
| 3.77 | Cl | SO ₂ -n-C ₃ H ₇ | H | O | CH ₃ | CH ₃ | CH ₂ | C ₂ H ₅ | H | H | 152-158 |
| 3.78 | Cl | SO ₂ -n-C ₃ H ₇ | H | O | CH ₃ | CH ₃ | CH ₂ | CH ₃ | H | H | 172-176 |
| 3.79 | Cl | SO ₂ -n-C ₃ H ₇ | H | O | CH ₃ | H | CH ₂ | CH ₃ | H | H | 188-205 |
| 3.80 | Cl | SCH ₃ | H | O | H | H | CH ₂ | C ₂ H ₅ | H | H | 1.29 (t); 2.56 (s); 3.28 (t); 3.93 (q); 4.49 (t); 7.40 (s); 7.43 (d); 7.55 (d). |
| 3.81 | Cl | SO ₂ CH ₃ | H | O | CH ₂ Cl | H | CH ₂ | C ₂ H ₅ | H | H | 78-82 |
| 3.82 | CH ₃ | H | H | CH ₂ | H | H | S | C ₂ H ₅ | H | H | 1.44 (t); 2.50 (s); 3.49 (t); 4.09 (q); 4.53 (t); 7.35 (m); 7.48 (d); 7.62 (d). |
| 3.83 | Cl | SO ₂ CH ₃ | H | O | CH ₂ Cl | H | CH ₂ | CH ₃ | H | H | 81-85 |
| 3.84 | Cl | SCH ₃ | H | O | H | H | CH ₂ | CH ₃ | H | H | 151-153 |
| 3.85 | Cl | SOCH ₃ | H | O | H | H | CH ₂ | C ₂ H ₅ | H | H | 1.28 (t); 2.82 (s); 3.40 (m); 3.52 (m); 4.52 (t); 7.45 (s); 7.82 (d); 8.10 (d). |
| 3.86 | CH ₃ | SO ₂ CH ₃ | H | O | H | H | CH ₂ | CH ₃ | H | H | 205-210 |
| 3.87 | Cl | Cl | H | CH ₂ | H | H | S | C ₂ H ₅ | H | H | 173-179 |
| 3.88 | Cl | SCH ₃ | H | CH ₂ | H | H | S | C ₂ H ₅ | H | H | 1.43 (t); 2.51 (s); 3.59 (t); 4.08 (q); 4.51 (t); 7.22 (d); 7.41 (s); 7.50 (d). |

OCCUPATIONAL

| No. | R ¹ | R ² | R ³ | X | R ⁴ | R ⁵ | Y | R ¹⁶ | Z | R ¹⁸ | Physical data m.p. [°C]; 1H-NMR [δ in ppm] |
|-------|---------------------------------|---------------------------------|----------------|---|----------------|----------------|-----------------|-------------------------------|---|-----------------|---|
| 3.107 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | CH ₃ | ⁺ NH ₂ (CH ₂ CH ₂ OH) | H | 187 |
| 3.108 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | CH ₃ | ⁺ NH ₃ (CH ₂ CH ₂ OH) OCH ₂ CH ₂ OH) | H | 180 |
| 3.109 | SCH ₃ | SCH ₃ | H | O | H | H | CH ₂ | CH ₃ | H | H | 2.33(s); 2.51(s); 3.40(t); 3.70(s); 4.58(t); 5.15(brs); 7.21(s); 7.31(d); 7.42(d). |
| 3.110 | SCH ₃ | SCH ₃ | H | O | H | H | CH ₂ | C ₂ H ₅ | H | H | 1.38(t); 2.33(s); 2.49(s); 3.41(t); 4.10(q); 4.58(t); 7.25(s); 7.32(d); 7.41(d); 7.82(brs). |
| 3.111 | SO ₂ CH ₃ | SO ₂ CH ₃ | H | O | H | H | CH ₂ | CH ₃ | H | H | oil |
| 3.112 | SO ₂ CH ₃ | SO ₂ CH ₃ | H | O | H | H | CH ₂ | C ₂ H ₅ | H | H | oil |

a) Prepared from 2-chloro-3-(1'-chloro-2',2'-dimethylethylaminocarbonyl)-4-methylsulfonylbenzoyl chloride with two equivalents of potassium carbonate.

The syntheses of some starting materials are given below:

- 5 2-Chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl
chloride (compound 4.5)

Step a) 2-Chloro-3-methyl-4-methylthioacetophenone

- 10 A solution of 157 g (2 mol) of acetyl chloride in 420 ml
of 1,2-dichloroethane was added dropwise to a suspension
of 286 g (2.14 mol) of aluminum trichloride in 420 ml of
1,2-dichloroethane at 15-20°C. A solution of 346 g
(2 mol) of 2-chloro-6-methylthiotoluene in 1 l of
15 1,2-dichloroethane was subsequently added dropwise. After
the reaction mixture had been stirred for 12 hours, it
was poured into a mixture of 3 l of ice and 1 l of
concentrated HCl. The mixture was extracted with
methylene chloride, and the organic phase was washed with
20 water, dried with sodium sulfate and concentrated. The
residue was distilled in vacuo. This gave 256 g (60% of
theory) of 2-chloro-3-methyl-4-methylthioacetophenone.
(m.p.: 46°C)

25 Step b) 2-Chloro-3-methyl-4-methylsulfonylacetophenone

- 163.0 g (0.76 mol) of 2-chloro-3-methyl-4-
methylthioacetophenone were dissolved in 1.5 l of glacial
acetic acid, 18.6 g of sodium tungstate were added, and
30 173.3 g of a 30% strength hydrogen peroxide solution were
added dropwise with cooling. Stirring was continued for 2
days and the mixture was subsequently diluted with water.
The solid which had precipitated was filtered off with
suction, washed with water and dried. This gave 164.0 g
35 (88% of theory) of 2-chloro-3-methyl-4-methylsulfonyl-
acetophenone.
(m.p.: 110-111°C)

40 Step c) 2-Chloro-3-methyl-4-methylsulfonylbenzoic acid

- 82 g (0.33 mol) of 2-chloro-3-methyl-4-methyl-
sulfonylacetophenone were dissolved in 700 ml of dioxane,
and 1 l of a 12.5% strength sodium hypochlorite solution
45 was added at room temperature. Stirring was continued for
1 hour at 80°C. After cooling, two phases formed, of
which the bottom phase was diluted with water and

137

acidified weakly. The solid which had precipitated was filtered off with suction, washed with water and dried. This gave 60 g (73% of theory) of 2-chloro-3-methyl-4-methylsulfonylbenzoic acid.
(m.p.: 230-231°C)

Step d) Methyl 2-chloro-3-methyl-4-methylsulfonylbenzoate

100 g (0.4 mol) of 2-chloro-3-methyl-4-methylsulfonylbenzoic acid were dissolved in 1 l of methanol and hydrogen chloride gas was passed in for 5 hours at reflux temperature. The mixture was subsequently concentrated. This gave 88.5 g (84% of theory) of methyl 2-chloro-3-methyl-4-methylsulfonylbenzoate.
(m.p.: 107-108°C)

Step e) Methyl 3-bromomethyl-2-chloro-4-methylsulfonylbenzoate

82 g (0.1 mol) of methyl 2-chloro-3-methyl-4-methylsulfonylbenzoate are dissolved in 2 l of tetrachloromethane, and 56 g (0.31 mol) of N-bromosuccinimide are added in portions with exposure to light. The reaction mixture was filtered, the filtrate was concentrated, and the residue was taken up in 200 ml of methyl tert-butyl ether. The solution was treated with petroleum ether and the solid which had precipitated was filtered off with suction and dried. This gave 74.5 g (70% of theory) of methyl 3-bromomethyl-2-chloro-4-methylsulfonylbenzoate.
(m.p.: 74-75°C)

Step f) Methyl 2-chloro-3-formyl-4-methylsulfonylbenzoate

A solution of 41.0 g (0.12 mol) of methyl 3-bromomethyl-2-chloro-4-methylsulfonylbenzoate in 250 ml of acetonitrile was treated with 42.1 g (0.36 mol) of N-methylmorpholine N-oxide. The batch was stirred for 12 hours at room temperature and subsequently concentrated, and the residue was taken up in ethyl acetate. The solution was extracted with water, dried with sodium sulfate and concentrated. This gave 31.2 g (94% of theory) of methyl 2-chloro-3-formyl-4-methylsulfonylbenzoate
(m.p.: 98-105°C)

Step g) 2-Chloro-3-hydroxyiminomethyl-4-methylsulfonylbenzoic acid

5 15.00 g (54 mmol) of methyl 2-chloro-3-formyl-4-methylsulfonylbenzoate and 4.20 g (60 mmol) of hydroxylamine hydrochloride were taken up in 300 ml of methanol, and a solution of 3.18 g (30 mmol) of sodium carbonate in 80 ml of water was added dropwise. After the mixture had been stirred for 12 hours at room temperature, the methanol was distilled off, the residue was diluted with water and the mixture was extracted with diethyl ether. After the organic phase had been dried, the solvent was removed. This gave 14.40 g (91% of theory) of methyl 2-chloro-3-hydroxyiminomethyl-4-methylsulfonylbenzoate. (m.p.: 126-128°C).

Step h) Methyl 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate (compound 4.3)

20 Ethylene was passed for 30 minutes at 15-20°C into a solution of 158.0 g (0.54 mol) of methyl 2-chloro-3-hydroxyiminomethyl-4-methylsulfonylbenzoate and 1 l of dichloromethane. After 1.6 g of sodium acetate had been added, 454 ml of sodium hypochlorite solution were added dropwise at 10°C while simultaneously passing in ethylene. Ethylene was subsequently passed in at 10°C for a further 15 minutes. After the mixture had been stirred for 12 hours, the phases were separated, and the organic phase was washed with water, dried and concentrated. This gave 156.5 g (90% of theory) of methyl 2-chloro-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate. (1H NMR (δ in ppm): 3.24 (s); 3.42 (t); 3.99 (s); 4.60 (t); 7.96 (d); 8.10 (d)).

Step i) 2-Chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoic acid (compound 4.4)

40 A solution of 32.8 g of sodium hydroxide, dissolved in 330 ml of methanol, was slowly added dropwise to a mixture of 170.0 g (0.54 mol) of methyl 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate and 1 l of methanol at 40-45°C. The suspension was stirred for 5 hours at 50°C. After the solvent had been distilled off, the residue was taken up in 1.5 l of water, and the aqueous phase was extracted three times with ethyl

139

acetate. The aqueous phase was acidified with hydrochloric acid and extracted three times with ethyl acetate. The combined organic phases were subsequently washed to neutrality with water, dried and concentrated.

5 This gave 148.8 g (91% of theory) of 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoic acid.
(¹H NMR (δ in ppm): 3.26 (s); 3.45 (t); 4.63 (t); 8.15 (s); 8.53 (s, br)).

10

Step j) 2-Chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl chloride (compound 4.5)

15

74.8 g (0.63 mol) of thionyl chloride in 50 ml of dry toluene were added dropwise at 50°C to a solution of 139.0 g of 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoic acid, 1 ml of dimethylformamide and 1 l of dry toluene. After the mixture had been heated for 6 hours at 110°C, the solvent was distilled off. This

20

gave 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl chloride in quantitative yield.
(¹H NMR (δ in ppm): 3.25 (s); 3.46 (t); 4.62 (t); 8.21 (dd)).

25

2-Chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl chloride (compound 4.39)

30

Step a) Methyl 2-chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate (compound 4.25)

35

Propene was passed for 30 minutes at room temperature into a solution of 15.0 g (52 mmol) of methyl 2-chloro-3-hydroxyiminomethyl-4-methylsulfonylbenzoate and 200 ml of dichloromethane. After 1.6 g of sodium acetate had been added, 42.8 ml of sodium hypochlorite solution were added dropwise at room temperature while simultaneously passing in propene. Propene was subsequently passed in for a further 15 minutes at room

40

temperature. After the mixture had been refluxed for 3 hours, it was stirred for 12 hours at room temperature, propene was again passed in for 5 hours under reflux, and the mixture was stirred for a further 12 hours at room

45

temperature. After the phases had been separated, the organic phase was washed with water, dried and concentrated. This gave 15.5 g (89% of theory) of methyl 2-chloro-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methyl-

0040006-432700

140

sulfonylbenzoate.
(m.p.: 130-135°C).

- 5 Step b) 2-Chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methyl-sulfonylbenzoic acid (compound 4.26)

10 A solution of 3.52 g (88 mmol) of sodium hydroxide, dissolved in 100 ml of methanol, was slowly added dropwise to a mixture of 15.00 g (45 mmol) of methyl 2-chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methyl-sulfonylbenzoate and 200 ml of methanol. The suspension was stirred for 48 hours at room temperature. After the solvent had been distilled off, the residue was taken up in water, and the aqueous phase was washed three times with ethyl acetate. The aqueous phase was acidified with hydrochloric acid and extracted three times with ethyl acetate. The combined organic phases were subsequently washed to neutrality with water, dried and concentrated. This gave 13.20 g (92% of theory) of 2-chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoic acid. (m.p.: 173-178°C).

- 25 Step c) 2-Chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methyl-sulfonylbenzoyl chloride (compound 4.39)

30 5.7 g (51 mmol) of thionyl chloride were added dropwise at room temperature to a solution of 13.0 g (41 mmol) of 2-chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methyl-sulfonylbenzoic acid, 1 ml of dimethylformamide and 250 ml of dry toluene. The mixture was subsequently refluxed until the reaction was complete. After cooling, the solvent was distilled off. This gave 14.2 g of 2-chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methyl-benzoyl chloride in quantitative yield.

40 2-Chloro-3-(1'-chloro-2',2'-dimethylethylaminocarbonyl)-4-methyl-sulfonylbenzoyl chloride

- Step a) Methyl 2-chloro-3-hydroxycarbonyl-4-methyl-sulfonylbenzoate

45 13.8 g (0.11 mol) of sodium hydrogen phosphate monohydrate in 170 ml of water, 49.3 g (0.43 mol) of 30% strength hydrogen peroxide solution and 66.2 g (0.59 mol)

00748006-133700

of 80% strength aqueous sodium chlorite solution were added in succession at 5°C to a solution of 115.3 g (0.42 mol) of methyl 2-chloro-3-formyl-4-methylsulfonylbenzoate in 2000 ml of acetonitrile. The reaction solution was subsequently stirred for 1 hour at 5°C and for 12 hours at room temperature. The pH was then brought to 1 with 10% strength hydrochloric acid, and 1500 ml of aqueous 40% strength sodium hydrogen sulfite solution were added. After the mixture had been stirred for 1 hour at room temperature, the aqueous phase was extracted three times with ethyl acetate. The combined organic phases were washed with sodium hydrogen sulfite solution and dried. After the solvent had been distilled off, 102.0 g of methyl 2-chloro-3-hydroxycarbonyl-4-methylsulfonylbenzoate were obtained.

(¹H NMR (δ in ppm): 3.34 (s); 3.93 (s); 8.08 (s); 14.50 (s, br.).)

Step b) Methyl 2-chloro-3-chlorocarbonyl-4-methylsulfonylbenzoate

2 drops of dimethylformamide and 11.9 g (0.1 mol) of thionyl chloride were added to a solution of 6.0 g (0.021 mol) of methyl 2-chloro-3-hydroxycarbonyl-4-methylsulfonylbenzoate and 50 ml of dry toluene. The solution was refluxed for 4 hours. After the solvent had been removed in vacuo, 6.2 g of methyl 2-chloro-3-chlorocarbonyl-4-methylsulfonylbenzoate were obtained.

(¹H NMR (δ in ppm): 3.21 (s); 4.02 (s); 8.02 (d); 8.07 (d).)

Step c) Methyl 2-chloro-3-(1'-hydroxy-2',2'-dimethylethylaminocarbonyl)-4-methylsulfonylbenzoate

A solution of 7.80 g (25 mmol) of methyl 2-chloro-3-chlorocarbonyl-4-methylsulfonylbenzoate was added dropwise at 0-5°C to a solution of 4.54 g (50 mmol) of 2,2-dimethylethanolamine in 40 ml of dichloromethane. After the reaction solution had been stirred for 6 hours at room temperature, it was extracted three times with water, dried and concentrated. This gave 8.20 g (80% of theory) of methyl 2-chloro-3-(1'-hydroxy-2',2'-dimethylethylaminocarbonyl)-4-methylsulfonylbenzoate. (m.p.: 70-72°C).

142

Step d) Methyl 2-chloro-3-(1'-chloro-2',2'-dimethylethylaminocarbonyl)-4-methylsulfonylbenzoate

5 A mixture of 6.9 g (20 mmol) of methyl
2-chloro-3-(1'-hydroxy-2',2'-dimethylethylamino-
carbonyl)-4-methylsulfonylbenzoate and 5 ml of thionyl
chloride was stirred for 6 hours at room temperature. The
10 solution was diluted with 50 ml of dichloromethane and
subsequently concentrated. The residue was dissolved in
20 ml of dichloromethane. The addition of cyclohexane
resulted in a crystalline precipitate which was filtered
off with suction and dried. This gave 6.4 g (88% of
theory) of methyl 2-chloro-3-(1'-chloro-2',2'-
15 dimethylethylaminocarbonyl)-4-methylsulfonylbenzoate.

Step e) 2-Chloro-3-(4',4'-dimethyl-4',5'-dihydrooxazol-2-yl)-4-
methylsulfonylbenzoic acid (compound 4.38)

20 A solution of 5.82 g (15 mmol) of methyl
2-chloro-3-(1'-chloro-2',2'-dimethylethyl-
aminocarbonyl)-4-methylsulfonylbenzoate and 0.81 g
(20 mmol) of sodium hydroxide in 80 ml of methanol was
25 stirred for 8 hours at room temperature. After the solvent
had been distilled off, the residue was taken up in water
and the mixture was washed three times with ethyl
acetate. The aqueous phase was acidified with
hydrochloric acid and extracted three times with ethyl
30 acetate. After the organic phase had been dried, the
solvent was removed in vacuo. This gave 3.10 g (56% of
theory) of 2-chloro-3-(4',4'-dimethyl-4',5'-
dihydrooxazol-2-yl)-4-methylsulfonylbenzoic acid.
(¹H NMR (δ in ppm): 1.34 (s); 3.40 (s); 4.13 (s); 8.07
(s); 13.95 (s, br)).

35 Step f) 2-Chloro-3-(1'-chloro-2',2'-dimethylethylaminocarbonyl)-
4-methylsulfonylbenzoyl chloride.

40 A solution of 3.00 g (9 mmol) of 2-chloro-3-(4',4'-
dimethyl-4',5'-dihydrooxazol-2-yl)-4-methylsulfonyl-
benzoic acid, 1.43 g of thionyl chloride and 1 drop of
dimethylformamide in 80 ml of dry toluene was refluxed
for 3 hours. After cooling, the solvent was distilled off
in vacuo. This gave 3.43 g (86% of theory) of
45 2-chloro-3-(1'-chloro-2',2'-dimethylethylaminocarbonyl)-
4-methylsulfonylbenzoyl chloride.

Methyl 2-chloro-3-(1,3,4-oxathiazolin-2-on-5-yl)-4-methylsulfonylbenzoate (compound 4.22)

5 Step a) Methyl 3-aminocarbonyl-2-chloro-4-methylsulfonylbenzoate

Ammonia was passed for 2 hours into a solution of 15.0 g (48 mmol) of methyl 2-chloro-3-chlorocarbonyl-4-methylsulfonylbenzoate and 300 ml of dry dioxane. The precipitate formed was filtered off with suction and the filtrate was concentrated. This gave 15.2 g of methyl 3-aminocarbonyl-2-chloro-4-methylsulfonylbenzoate in quantitative yield.

15 Step b) Methyl 2-chloro-3-(1,3,4-oxathiazolin-2-on-5-yl)-4-methylsulfonylbenzoate

9.80 g (75 mmol) of chlorocarbonylsulphenyl chloride were added dropwise to a solution of 4.37 g (15 mmol) of methyl 3-aminocarbonyl-2-chloro-4-methylsulfonylbenzoate in 150 ml of dry toluene. After the mixture had been stirred for 48 hours under reflux, the solvent was removed in vacuo and the residue was chromatographed on silica gel (eluent: ethyl acetate/cyclohexane = 1/1). This gave 3.70 g (70% of theory) of methyl 2-chloro-3-(1,3,4-oxathiazolin-2-on-5-yl)-4-methylsulfonylbenzoate.

Methyl 2-chloro-4-methylsulfonyl-3-(4,5-dihydrooxazol-3-yl)-benzoate (compound 4.41)

At room temperature, 41.8 g (0.41 mol) of triethylamine and then 31.1 g (0.10 mol) of methyl 2-chloro-3-chlorocarbonyl-4-methylsulfonylbenzoate in 150 ml of toluene were added dropwise to 26.6 g (0.13 mol) of 1-amino-2-bromoethane hydrobromide in 500 ml of toluene. The mixture was heated under reflux for 5 hours and then stirred at room temperature for 12 hours, another 5.0 g (0.02 mol) of 1-amino-2-bromoethane hydrobromide were added and the mixture was heated under reflux for 7.5 hours. The reaction mixture was allowed to cool, diluted with ethyl acetate, washed with water, dried and concentrated. The residue was then recrystallized from methyl tert-butyl ether/ethyl acetate. 14.5 g (46% of theory) of methyl 2-chloro-4-methylsulfonyl-3-(4,5-dihydrooxazol-2-yl)benzoate were obtained.

45 2-Chloro-3-(5-methoxy-5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoic acid (compound 4.60)

Step a) Methyl 2-chloro-3-(5-methoxy-5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate

5 7.3 g (102 mmol) of 2-methoxy-1-propene, 28 ml of sodium hypochlorite solution (12.5% strength) and a spatula-tip of sodium acetate were added successively to 10.0 g (34 mmol) of methyl 2-chloro-3-(hydroxyiminomethyl)-4-methylsulfonylbenzoate in 200 ml of methylene chloride. The mixture was stirred at room temperature for 12 hours, 10 the solvent was removed and the residue was taken up in ethyl acetate, washed with water, dried and concentrated. The residue was chromatographed over silica gel (eluent: cyclohexane:ethyl acetate = 3:2). This gave 5.8 g (47% of theory) of methyl 2-chloro-3-(5-methoxy-5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate. 15 (mp.: 100-105°C)

Step b) 2-Chloro-3-(5-methoxy-5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate

20 At reflux temperature, 5.5 g (15.0 mmol) of methyl 2-chloro-3-(5-methoxy-5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate in 100 ml of pyridine were added dropwise to 5.0 g (37.5 mmol) of lithium iodide in 200 ml 25 of pyridine. The mixture was stirred at this temperature for 4 hours and then cooled, the solvent was distilled off and the residue was taken up in toluene and reconcentrated. The residue was subsequently admixed with water and washed with methylene chloride, and the pH was 30 adjusted to 1 using hydrochloric acid. The aqueous phase was extracted with methylene chloride and the resulting organic phase was dried and concentrated. This gave 4.7 g (90% of theory) of 2-chloro-(5-methoxy-5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate. 35 (mp.: 40-45°C)

Methyl 2-chloro-3-(2-methyl-2H-1,3,4-dioxazol-5-yl)-4-methylsulfonylbenzoate (compound 4.44)

40 8.0 g (27.4 mmol) of methyl 2-chloro-3-(hydroxyiminomethyl)-4-methylsulfonylbenzoate in 150 ml of methylene chloride were admixed dropwise with 16.0 g (27.4 mmol) of a 12.5% strength sodium hypochlorite solution, and a spatula-tip of sodium acetate was added. After 1 hour, 34.4 g (0.74 mol) of acetaldehyde were 45 added a little at a time within a period of 36 hours, and the mixture was slowly heated to 55°C. The mixture was subsequently stirred at room temperature for 48 hours, washed with water,

00748006-122700

dried and concentrated. The residue was then taken up in methylene chloride, 10.0 g (0.23 mol) of acetaldehyde and a spatula-tip of sodium acetate were added and the mixture was heated under reflux for 8 hours. After 72 hours, a further 10.0 g (0.23 mol) of acetaldehyde were added and the mixture was stirred at room temperature. The mixture was subsequently washed with water, dried and concentrated. The residue was passed through silica gel (eluent: isopropanol:cyclohexane = 1:9). This gave 5.0 g (55% of theory) of methyl 2-chloro-3-(2-methyl-10 2H-1,3,4-dioxazol-5-yl)-4-methylsulfonylbenzoate.

Table 4 which follows lists the compounds which have been described above and also further benzoic acid derivatives of the formula III which were prepared, or can be prepared, by a similar method.

20

25

30

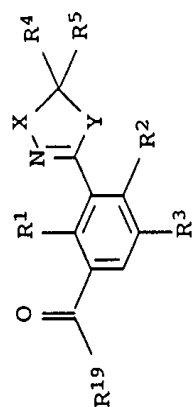
35

40

45

002227-50034460

Table 4:



III

| No. | R ¹ | R ² | R ³ | X | R ⁴ | R ⁵ | Y | R ¹⁹ | Physical data m.p. [°C]; ¹ H NMR [δ in ppm] |
|-----|----------------|---------------------------------|----------------|----------------------------------|----------------|----------------|-----------------|------------------|--|
| 4.1 | Cl | Cl | H | O | H | H | CH ₂ | OCH ₃ | 3.29 (t); 3.91 (s); 4.58 (t); 7.46 (d); 7.83 (d). |
| 4.2 | Cl | Cl | H | O | H | H | CH ₂ | OH | 3.28 (t); 4.60 (t); 7.02 (s, br); 7.46 (d); 7.98 (d). |
| 4.3 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | OCH ₃ | 3.24 (s); 3.42 (t); 3.99 (s); 4.60 (t); 7.96 (d); 8.10 (d). |
| 4.4 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | OH | 3.26 (s); 3.45 (t); 4.63 (t); 8.15 (s); 8.53 (s, br). |
| 4.5 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | Cl | 3.25 (s); 3.46 (t); 4.62 (t); 8.21 (dd). |
| 4.6 | Cl | Cl | H | C(CH ₃) ₂ | H | H | O | OH | 1.31 (s); 4.16 (s); 7.69 (d); 7.90 (d); 13.8 (s, br). |

00000000000000000000

| No. | R ¹ | R ² | R ³ | X | R ⁴ | R ⁵ | Y | R ¹⁹ | Physical data m.p. [°C]; ¹ H NMR [δ in ppm] |
|------|----------------|--|----------------|-----------------|-----------------|-----------------|-----------------|------------------|---|
| 4.7 | Cl | SO ₂ C ₂ H ₅ | H | O | CH ₃ | CH ₃ | CH ₂ | OCH ₃ | 1.25 (t); 1.57 (s); 3.21 (s); 3.42 (q); 3.99 (s); 7.94 (d); 8.07 (d). |
| 4.8 | Cl | SO ₂ C ₂ H ₅ | H | O | CH ₃ | CH ₃ | CH ₂ | OH | 1.13 (t); 1.47 (s); 3.15 (s); 3.43 (q); 8.06 (s); 13.8 (s, br). |
| 4.9 | Cl | SO ₂ C ₂ H ₅ | H | O | H | H | CH ₂ | OCH ₃ | 1.28 (t); 3.41 (m); 4.02 (s); 4.62 (t); 7.95 (d); 8.06 (d). |
| 4.10 | Cl | SO ₂ C ₂ H ₅ | H | O | H | H | CH ₂ | OH | 137-140 |
| 4.11 | Cl | SO ₂ C ₂ H ₅ | H | O | CH ₃ | H | CH ₂ | OCH ₃ | 1.26 (t); 1.53 (d); 3.06 (dd); 3.42 (q); 3.49 (dd); 5.05 (m); 7.95 (d); 8.07 (d). |
| 4.12 | Cl | SO ₂ C ₂ H ₅ | H | O | CH ₃ | H | CH ₂ | OH | 140-143 |
| 4.13 | Cl | SO ₂ CH ₃ | H | CH ₂ | H | H | O | OCH ₃ | 3.30 (s); 3.98 (s); 4.11 (t); 4.55 (t); 7.97 (d); 8.08 (d). |
| 4.14 | Cl | SO ₂ CH ₃ | H | CH ₂ | H | H | O | OH | 3.38 (s); 4.00 (t); 4.46 (t); 8.08 (s). |
| 4.15 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | OH | 3.30 (s); 3.35 (t); 4.15 (s, br); 4.50 (t); 8.05 (s). |
| 4.16 | Cl | SO ₂ -n-C ₃ H ₇ | H | O | CH ₃ | CH ₃ | CH ₂ | OCH ₃ | 0.95 (t); 1.47 (s); 1.58 (quin); 3.12 (s); 3.31 (s); 3.43 (t); 3.93 (s); 8.09 (dd). |
| 4.17 | Cl | SO ₂ -n-C ₃ H ₇ | H | O | CH ₃ | CH ₃ | CH ₂ | OH | 0.93 (t); 1.47 (s); 1.58 (quin); 3.15 (s); 3.42 (t); 8.05 (s). |

00000000000000000000

| No. | R ¹ | R ² | R ³ | X | R ⁴ | R ⁵ | Y | R ¹⁹ | Physical data m.p. [°C]; ¹ H NMR [δ in ppm] |
|------|----------------|--|----------------|---|----------------------------------|-----------------|-----------------|------------------|--|
| 4.18 | Cl | SO ₂ -n-C ₃ H ₇ | H | O | H | H | CH ₂ | OCH ₃ | 0.92 (t); 1.55 (quin); 3.39 (m); 3.93 (s); 4.50 (t); 8.08 (dd). |
| 4.19 | Cl | SO ₂ -n-C ₃ H ₇ | H | O | H | H | CH ₂ | OH | 148-150 |
| 4.20 | Cl | SO ₂ -n-C ₃ H ₇ | H | O | CH ₃ | H | CH ₂ | OCH ₃ | 0.93 (t); 1.49 (d); 1.58 (quin); 2.94 (dd); 3.42 (m); 3.93 (s); 4.97 (m); 8.10 (dd). |
| 4.21 | Cl | SO ₂ -n-C ₃ H ₇ | H | O | CH ₃ | H | CH ₂ | OH | 0.94 (t); 1.39 (d); 1.58 (quin); 2.96 (dd); 3.50 (m); 4.95 (m); 8.05 (s). |
| 4.22 | Cl | SO ₂ CH ₃ | H | S | =O | | O | OCH ₃ | 3.24 (s); 4.02 (s); 8.14 (dd). |
| 4.23 | Cl | SO ₂ CH ₃ | H | O | COOC ₂ H ₅ | H | CH ₂ | OCH ₃ | 118-121 |
| 4.24 | Cl | SO ₂ CH ₃ | H | O | COOC ₂ H ₅ | H | CH ₂ | OH | |
| 4.25 | Cl | SO ₂ CH ₃ | H | O | CH ₃ | H | CH ₂ | OCH ₃ | 130-135 |
| 4.26 | Cl | SO ₂ CH ₃ | H | O | CH ₃ | H | CH ₂ | OH | 173-178 |
| 4.27 | Cl | SO ₂ CH ₃ | H | O | CH ₃ | CH ₃ | CH ₂ | OCH ₃ | 1.57 (s); 3.18 (s); 3.27 (s); 4.01 (s); 7.97 (d); 8.12 (d). |
| 4.28 | Cl | SO ₂ CH ₃ | H | O | CH ₃ | CH ₃ | CH ₂ | OH | 1.48 (s); 3.15 (s); 3.34 (s); 8.08 (dd). |
| 4.29 | Cl | SO ₂ CH ₃ | H | O | C ₂ H ₅ | H | CH ₂ | OCH ₃ | 0.97 (t); 1.72 (m); 3.10 (dd); 3.32 (s); 3.37 (dd); 4.72 (m); 8.08 (dd). |

000000000000

| No. | R ¹ | R ² | R ³ | X | R ⁴ | R ⁵ | Y | R ¹⁹ | Physical data m.p. [°C]; ¹ H NMR [δ in ppm] |
|------|----------------|---------------------------------|----------------|----------------------------------|---|--|-----------------|------------------|--|
| 4.30 | Cl | SO ₂ CH ₃ | H | O | H | - (CH ₂) ₃ -CH- | | OCH ₃ | 1.57 (m); 1.81 (m); 2.21 (m); 3.20 (s); 4.02 (s); 4.32 (t); 5.35 (dd); 7.92 (d); 8.18 (d). |
| 4.31 | Cl | SO ₂ CH ₃ | H | O | H | - (CH ₂) ₃ -CH- | | OH | 1.72 (m); 2.01 (m); 3.27 (s); 4.24 (t); 5.23 (dd); 8.05 (d); 8.15 (d); 13.8 (s, br). |
| 4.32 | Cl | SO ₂ CH ₃ | H | O | - (CH ₂) ₂ -O- (CH ₂) ₂ - | | CH ₂ | OCH ₃ | 2.00 (m); 3.23 (s); 3.27 (s); 3.72 (m); 4.00 (s); 7.96 (d); 8.04 (d). |
| 4.33 | Cl | SO ₂ CH ₃ | H | O | - (CH ₂) ₂ -O- (CH ₂) ₂ - | | CH ₂ | OH | 78-83 |
| 4.34 | Cl | SO ₂ CH ₃ | H | O | - (CH ₂) ₄ - | | CH ₂ | OCH ₃ | 1.78 (m); 2.24 (m); 3.27 (s); 3.36 (s); 3.98 (s); 7.94 (d); 8.12 (d). |
| 4.35 | Cl | SO ₂ CH ₃ | H | O | - (CH ₂) ₄ - | | CH ₂ | OH | 1.76 (m); 2.05 (m); 3.30 (s); 3.33 (s); 8.09 (dd). |
| 4.36 | Cl | SO ₂ CH ₃ | H | O | C ₂ H ₅ | C ₂ H ₅ | CH ₂ | OCH ₃ | 1.00 (t); 1.85 (m); 3.13 (s); 3.27 (s); 3.98 (s); 7.94 (d); 8.11 (d). |
| 4.37 | Cl | SO ₂ CH ₃ | H | O | C ₂ H ₅ | C ₂ H ₅ | CH ₂ | OH | 0.91 (t); 1.76 (m); 3.12 (s); 3.33 (s); 8.07 (dd); 13.75 (s, br). |
| 4.38 | Cl | SO ₂ CH ₃ | H | C(CH ₃) ₂ | H | H | O | OH | 1.34 (s); 3.40 (s); 4.13 (s); 8.07 (s); 13.95 (s, br). |
| 4.39 | Cl | SO ₂ CH ₃ | H | O | CH ₃ | H | CH ₂ | Cl | |

004221-90084260

| No. | R ¹ | R ² | R ³ | X | R ⁴ | R ⁵ | Y | R ¹⁹ | Physical Data m.p. [°C]; ¹ H-NMR [δ in ppm] |
|------|----------------|---------------------------------|----------------|---|------------------------|--------------------|-------------------|------------------|--|
| 4.52 | Cl | SO ₂ CH ₃ | H | O | CH ₃ | H | CHCH ₃ | OH | 68-75 |
| 4.53 | Cl | SO ₂ CH ₃ | H | O | OCOCH ₃ | H | CH ₂ | OCH ₃ | 105-110 |
| 4.54 | Cl | SO ₂ CH ₃ | H | O | H | H | CH ₂ | OH | |
| 4.55 | Cl | SO ₂ CH ₃ | H | O | OCOCH ₃ | H | CH ₂ | OH | 45-50 |
| 4.56 | Cl | SO ₂ CH ₃ | H | O | OCH ₃ | H | CH ₂ | OH | 60-65 |
| 4.57 | Cl | SO ₂ CH ₃ | H | O | CHCl(CH ₃) | H | CH ₂ | OCH ₃ | 1.63 (3H); 3.23 (3H); 3.50 (2H); 3.99 (3H); 4.25 (1H); 4.83/5.03 (1H); 7.96 (1H); 8.13 (1H). |
| 4.58 | Cl | SO ₂ CH ₃ | H | O | CHCl(CH ₃) | H | CH ₂ | OH | 1.56 (3H); 3.33 (3H); 3.43 (2H); 4.36 (1H); 4.93 (1H); 8.10 (2H). |
| 4.59 | Cl | SO ₂ CH ₃ | H | O | CH ₃ | OCH ₃ | CH ₂ | OCH ₃ | 100-105 |
| 4.60 | Cl | SO ₂ CH ₃ | H | O | CH ₃ | OCH ₃ | CH ₂ | OH | 40-45 |
| 4.61 | Cl | SO ₂ CH ₃ | H | O | CF ₃ | OCOCH ₃ | CH ₂ | OCH ₃ | 60-65 |
| 4.62 | Cl | SCH ₃ | H | O | H | H | CH ₂ | OH | |
| 4.63 | Cl | SO ₂ Me | H | O | COCH ₃ | H | CH ₂ | OCH ₃ | 2.36 (3H); 3.25 (3H); 3.60 (2H); 4.01 (3H); 5.20 (1H); 8.01 (1H); 8.12 (1H). |
| 4.64 | Cl | SO ₂ CH ₃ | H | O | CF ₃ | H | CH ₂ | OCH ₃ | 156 |
| 4.65 | Cl | SO ₂ CH ₃ | H | O | CF ₃ | H | CH ₂ | OH | 170 |
| 4.66 | Cl | SO ₂ CH ₃ | H | O | F | F | CH ₂ | OCH ₃ | |
| 4.67 | Cl | SO ₂ CH ₃ | H | O | F | F | CH ₂ | OH | |
| 4.68 | Cl | SO ₂ CH ₃ | H | O | F | H | CH ₂ | OCH ₃ | 142-143 |

004227-900244250

| No. | R ¹ | R ² | R ³ | X | R ⁴ | R ⁵ | Y | R ¹⁹ | Physical Data m.p., [°C]; 1H-NMR [δ in ppm] |
|------|------------------|---------------------------------|----------------|-----------------|-----------------------------------|----------------|-------------------|----------------------------------|---|
| 4.69 | Cl | SO ₂ CH ₃ | H | O | F | H | CH ₂ | OH | |
| 4.70 | Cl | SO ₂ CH ₃ | H | O | CH ₂ Cl | H | CH ₂ | OCH ₃ | 107-110 |
| 4.71 | Cl | SO ₂ CH ₃ | H | O | CH ₂ Cl | H | CH ₂ | OH | 60-65 |
| 4.72 | Cl | SO ₂ CH ₃ | H | O | OCH ₃ | H | CH ₂ | OCH ₃ | 105-110 |
| 4.73 | Cl | SO ₂ CH ₃ | H | O | OC ₂ H ₅ | H | CH ₂ | OCH ₃ | 155-160 |
| 4.74 | Cl | SO ₂ CH ₃ | H | CH ₂ | H | H | S | OCH ₃ | |
| 4.75 | CH ₃ | H | H | C=O | H | H | S | OCH ₃ | 112-120 |
| 4.76 | Cl | SO ₂ CH ₃ | H | O | CF ₃ | OH | CH ₂ | OH | 3.38 (s); 3.56 (d); 3.79 (d); 8.16 (s); 8.67 (s, br). |
| 4.77 | Cl | SO ₂ CH ₃ | H | O | O-t-C ₄ H ₉ | H | CH ₂ | OCH ₃ | 130-135 |
| 4.78 | Cl | SO ₂ CH ₃ | H | O | O-t-C ₄ H ₉ | H | CH ₂ | OH | 1.25 (s); 3.05 (dd); 3.34 (s); 3.45 (dd); 6.17 (m); 8.08 (s). |
| 4.79 | Cl | SO ₂ CH ₃ | H | O | CH ₃ | H | CHCH ₃ | OCH ₃ | 1.01 (d); 1.28 (d); 3.35 (m); 3.96 (s); 4.99 (m); 8.12 (d); 8.20 (d). |
| 4.80 | Cl | SO ₂ CH ₃ | H | O | CH ₃ | H | CHCH ₃ | OH | 68-75 |
| 4.81 | Cl | SO ₂ CH ₃ | H | O | SC ₂ H ₅ | H | CH ₂ | OCH ₃ | 1.30 (t); 2.77 (q); 3.25 (dd); 3.34 (s); 3.78 (dd); 3.94 (s); 6.22 (m), 8.24 (s). |
| 4.82 | Cl | SO ₂ CH ₃ | H | O | SC ₂ H ₅ | H | CH ₂ | OH | 65-67 |
| 4.83 | SCH ₃ | SCH ₃ | H | O | H | H | CH ₂ | OCH ₂ CH ₃ | 1.28 (t); 2.30 (s); 2.46 (s); 3.28 (t); 4.31 (q); 4.45 (t); 7.42 (d); 7.68 (d). |

DOCKET SHEET

| No. | R ¹ | R ² | R ³ | X | R ⁴ | R ⁵ | Y | R ¹⁹ | Physical Data m.p. [°C]; ¹ H-NMR [δ in ppm] |
|------|---------------------------------|---------------------------------|----------------|---|----------------|----------------|-----------------|-----------------|---|
| 4.84 | SCH ₃ | SCH ₃ | H | O | H | H | CH ₂ | OH | 2.32 (s); 2.48 (s); 3.28 (t); 4.42 (t); 7.48 (d); 7.64 (d); 13.2 (s). |
| 4.85 | SO ₂ CH ₃ | SO ₂ CH ₃ | H | O | H | H | CH ₂ | OH | 3.25 (s); 3.35 (s); 3.44 (t); 8.05 (d); 8.45 (d). |

The 3-heterocyclyl-substituted benzoyl derivatives of the formula I and their agriculturally useful salts are suitable as herbicides, both in the form of isomer mixtures and in the form of the pure isomers. The herbicidal compositions comprising compounds of the formula I effect very good control of vegetation on non-crop areas, especially at high rates of application. In crops such as wheat, rice, maize, soybeans and cotton they act against broad-leaved weeds and grass weeds without damaging the crop plants substantially. This effect is observed especially at low rates of application.

Depending on the application method in question, the compounds of the formula I, or herbicidal compositions comprising them, can additionally be employed in a further number of crop plants for eliminating undesirable plants. Examples of suitable crops are the following:

Allium cepa, Ananas comosus, Arachis hypogaea, Asparagus officinalis, Beta vulgaris spec. altissima, Beta vulgaris spec. rapa, Brassica napus var. napus, Brassica napus var. napobrassica, Brassica rapa var. silvestris, Camellia sinensis, Carthamus tinctorius, Carya illinoensis, Citrus limon, Citrus sinensis, Coffea arabica (Coffea canephora, Coffea liberica), Cucumis sativus, Cynodon dactylon, Daucus carota, Elaeis guineensis, Fragaria vesca, Glycine max, Gossypium hirsutum, (Gossypium arboreum, Gossypium herbaceum, Gossypium vitifolium), Helianthus annuus, Hevea brasiliensis, Hordeum vulgare, Humulus lupulus, Ipomoea batatas, Juglans regia, Lens culinaris, Linum usitatissimum, Lycopersicon lycopersicum, Malus spec., Manihot esculenta, Medicago sativa, Musa spec., Nicotiana tabacum (N.rustica), Olea europaea, Oryza sativa, Phaseolus lunatus, Phaseolus vulgaris, Picea abies, Pinus spec., Pisum sativum, Prunus avium, Prunus persica, Pyrus communis, Ribes sylvestre, Ricinus communis, Saccharum officinarum, Secale cereale, Solanum tuberosum, Sorghum bicolor (s. vulgare), Theobroma cacao, Trifolium pratense, Triticum aestivum, Triticum durum, Vicia faba, Vitis vinifera and Zea mays.

40

Moreover, the compounds of the formula I can also be used in crops which tolerate the action of herbicides due to breeding including genetic engineering methods.

45

The compounds of the formula I, or the herbicidal compositions comprising them, can be employed, for example, in the form of directly sprayable aqueous solutions, powders, suspensions, also

highly-concentrated aqueous, oily or other suspensions or dispersions, emulsions, oil dispersions, pastes, dusts, materials for spreading or granules, by means of spraying, atomizing, dusting, spreading or pouring. The use forms depend on the intended purposes; in any case, they should guarantee the finest possible distribution of the active ingredients according to the invention.

The herbicidal compositions comprise a herbicidally active amount of at least one compound of the formula I or of an agriculturally useful salt of I and auxiliaries conventionally used for the formulation of crop protection products.

Suitable inert auxiliaries are essentially:
mineral oil fractions of medium to high boiling point such as kerosene and diesel oil, furthermore coal tar oils and oils of vegetable or animal origin, aliphatic, cyclic and aromatic hydrocarbons, eg. paraffins, tetrahydronaphthalene, alkylated naphthalenes and their derivatives, alkylated benzenes and their derivatives, alcohols such as methanol, ethanol, propanol, butanol and cyclohexanol, ketones such as cyclohexanone, strongly polar solvents, eg. amines such as N-methylpyrrolidone and water.

Aqueous use forms can be prepared from emulsion concentrates, suspensions, pastes, wettable powders or water-dispersible granules by adding water. To prepare emulsions, pastes or oil dispersions, the substances, as such or dissolved in an oil or solvent, can be homogenized in water by means of wetting agent, tackifier, dispersant or emulsifier. However, it is also possible to prepare concentrates composed of active substance, wetting agent, tackifier, dispersant or emulsifier and, if appropriate, solvent or oil, and these concentrates are suitable for dilution with water.

Suitable surfactants (adjuvants) are the alkali metal, alkaline earth metal and ammonium salts of aromatic sulfonic acids, eg. ligno-, phenol-, naphthalene- and dibutylnaphthalenesulfonic acid, and of fatty acids, of alkyl- and alkylaryl sulfonates, of alkyl sulfates, lauryl ether sulfates and fatty alcohol sulfates, and salts of sulfated hexa-, hepta- and octadecanols, and of fatty alcohol glycol ether, condensates of sulfonated naphthalene and its derivatives with formaldehyde, condensates of naphthalene, or of the naphthalenesulfonic acids, with phenol and formaldehyde, polyoxyethylene octylphenyl ether, ethoxylated isooctyl-, octyl- or nonylphenol, alkylphenyl, tributylphenyl polyglycol ether, alkylaryl polyether alcohols, isotridecyl

Powders, materials for spreading and dusts can be prepared by mixing or concomitantly grinding the active substances with a solid carrier.

20

25

30

35

45

The compounds of the formula I, or the herbicidal compositions comprising them, can be applied pre- or post-emergence. If the active ingredients are less well tolerated by certain crop plants, application techniques may be used in which the herbicidal compositions are sprayed, with the aid of the spray apparatus, in such a way that they come into as little contact as possible, if any, with the leaves of the sensitive crop plants while reaching the leaves of undesirable plants which grow underneath, or the bare soil (post-directed, lay-by).

To widen the spectrum of action and to achieve synergistic effects, the 3-heterocyclyl-substituted benzoyl derivatives of the formula I can be mixed and applied jointly with a large number of representatives of other groups of herbicidally or growth-regulatory active ingredients. Suitable components in mixtures are, for example, 1,2,4-thiadiazoles, 1,3,4-thiadiazoles, amides, aminophosphoric acid and its derivatives, aminotriazoles, anilides, aryloxy-/hetaryloxyalkanic acids and their derivatives, benzoic acid and its derivatives, benzothiadiazinones, 2-(hetaroyl/aroyl)-1,3-cyclohexandiones, hetaryl aryl ketones, benzylisoxazolidinones, meta-CF₃-phenyl derivatives, carbamates, quinolinecarboxylic acid and its derivatives, chloroacetanilides, cyclohexenone oxime ether derivatives, diazines, dichloropropionic acid and its derivatives, dihydrobenzofuranes, dihydrofuran-3-ones, dinitroanilines, dinitrophenols, diphenyl ethers, dipyridyls, halocarboxylic acids and their derivatives, ureas, 3-phenyluracils, imidazoles, imidazolinones, N-phenyl-3,4,5,6-tetrahydrophthalimides, oxadiazoles, oxiranes, phenols, aryloxy- and hetaryloxyphenoxypropionic esters, phenylacetic acid and its derivatives, 2-phenylpropionic acid and its derivatives, pyrazoles, phenylpyrazoles, pyridazines, pyridinecarboxylic acid and its derivatives, pyrimidyl ethers,

sulfonamides, sulfonylureas, triazines, triazinones, triazolinones, triazolcarboxamides and uracils.

Moreover, it may be advantageous to apply the compounds of the formula I, alone or in combination with other herbicides, in the form of a mixture with additional other crop protection agents, for example with pesticides or agents for controlling phytopathogenic fungi or bacteria. Also of interest is the miscibility with mineral salt solutions which are employed for treating nutritional and trace element deficiencies. Non-phytotoxic oils and oil concentrates can also be added.

Use Examples

- 15 The herbicidal action of 3-heterocyclyl-substituted benzoyl derivatives of the formula I was demonstrated by the following greenhouse experiments:
- 20 The culture containers used were plastic flowerpots containing loamy sand with approximately 3.0% of humus as substrate. The seeds of the test plants were sown separately for each species.
- 25 For the pre-emergence treatment, the active ingredients, suspended or emulsified in water, were applied directly after sowing by means of finely distributing nozzles. The containers were irrigated gently to promote germination and growth and subsequently covered with transparent plastic hoods until the plants had rooted. This cover caused uniform germination of the test plants unless this was adversely affected by the active ingredients.
- 30 For the post-emergence treatment, the test plants were grown to a plant height of from 3 to 15 cm, depending on the plant habit, and only then treated with the active ingredients which had been suspended or emulsified in water. To this end, the test plants were either sown directly and grown in the same containers, or they were first grown separately as seedlings and transplanted into the test containers a few days prior to treatment. The rate of application for the post-emergence treatment was 31.2 or 15.6 g/ha a.s. (active substance).

160

Depending on the species, the plants were kept at from 10 to 25°C and 20 to 35°C, respectively. The test period extended over 2 to 4 weeks. During this time, the plants were tended, and their response to the individual treatments was evaluated.

5

Evaluation was carried out using a scale of from 0 to 100. 100 means no emergence of the plants, or complete destruction of at least the aerial parts, and 0 means no damage or normal course of growth.

10

The plants used in the greenhouse experiments belonged to the following species:

| | | |
|----|-------------------|------------------------------|
| 15 | Scientific name | Common name |
| | Chenopodium album | lambsquarters (goosefoot) |
| | Setaria faberii | giant foxtail |
| | Sinapsis alba | white mustard |
| 20 | Solanum nigrum | black nightshade |
| | Triticum aestivum | wheat |
| | Zea mays | Indian corn |

25 Compound 3.33 (Table 3) was very effective against the abovementioned mono- and dicotyledonous harmful plants and was well tolerated in winter wheat and maize when applied post-emergence at rates of application of 31.2 and 15.6 g/ha, respectively.

30

35

40

45

00748006-422700